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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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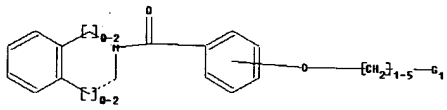

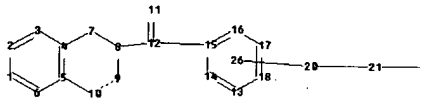

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chain nodes :
11  12  20  21  23
ring nodes :
1  2  3  4  5  6  7  8  9  10  13  14  15  16  17  18
chain bonds :
8-12  11-12  12-15  20-21  21-23
ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-10  7-8  8-9  9-10  13-14  13-18  14-15  15-16
16-17  17-18
exact/norm bonds :
4-5  4-7  5-6  5-10  7-8  8-9  8-12  9-10  11-12  21-23
exact bonds :
12-15  20-21
normalized bonds :
1-2  1-6  2-3  3-4  13-14  13-18  14-15  15-16  16-17  17-18
isolated ring systems :
containing 13 :


G1:N,Hy

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:CLASS  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  20:CLASS
21:CLASS  23:CLASS  26:Atom

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L2            2 SEA SSS SAM L1

=>  s l1 full
L3          613 SEA SSS FUL L1

=> file caplus

=> s l3
L4           41 L3

=> s l4 and pd< oct 2002
      22811705 PD< OCT 2002
                (PD<20021000)
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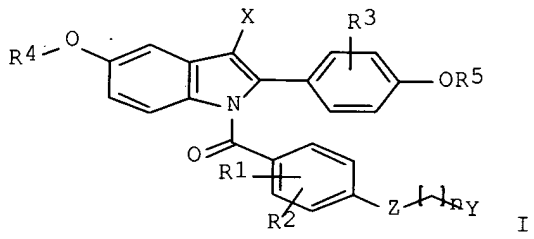
L5 10 L4 AND PD< OCT 2002

=> dis l5 1-10 bib abs hitstr

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:327915 CAPLUS Full-text
DN 136:340593
TI Preparation of N-(substituted)benzoyl indoles as estrogenic agents
IN Koko, Marci C.; Ullrich, John W.; Santilli, Arthur A.
PA American Home Products Corporation, USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6380185	B1	20020430	US 2000-513807	20000225 <--
PRAI US 1999-155200P	P	19990304		

OS MARPAT 136:340593
GI

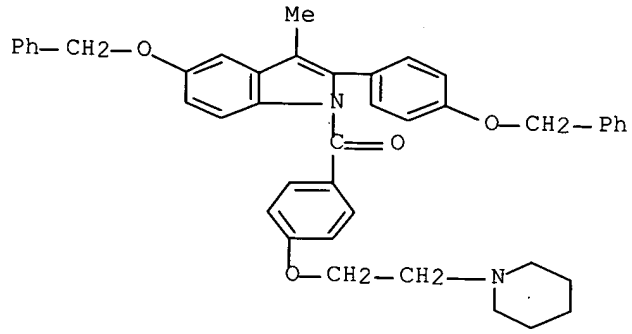


I

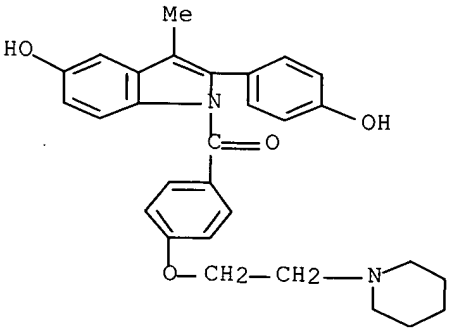
AB The title compds. [I; R1-R3 = H, halo, alkoxy, etc.; R4, R5 = H, (un)substituted CH2Ph; X = H, alkyl, CF3; Z = O, S; n = 2-3; Y = N(alkyl)2, pyrrolidino, piperidino, etc.], useful for treating or preventing disease states or syndromes which are caused or associated with an estrogen deficiency (such as bone loss) or an excess of estrogen, were prepared E.g., a 2-step synthesis of the indole I [R1-R5 = H; X = Me; Z = O; n = 2; Y = piperidino] which showed IC50 of 2.0x10-7 M against estrogen receptor binding, was given.

IT 291546-88-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-(substituted)benzoylindoles as estrogenic agents)

RN 291546-88-8 CAPLUS
CN 1H-Indole, 3-methyl-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



IT 291546-89-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(substituted)benzoylindoles as estrogenic agents)
RN 291546-89-9 CAPLUS
CN 1H-Indol-5-ol, 2-(4-hydroxyphenyl)-3-methyl-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:122973 CAPLUS Full-text
DN 136:167379
TI Preparation of amidino-oxazines and derivatives as protease inhibitors
IN Wang, Aihua; Lu, Tianbao; Tomczuk, Bruce E.; Soll, Richard M.; Spurlino, John C.; Bone, Roger F.
PA 3-Dimensional Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002012207	A1	20020214	WO 2001-US24251	20010802 <--

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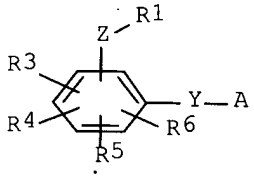
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2417914	A1	20020214	CA 2001-2417914	20010802 <--
AU 200177242	A	20020218	AU 2001-77242	20010802 <--
US 2002022615	A1	20020221	US 2001-919815	20010802 <--
US 6635637	B2	20031021		
EP 1307432	A1	20030507	EP 2001-955035	20010802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004505956	T	20040226	JP 2002-518184	20010802
MX 2003PA00963	A	20040405	MX 2003-PA963	20030131
PRAI US 2000-223223P	P	20000804		
WO 2001-US24251	W	20010802		

OS MARPAT 136:167379

GI



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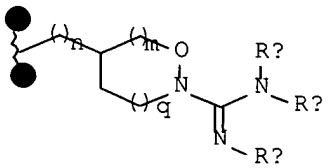
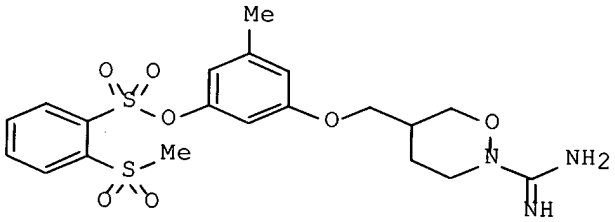


II



III

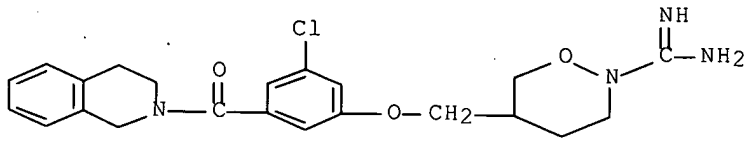
AB Title compds. I [R1 = alk(en/yn)yl, cycloalkyl, aryl, aralkyl or heteroaryl; Z = OSO2, SO2O, alkoxy, etc.; R3-6 = H, alk(en/yn)yl, cycloalkyl, (hetero)aryl, aralkyl, trifluoromethyl, halo, etc.; Y = O, aza, S, alkyl or a covalent bond; A = II and derivs. thereof; Ra-c = H, alkyl, hydroxy, alkoxy, aryloxy, aralkoxy, alkoxycarbonyloxy, cyano, carboxy; n, m and q = 0-4 provided that n, m, and q are not all zero] were prepared For instance, diethylmalonate was converted to tert-Bu 5-(hydroxymethyl)tetrahydro-1,2-oxazin-2-carboxylate in 8 steps in 12% yield. This ester was coupled to 3-hydroxy-5-methylphenyl 2-(methylsulfonyl)benzenesulfonate (THF, Ph3P, DEAD), the resulting adduct deprotected (CH2Cl2, TFA) and converted to III using N,N'-bis(tert-butoxycarbonyl)-1H-pyrazole-1-carboxamide followed by treatment with TFA. III had Ki = 7 nM for thrombin. I exhibit antithrombotic activity via selective inhibition of thrombin, or are intermediates useful for forming compds. having antithrombotic activity. I are also anticoagulants either embedded in or phys. linked to materials used in the manufacture of devices used in blood

collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents.

IT 396729-20-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of amidino-oxazines/cyclic guanidines and derivs. as protease inhibitors)

RN 396729-20-7 CAPLUS

CN Isoquinoline, 2-[3-[[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]methoxy]-5-chlorobenzoyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:713343 CAPLUS Full-text
DN 135:272894
TI Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
IN Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.
PA Dupont Pharmaceuticals Company, USA
SO PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070734	A2	20010927	WO 2001-US8336	20010315 <--
	WO 2001070734	A3	20020314		
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	CA 2400168	A1	20010927	CA 2001-2400168	20010315 <--
	AU 200150850	A	20011003	AU 2001-50850	20010315 <--
	EP 1263756	A2	20021211	EP 2001-924171	20010315
	EP 1263756	B1	20040225		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
	BR 2001009469	A	20030429	BR 2001-9469	20010315
	JP 2003528097	T	20030924	JP 2001-568935	20010315
	AT 260272	T	20040315	AT 2001-924171	20010315
	NZ 521245	A	20040430	NZ 2001-521245	20010315
	ES 2215893	T3	20041016	ES 2001-1924171	20010315
	US 2002013341	A1	20020131	US 2001-811116	20010316 <--

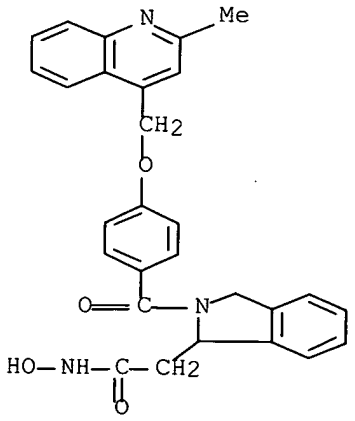
	US 6495565	B2	20021217		
	IN 2002MN01075	A	20050304	IN 2002-MN1075	20020808
	HK 1049334	A1	20040716	HK 2003-101437	20030226
PRAI	US 2000-190183P	P	20000317		
	US 2000-235467P	P	20000926		
	US 2000-252062P	P	20001120		
	WO 2001-US8336	W	20010315		

OS MARPAT 135:272894

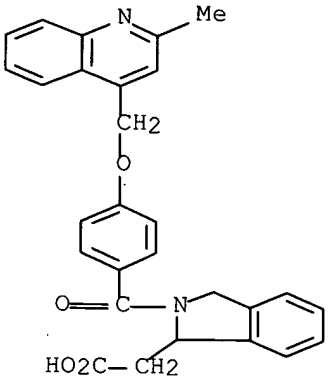
AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2- methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT 362697-24-3P 362697-25-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)

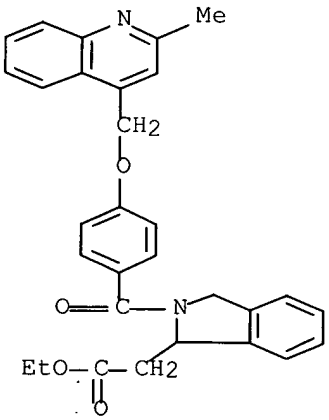
RN 362697-24-3 CAPLUS
CN 1H-Isoindole-1-acetamide, 2,3-dihydro-N-hydroxy-2-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]- (CA INDEX NAME)



RN 362697-25-4 CAPLUS
CN 1H-Isoindole-1-acetic acid, 2,3-dihydro-2-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]- (CA INDEX NAME)



IT 362703-11-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)
RN 362703-11-5 CAPLUS
CN 1H-Isoindole-1-acetic acid, 2,3-dihydro-2-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]-, ethyl ester (CA INDEX NAME)



L5 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:676748 CAPLUS Full-text
DN 135:242135
TI Preparation process of indole derivatives and use thereof as DP receptor antagonists
IN Torisu, Kazuhiko; Kobayashi, Kaoru; Nambu, Fumio
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2001066520 A1 20010913 WO 2001-JP1817 20010308 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2402174 A1 20010913 CA 2001-2402174 20010308 <--
AU 200141068 A 20010917 AU 2001-41068 20010308 <--
EP 1262475 A1 20021204 EP 2001-912193 20010308
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
HU 2003001493 A2 20030828 HU 2003-1493 20010308
BR 2001009050 A 20040427 BR 2001-9050 20010308
NZ 521192 A 20050128 NZ 2001-521192 20010308
RU 2259998 C2 20050910 RU 2002-123882 20010308
ZA 2002007031 A 20030306 ZA 2002-7031 20020902
NO 2002004281 A 20021108 NO 2002-4281 20020906
MX 2002PA08801 A 20030707 MX 2002-PA8801 20020909
US 2003176400 A1 20030918 US 2002-220806 20021213
US 6743793 B2 20040601
US 2004180885 A1 20040916 US 2004-793725 20040308
US 7098234 B2 20060829
PRAI JP 2000-64696 A 20000309
JP 2000-231857 A 20000731
WO 2001-JP1817 W 20010308
US 2002-220806 A3 20021213
OS CASREACT 135:242135; MARPAT 135:242135
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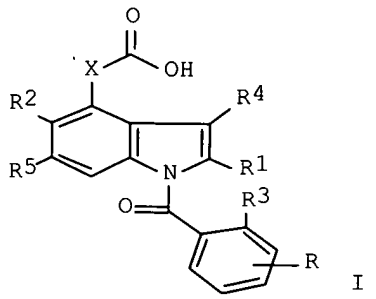


I

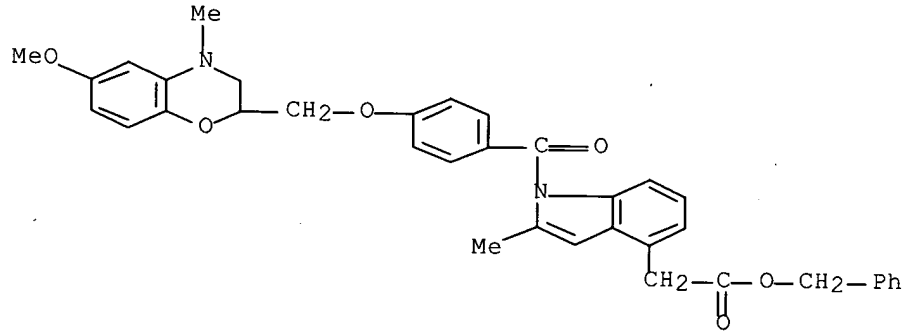
AB A process for preparing title compds. [I; R = 4-O(CH2)2CH3, 4-O(CH2)4CH3, 4-O(CH2)2C6H5, 4-O(CH2)3CH3, 4-O(CH2)2CH(CH3)2, 4-O(CH2)2OCH2CH3, 4-OCH2C6H5, 4-(CH2)2C6H5, 4-CH3OC6H5(CH2)2O, 4-OCH2CH2OCH(CH3)2, 4-(4-CH3OC6H4)CH2O, 4-O(CH2)2SCH2CH3, 4-O(CH2)2C(CH3)3, 4-OCH2C6H5, 4-OCH2CH3, 4-C6H5, 4-hetereocyclylalkoxy, 3-O(CH2)2CH3, 3-O(CH2)4CH3, 4-heterocyclylcarbonylamino; R1 = CH3, H, CH2CH3; R2 = H, OCH3, CH3; R3 = H, OCH3; R4 = H, 4-CH3OC6H4CH2, CH3, CH2OCH3; R5 = H, OCH3; X = CH2, single bond, OCH2, CH:CH, CH2CH2] as DP receptor antagonists are presented. Title compds. I, bind to DP receptor to exhibit antagonism, and therefore are useful in prevention and/or treatment of allergic diseases (such as allergic rhinitis, allergic conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, systemic mastocytosis, disorders

due to systemic mastocyte activation, anaphylactic shock, tracheal constriction, urticaria, and eczema), diseases accompanied with itching (such as atopic dermatitis and urticaria), secondary diseases caused by scratching, beating or other behaviors attendant on itching (such as cataract, retinal detachment, inflammation, infection, and sleep disorder), inflammation, chronic obstructive lung disease, reflow disturbance occurring after the recovery from the ischemic conditions, cerebrovascular disease, pleuritis complicated by rheumatoid arthritis, ulcerative colitis, and other diseases. Thus, the title compound I (R = O(CH2)2C6H5; R1 = CH3; R2 = H) was prepared

IT 359586-18-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation process of indole derivs. and use thereof as DP receptor antagonists)

RN 359586-18-8 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-6-methoxy-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)



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359584-18-2P 359584-20-6P 359584-23-9P
359584-24-0P 359584-37-5P 359584-38-6P
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359584-56-8P 359584-58-0P 359584-74-0P
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359584-79-5P 359584-80-8P 359584-92-2P
359584-95-5P 359584-97-7P 359584-98-8P
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359585-20-9P 359585-21-0P 359585-23-2P
359585-27-6P 359585-29-8P 359585-30-1P
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359585-34-5P 359585-36-7P 359585-37-8P
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359585-58-3P 359585-59-4P 359585-60-7P
359585-61-8P 359585-62-9P 359585-64-1P
359585-65-2P 359585-66-3P 359585-67-4P
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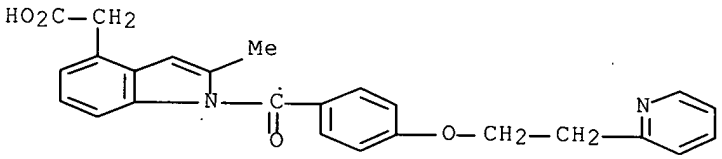
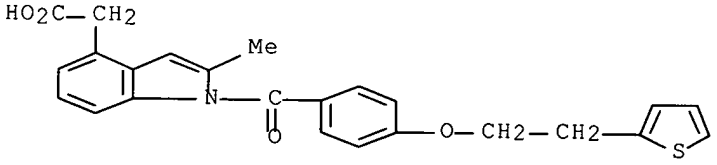
359585-72-1P 359585-74-3P 359585-75-4P
359585-78-7P 359585-79-8P 359585-80-1P
359585-81-2P 359585-82-3P 359585-83-4P
359585-84-5P 359585-85-6P 359585-86-7P
359585-87-8P 359585-88-9P 359585-89-0P
359585-90-3P 359585-91-4P 359585-94-7P
360580-84-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation process of indole derivs. and use thereof as DP receptor antagonists)

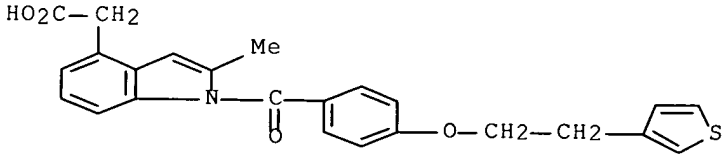
RN 359582-85-7 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(2-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)



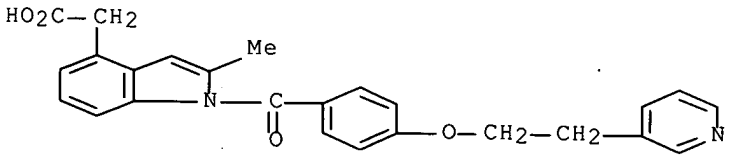
RN 359582-96-0 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(2-thienyl)ethoxy]benzoyl]- (CA INDEX NAME)



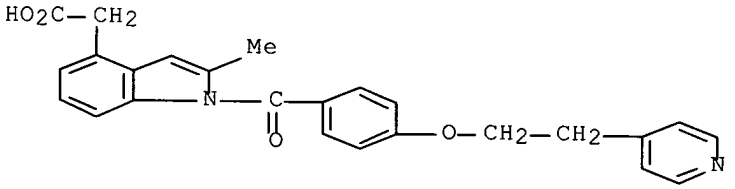
RN 359583-02-1 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(3-thienyl)ethoxy]benzoyl]- (CA INDEX NAME)



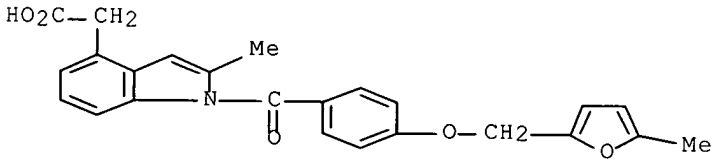
RN 359583-11-2 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(3-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)



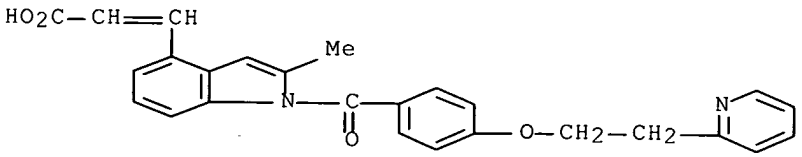
RN 359583-12-3 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(4-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)



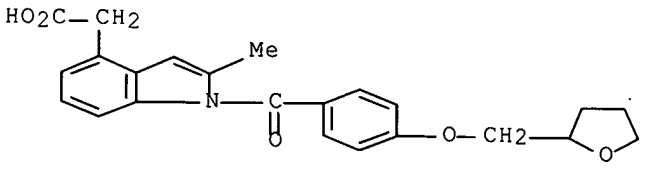
RN 359583-19-0 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(5-methyl-2-furanyl)methoxy]benzoyl]- (CA INDEX NAME)



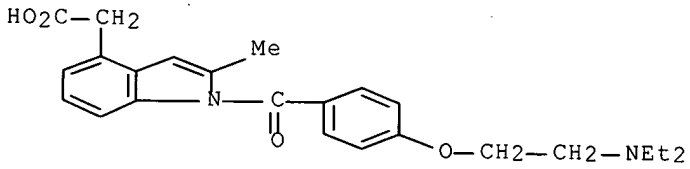
RN 359583-63-4 CAPLUS
CN 2-Propenoic acid, 3-[2-methyl-1-[4-[2-(2-pyridinyl)ethoxy]benzoyl]-1H-indol-4-yl]- (CA INDEX NAME)



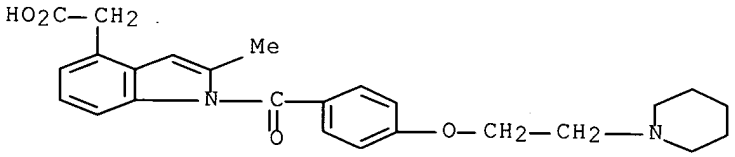
RN 359583-83-8 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(tetrahydro-2-furanyl)methoxy]benzoyl]- (CA INDEX NAME)



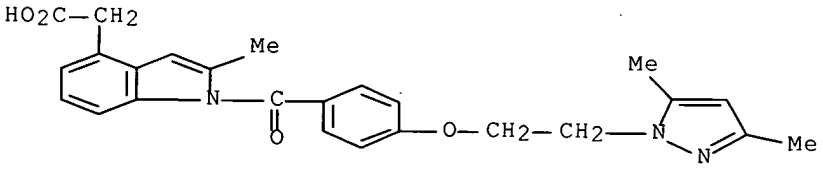
RN 359583-84-9 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(diethylamino)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



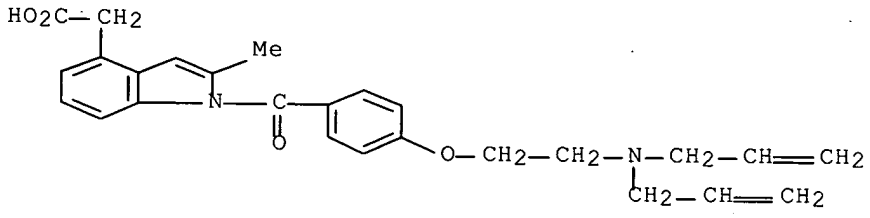
RN 359583-85-0 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (CA INDEX NAME)



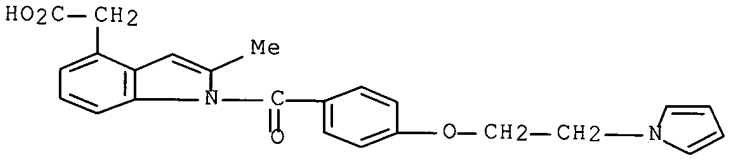
RN 359583-88-3 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(3,5-dimethyl-1H-pyrazol-1-yl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



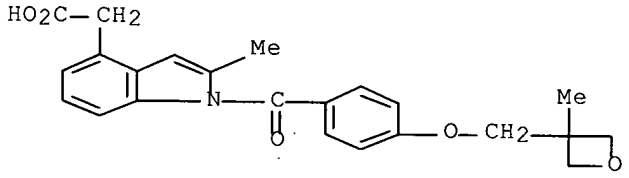
RN 359583-89-4 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(di-2-propenylamino)ethoxy]benzoyl]-2-methyl- (9CI) (CA INDEX NAME)



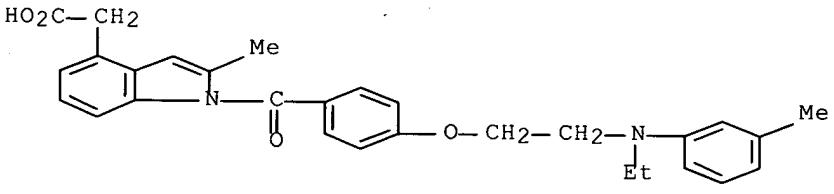
RN 359583-93-0 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(1H-pyrrol-1-yl)ethoxy]benzoyl]- (CA INDEX NAME)



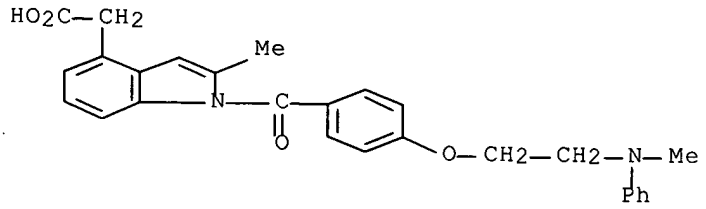
RN 359584-06-8 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(3-methyl-3-oxetanyl)methoxy]benzoyl]- (CA INDEX NAME)



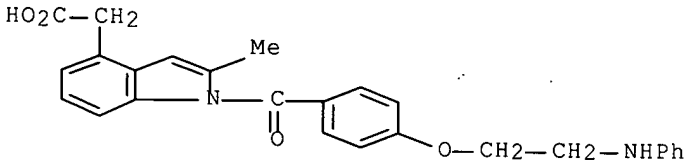
RN 359584-07-9 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-[ethyl(3-methylphenyl)amino]ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



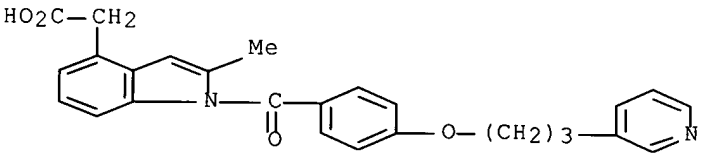
RN 359584-08-0 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(methylphenylamino)ethoxy]benzoyl]- (CA INDEX NAME)



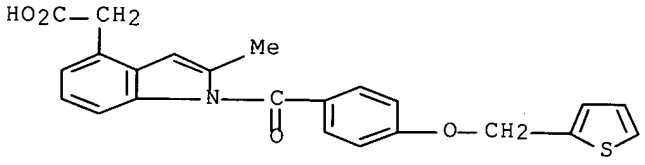
RN 359584-12-6 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(phenylamino)ethoxy]benzoyl]- (CA INDEX NAME)



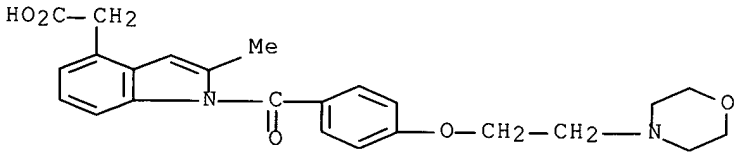
RN 359584-13-7 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[3-(3-pyridinyl)propoxy]benzoyl]- (CA INDEX NAME)



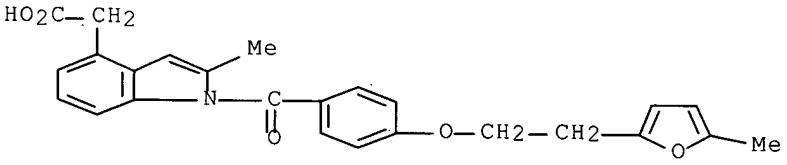
RN 359584-18-2 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(2-thienylmethoxy)benzoyl]- (CA INDEX NAME)



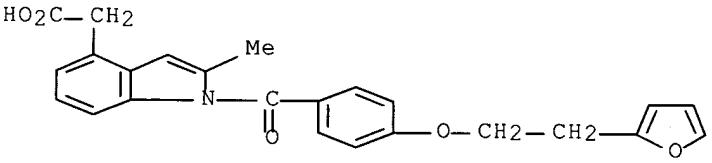
RN 359584-20-6 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(4-morpholinyl)ethoxy]benzoyl]- (CA INDEX NAME)



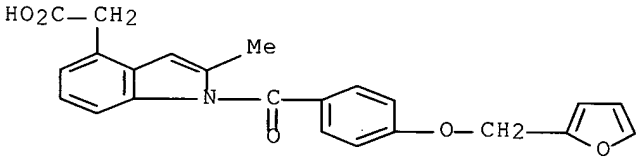
RN 359584-23-9 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(5-methyl-2-furanyl)ethoxy]benzoyl]- (CA INDEX NAME)



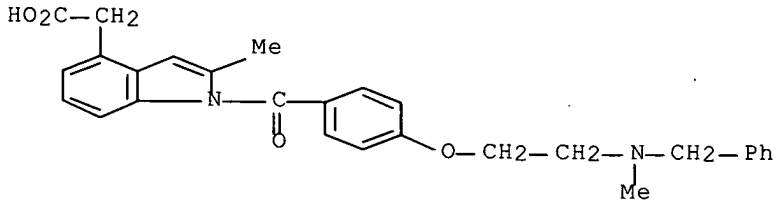
RN 359584-24-0 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(2-furanyl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



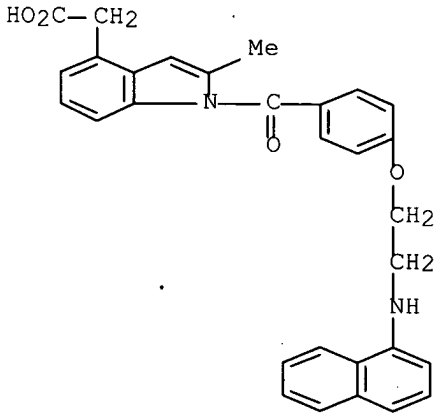
RN 359584-37-5 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-(2-furanylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



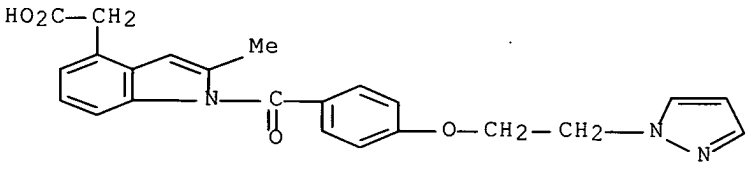
RN 359584-38-6 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-[methyl(phenylmethyl)amino]ethoxy]benzoyl]- (CA INDEX NAME)



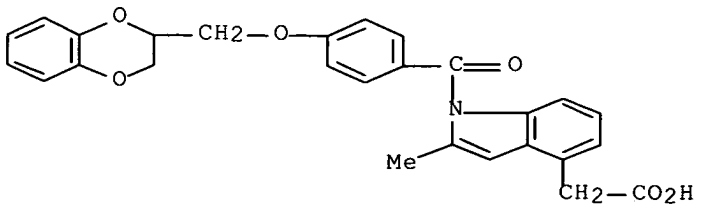
RN 359584-43-3 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(1-naphthalenylamino)ethoxy]benz oyl]- (CA INDEX NAME)



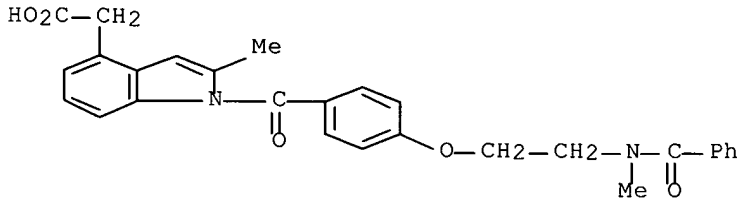
RN 359584-45-5 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(1H-pyrazol-1-yl)ethoxy]benzoyl]- (CA INDEX NAME)



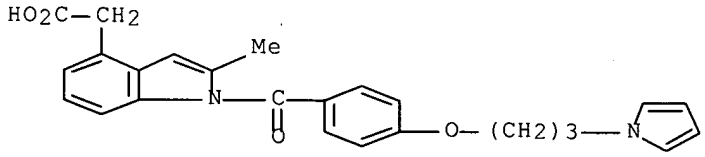
RN 359584-50-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



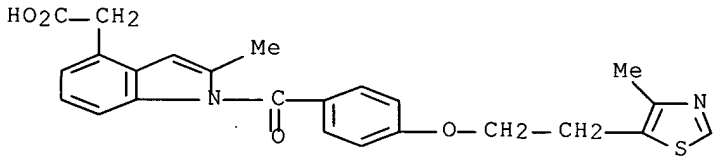
RN 359584-56-8 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(benzoylmethylamino)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



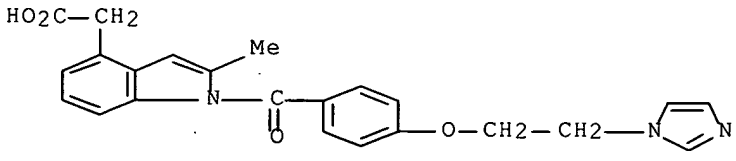
RN 359584-58-0 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[3-(1H-pyrrol-1-yl)propoxy]benzoyl]- (CA INDEX NAME)



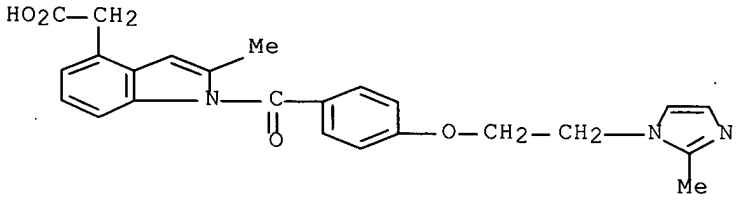
RN 359584-74-0 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(4-methyl-5-thiazolyl)ethoxy]benzoyl]- (CA INDEX NAME)



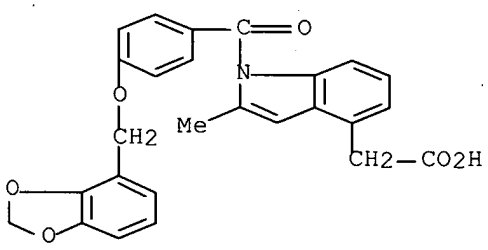
RN 359584-75-1 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



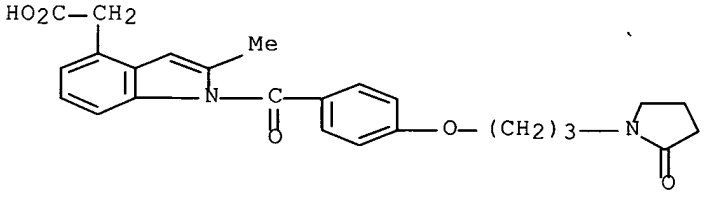
RN 359584-76-2 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(2-methyl-1H-imidazol-1-yl)ethoxy]benzoyl]- (CA INDEX NAME)



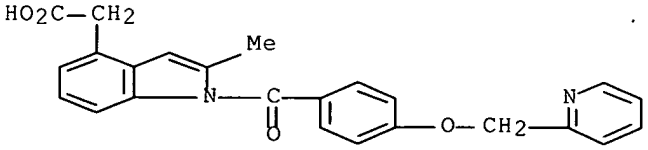
RN 359584-77-3 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-(1,3-benzodioxol-4-ylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



RN 359584-79-5 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[3-(2-oxo-1-pyrrolidinyl)propoxy]benzoyl]- (CA INDEX NAME)

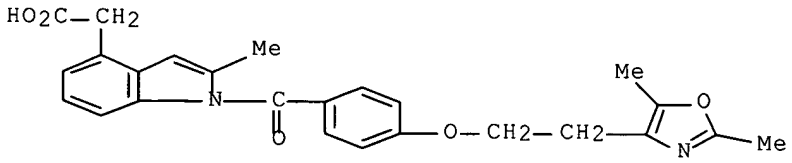


RN 359584-80-8 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(2-pyridinylmethoxy)benzoyl]- (CA INDEX NAME)

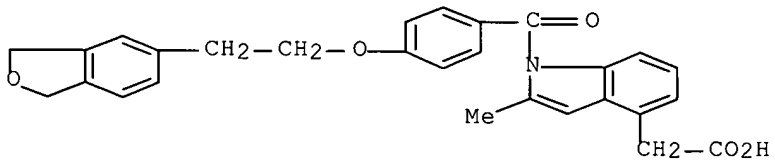


RN 359584-92-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(2,5-dimethyl-4-oxazolyl)ethoxy]benzoyl]-

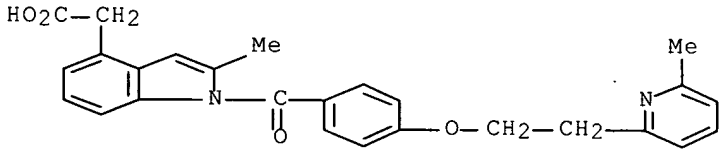
2-methyl- (CA INDEX NAME)



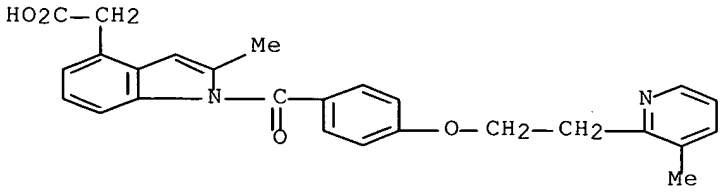
RN 359584-95-5 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(1,3-dihydro-5-isobenzofuranyl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



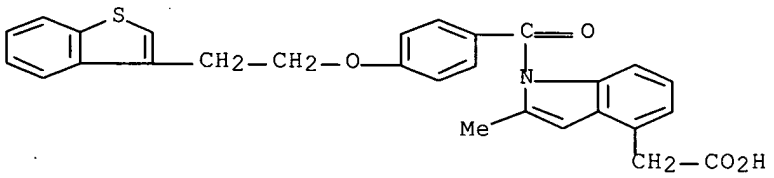
RN 359584-97-7 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(6-methyl-2-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)



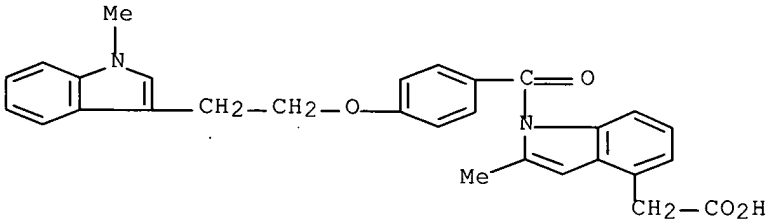
RN 359584-98-8 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(3-methyl-2-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)



RN 359585-00-5 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-(2-benzo[b]thien-3-ylethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-07-2 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(1-methyl-1H-indol-3-yl)ethoxy]benzoyl]- (CA INDEX NAME)



RN 359585-09-4 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(3,4-dihydro-1(2H)-quinolinyl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)

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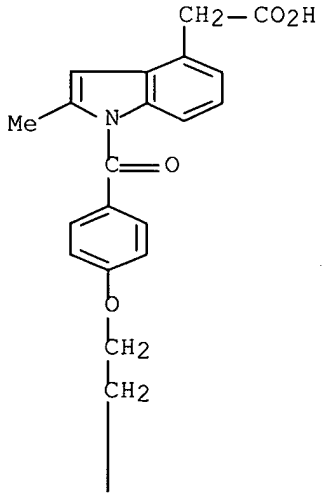
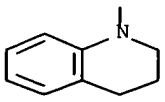
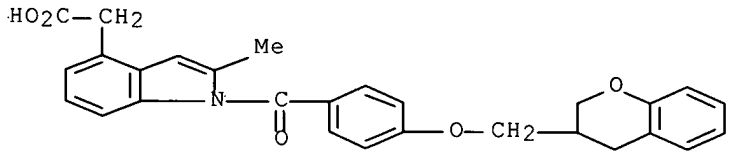


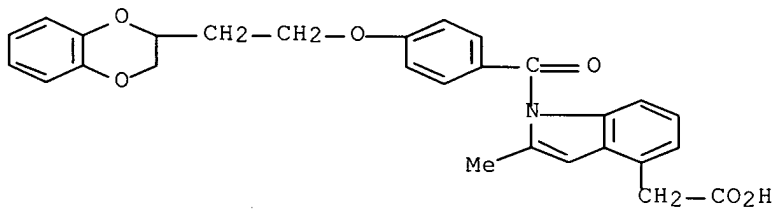
PAGE 2-A



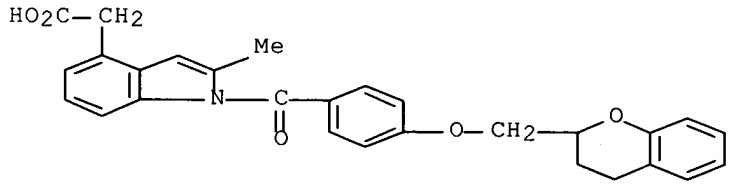
RN 359585-13-0 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-2H-1-benzopyran-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



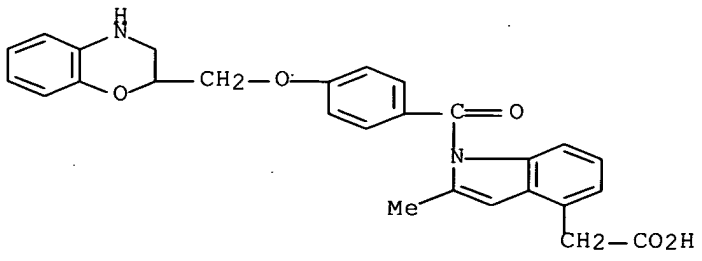
RN 359585-15-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



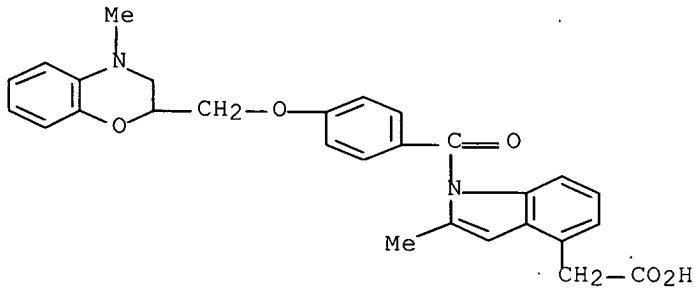
RN 359585-16-3 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-2H-1-benzopyran-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



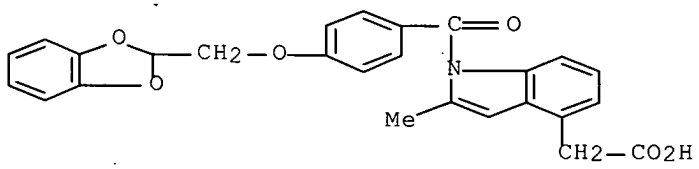
RN 359585-17-4 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



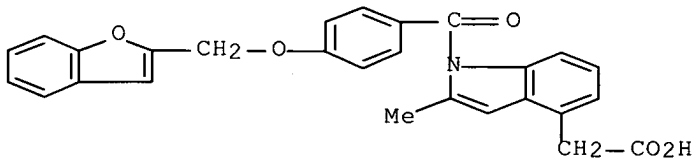
RN 359585-18-5 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



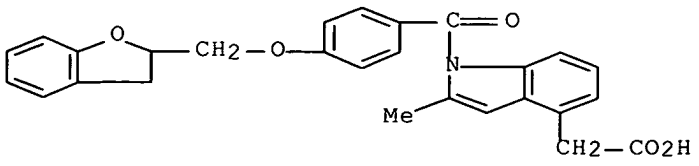
RN 359585-19-6 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-(1,3-benzodioxol-2-ylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



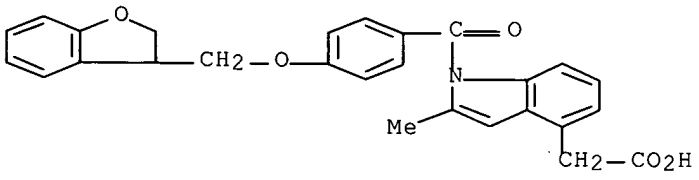
RN 359585-20-9 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-(2-benzofuranylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



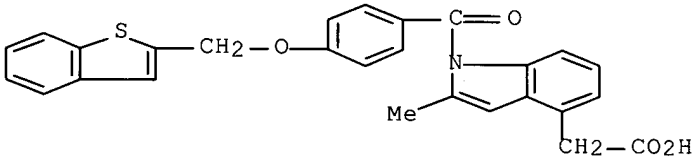
RN 359585-21-0 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-2-benzofuranyl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



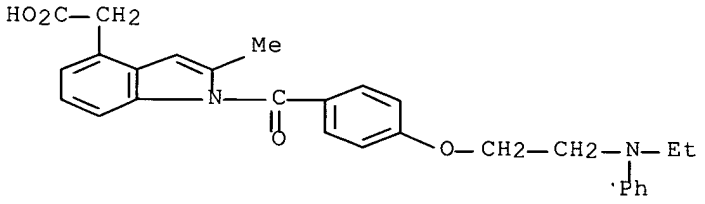
RN 359585-23-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-3-benzofuranyl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-27-6 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-(benzo[b]thien-2-ylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



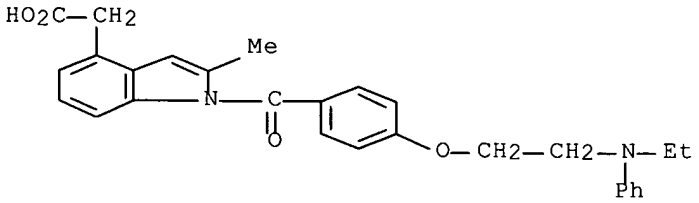
RN 359585-29-8 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(ethylphenylamino)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-30-1 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(ethylphenylamino)ethoxy]benzoyl]-2-methyl-, monoacetate (9CI) (CA INDEX NAME)

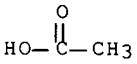
CM 1

CRN 359585-29-8
CMF C28 H28 N2 O4

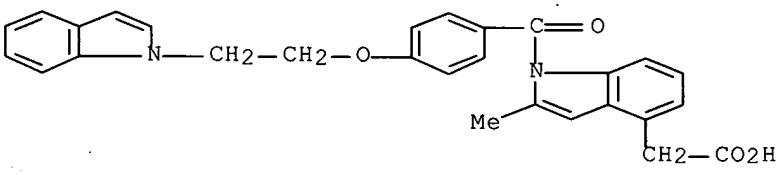


CM 2

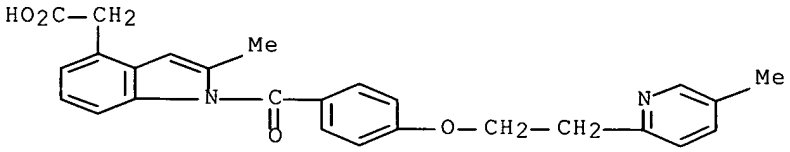
CRN 64-19-7
CMF C2 H4 O2



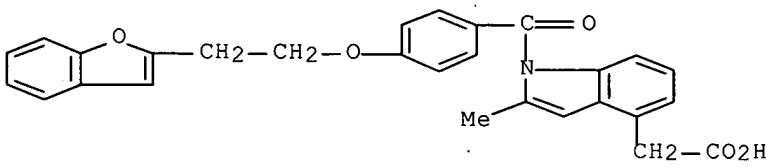
RN 359585-31-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(1H-indol-1-yl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



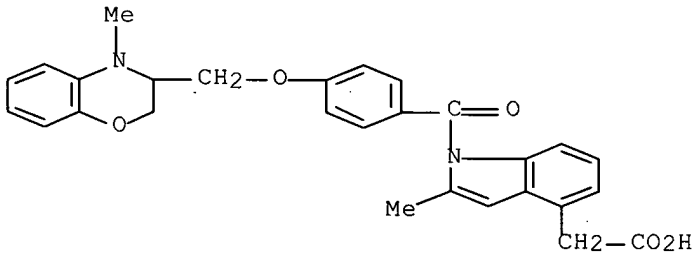
RN 359585-32-3 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(5-methyl-2-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)



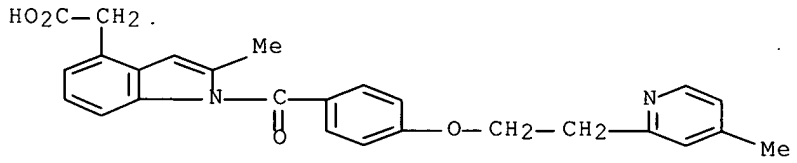
RN 359585-33-4 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(2-benzofuranyl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



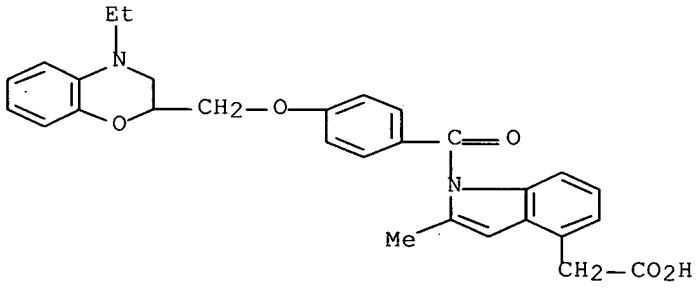
RN 359585-34-5 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4-methyl-2H-1,4-benzoxazin-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



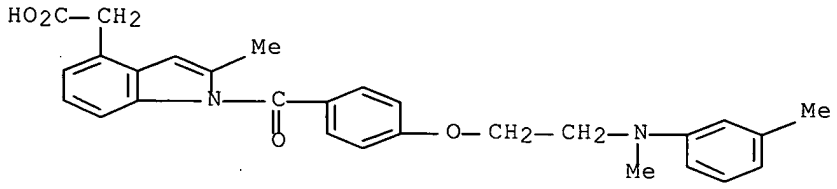
RN 359585-36-7 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-(4-methyl-2-pyridinyl)ethoxy]benzoyl]- (CA INDEX NAME)



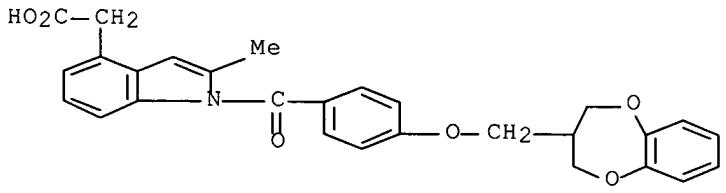
RN 359585-37-8 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(4-ethyl-3,4-dihydro-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



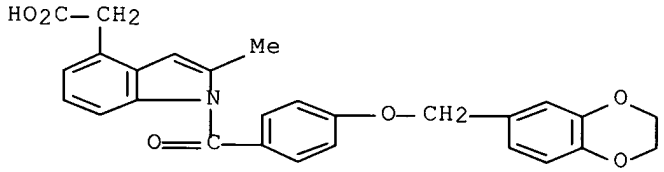
RN 359585-38-9 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[2-[methyl(3-methylphenyl)amino]ethoxy]benzoyl]- (CA INDEX NAME)



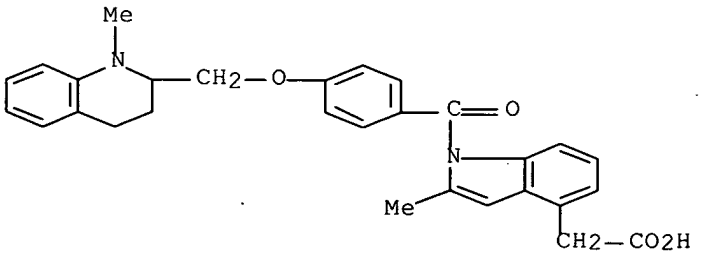
RN 359585-40-3 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-2H-1,5-benzodioxepin-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



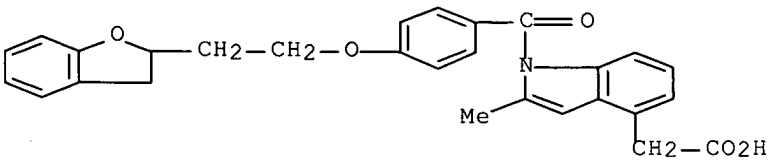
RN 359585-41-4 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



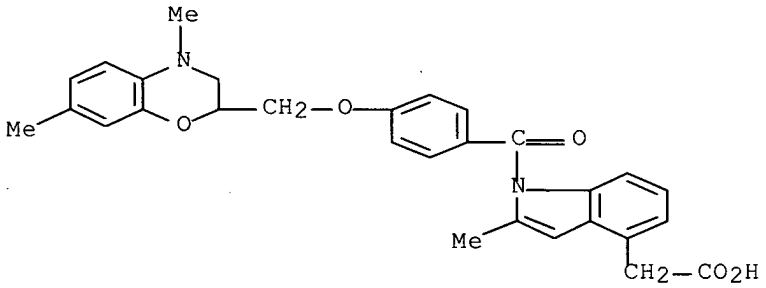
RN 359585-43-6 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(1,2,3,4-tetrahydro-1-methyl-2-quinolinyl)methoxy]benzoyl]- (CA INDEX NAME)



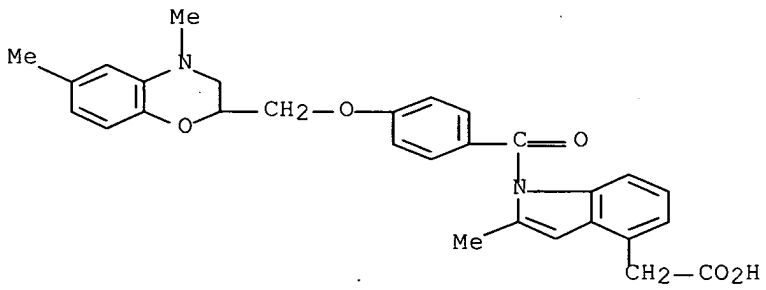
RN 359585-44-7 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(2,3-dihydro-2-benzofuranyl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)



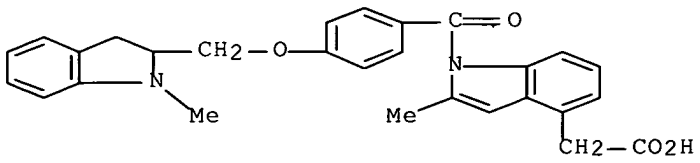
RN 359585-45-8 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



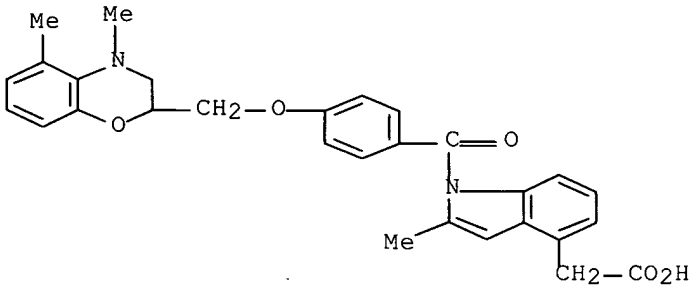
RN 359585-46-9 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4,6-dimethyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



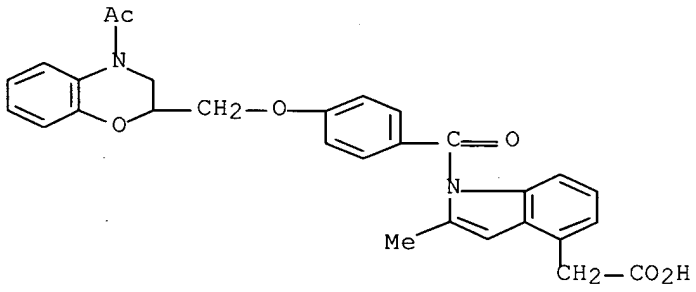
RN 359585-47-0 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-1-methyl-1H-indol-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



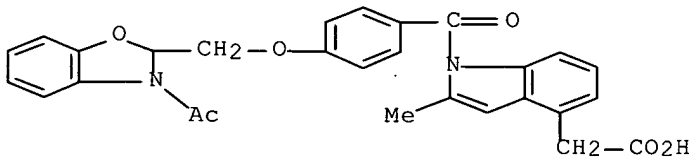
RN 359585-48-1 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4,5-dimethyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



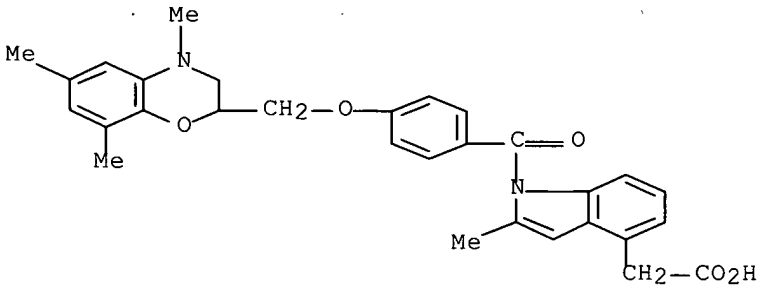
RN 359585-49-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(4-acetyl-3,4-dihydro-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



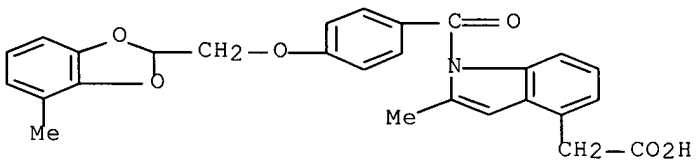
RN 359585-50-5 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3-acetyl-2,3-dihydro-2-benzoxazolyl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



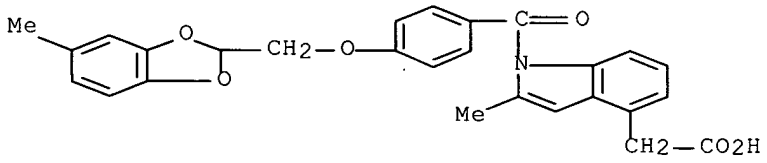
RN 359585-51-6 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4,6,8-trimethyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



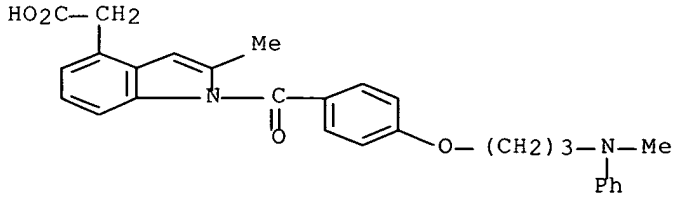
RN 359585-53-8 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(4-methyl-1,3-benzodioxol-2-yl)methoxy]benzoyl]- (CA INDEX NAME)



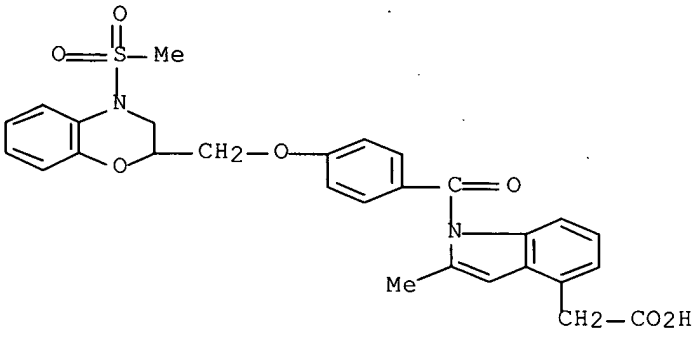
RN 359585-54-9 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(5-methyl-1,3-benzodioxol-2-yl)methoxy]benzoyl]- (CA INDEX NAME)



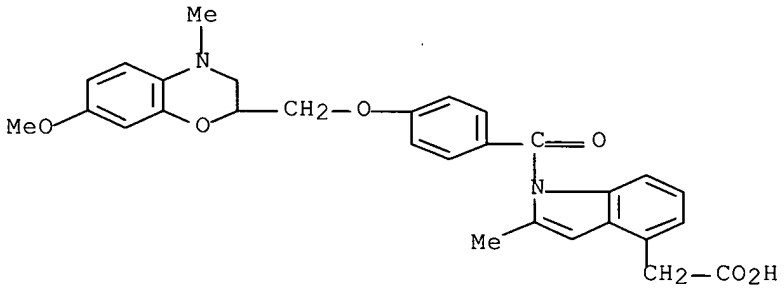
RN 359585-57-2 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[3-(methylphenylamino)propoxy]benzoyl]- (CA INDEX NAME)



RN 359585-58-3 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[[3,4-dihydro-4-(methylsulfonyl)-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-59-4 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-7-methoxy-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-60-7 CAPLUS
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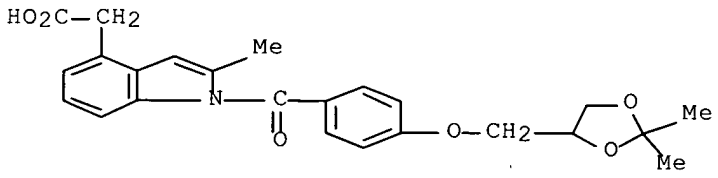
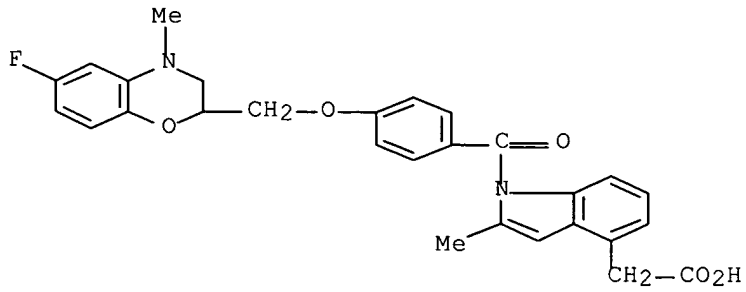
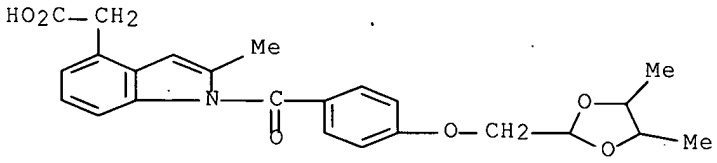


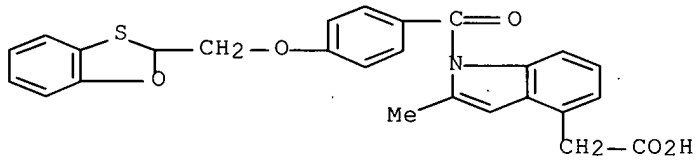
RN 359585-61-8 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(6-fluoro-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-62-9 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(4,5-dimethyl-1,3-dioxolan-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

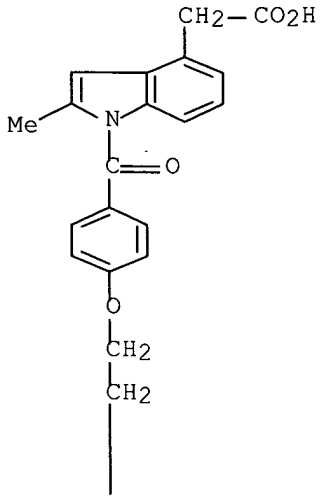


RN 359585-64-1 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-(1,3-benzoxathiol-2-ylmethoxy)benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-65-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-(2,3-dihydro-1,4-benzodioxin-5-yl)ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)

PAGE 1-A



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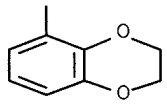
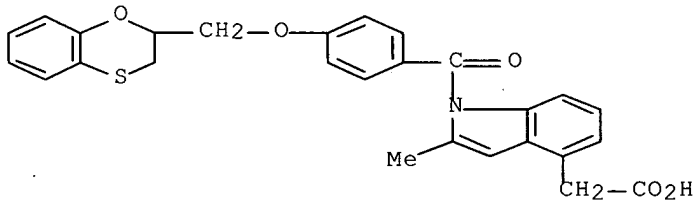
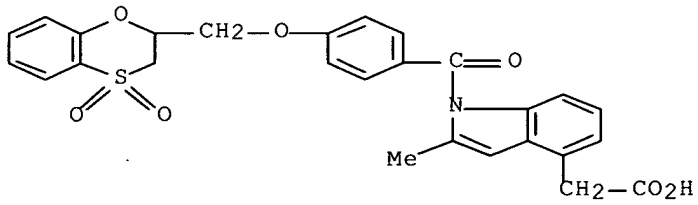


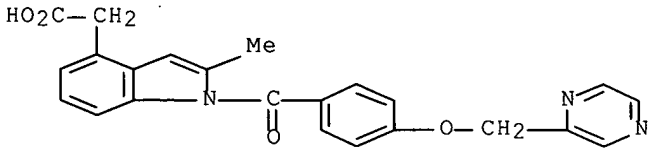
RN 359585-66-3 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-1,4-benzoxathiin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



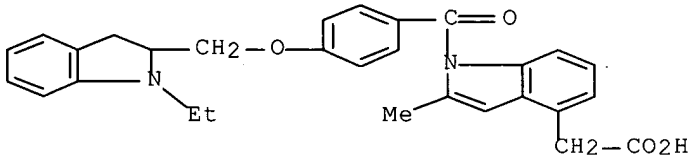
RN 359585-67-4 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-4,4-dioxido-1,4-benzoxathiin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-68-5 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(pyrazinylmethoxy)benzoyl]- (9CI) (CA INDEX NAME)



RN 359585-69-6 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(1-ethyl-2,3-dihydro-1H-indol-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



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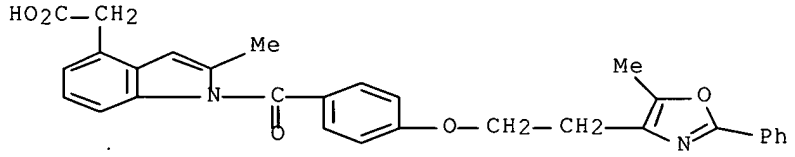
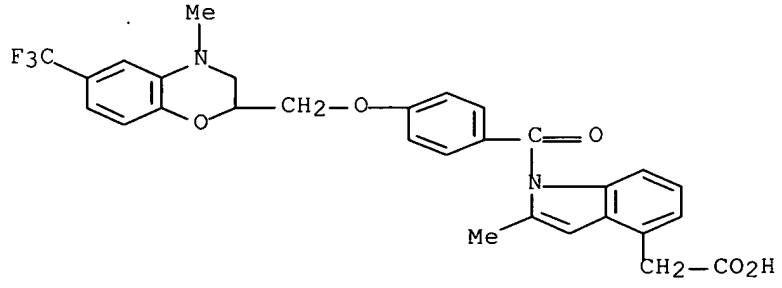
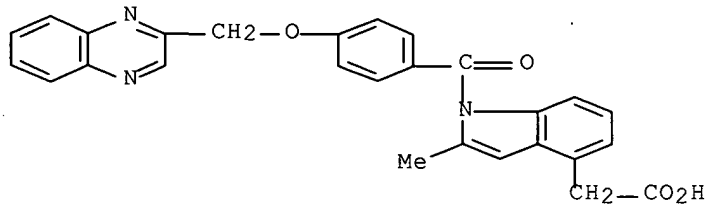


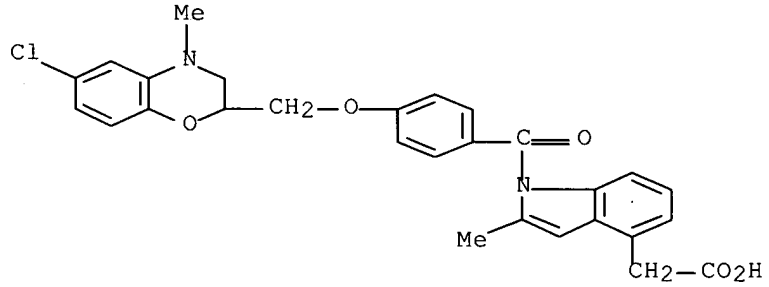
RN 359585-72-1 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[[3,4-dihydro-4-methyl-6-(trifluoromethyl)-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



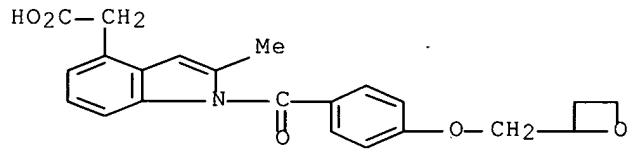
RN 359585-74-3 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(2-quinoxalinylmethoxy)benzoyl]- (CA INDEX NAME)



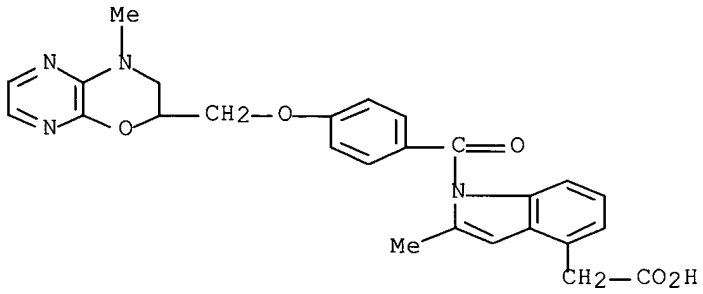
RN 359585-75-4 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(6-chloro-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-78-7 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-(2-oxetanylmethoxy)benzoyl]- (CA INDEX NAME)



RN 359585-79-8 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4-methyl-2H-pyrazino[2,3-b]-1,4-oxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



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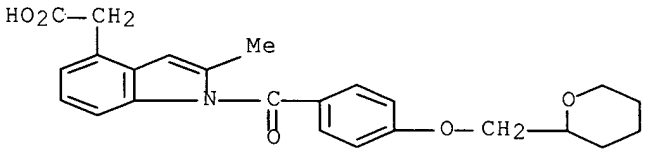
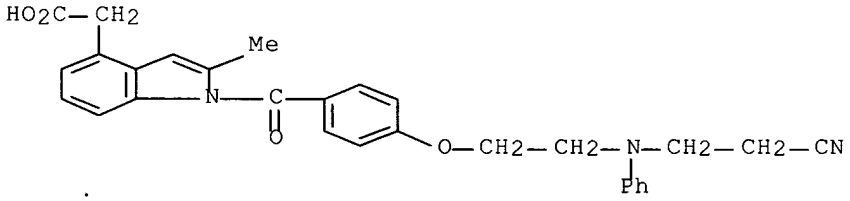
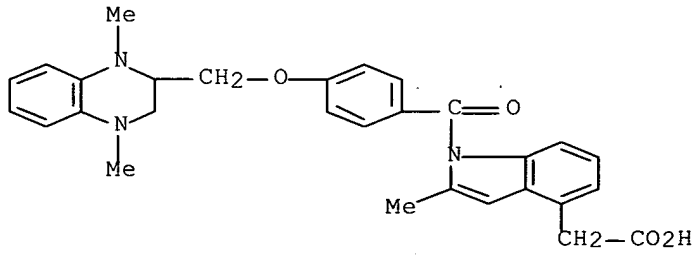
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(tetrahydro-2H-pyran-2-yl)methoxy]benzoyl]- (CA INDEX NAME)



RN 359585-81-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[2-[(2-cyanoethyl)phenylamino]ethoxy]benzoyl]-2-methyl- (CA INDEX NAME)

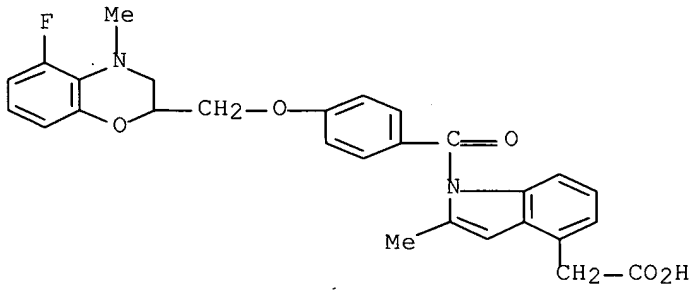


RN 359585-82-3 CAPLUS
CN 1H-Indole-4-acetic acid, 2-methyl-1-[4-[(1,2,3,4-tetrahydro-1,4-dimethyl-2-quinoxalinyl)methoxy]benzoyl]- (CA INDEX NAME)



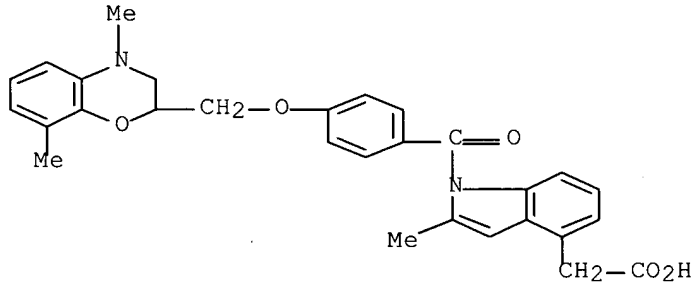
RN 359585-83-4 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(5-fluoro-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-84-5 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4,8-dimethyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-85-6 CAPLUS

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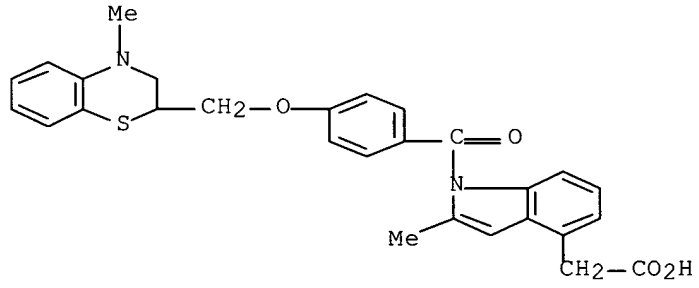
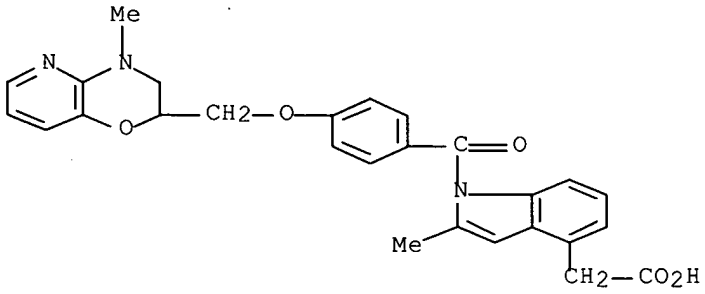
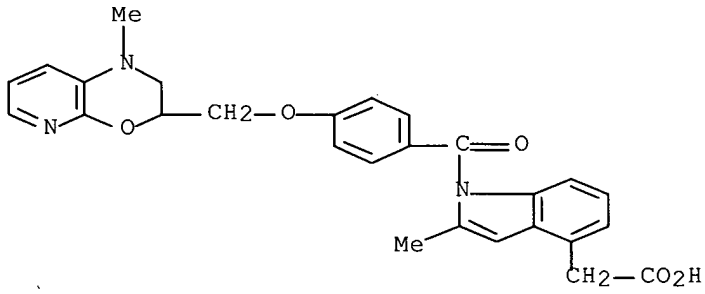


RN 359585-86-7 CAPLUS

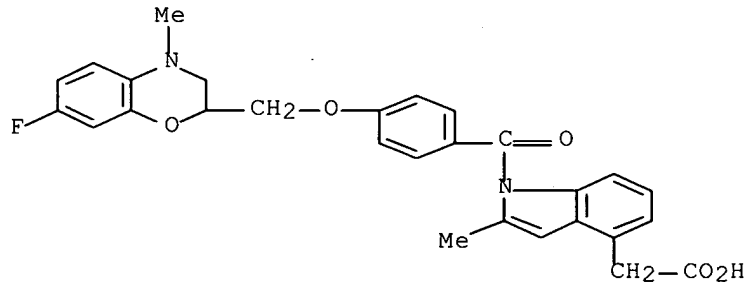
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-4-methyl-2H-pyrido[3,2-b]-1,4-oxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



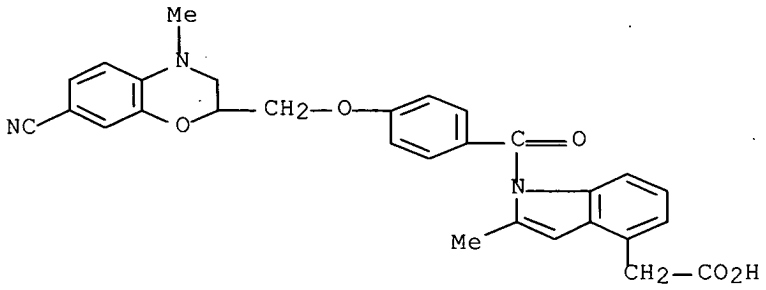
RN 359585-87-8 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-1-methyl-1H-pyrido[2,3-b][1,4]oxazin-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



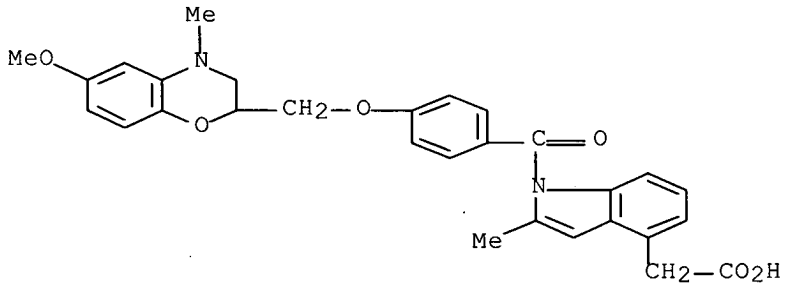
RN 359585-88-9 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(7-fluoro-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-89-0 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(7-cyano-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RN 359585-90-3 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-6-methoxy-4-methyl-2H-1,4-benzoxazin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



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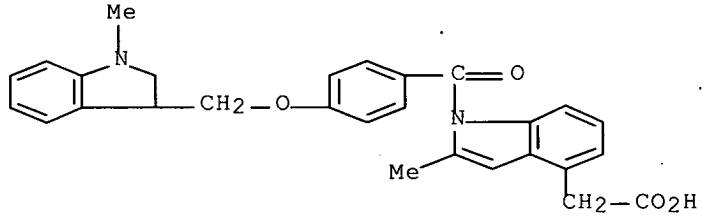

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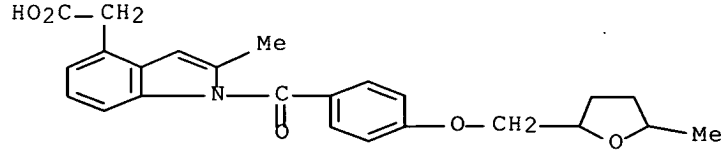

RN 360580-84-3 CAPLUS

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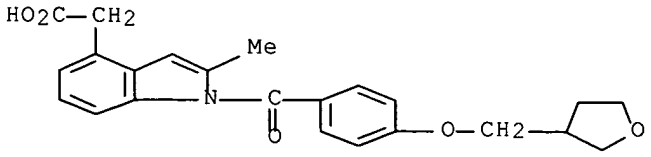

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:628118 CAPLUS Full-text
DN 133:222593
TI Preparation of N-(substituted)benzoyl indoles as estrogenic agents
IN Koko, Marci Catherine; Ullrich, John William; Santilli, Arthur Attilio
PA American Home Products Corporation, USA
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000051983	A1	20000908	WO 2000-US4386	20000222 <--
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP 1159268	A1	20011205	EP 2000-917652	20000222 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002538141	T	20021112	JP 2000-602211	20000222
	MX 2001PA08911	A	20021023	MX 2001-PA8911	20010903
PRAI	US 1999-262413	A	19990304		
	WO 2000-US4386	W	20000222		

OS MARPAT 133:222593
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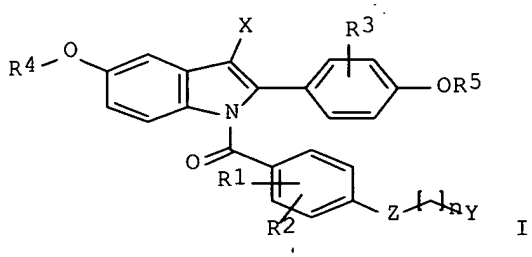


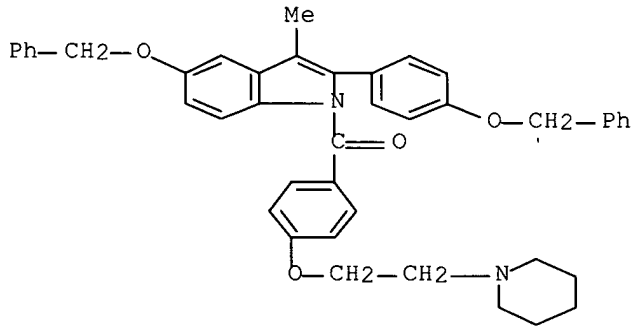
I

AB The title compds. [I; R1-R3 = H, halo, alkoxy, etc.; R4, R5 = H, (un)substituted CH2Ph; X = H, alkyl, CF3; Z = O, S; n = 2-3; Y = N(alkyl)2, pyrrolidino, piperidino, etc.], useful for treating or preventing disease states or syndromes which are caused or associated with an estrogen deficiency (such as bone loss) or an excess of estrogen, were prepared E.g., a 2-step synthesis of the indole I [R1-R5 = H; X = Me; Z = O; n = 2; Y = piperidino] which showed IC50 of 2.0x10-7 M against estrogen receptor binding, was given.

IT 291546-88-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-(substituted)benzoylindoles as estrogenic agents)

RN 291546-88-8 CAPLUS

CN 1H-Indole, 3-methyl-5-(phenylmethoxy)-2-[4-(phenylmethoxy)phenyl]-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



IT 291546-89-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(substituted)benzoylindoles as estrogenic agents)

RN 291546-89-9 CAPLUS

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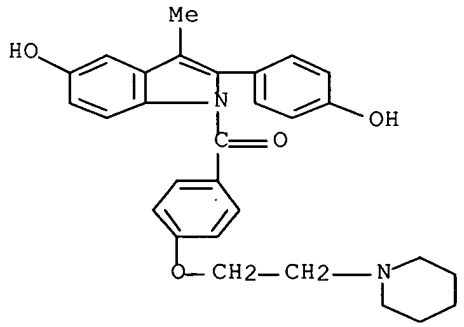

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:117034 CAPLUS Full-text
DN 132:166233
TI Preparation of substituted isoxazoles as estrogen receptor modulators
IN Huebner, Verena D.; Lin, Xiaodong; James, Ian; Chen, Liya; Desai, Manoj; Moore, Jennifer C.; Krywult, Beata; Navaratnam, Thayalan; Singh, Rajinder; Trainor, Rob; Wang, Liang
PA Chiron Corporation, USA
SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2000008001	A1	20000217	WO 1999-US17798	19990806	<--
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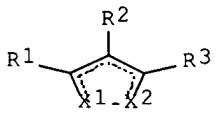
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	AU 9954676	A	20000228	AU 1999-54676	19990806	<--
	EP 1102755	A1	20010530	EP 1999-940916	19990806	<--
	EP 1102755	B1	20060104			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
	US 6262098	B1	20010717	US 1999-369748	19990806	<--
	JP 2002522425	T	20020723	JP 2000-563634	19990806	<--
	AT 315033	T	20060215	AT 1999-940916	19990806	
	ES 2255294	T3	20060616	ES 1999-940916	19990806	
	US 2001036956	A1	20011101	US 2001-833392	20010411	<--
	US 6387920	B2	20020514			
	US 2002111374	A1	20020815	US 2001-954039	20010918	<--
	US 2004034081	A9	20040219			
	US 6727273	B2	20040427			
	US 2003065012	A1	20030403	US 2002-134302	20020425	
	US 6743815	B2	20040601			
	US 2004077701	A1	20040422	US 2003-461914	20030612	
	US 2004102498	A1	20040527	US 2003-713621	20031113	
	US 6869969	B2	20050322			
	US 39708	E1	20070626	US 2004-757347	20040113	
PRAI	US 1998-95773P	P	19980807			
	US 1998-95772P	P	19980807			
	US 1999-369747	A3	19990806			
	US 1999-369748	A3	19990806			
	WO 1999-US17798	W	19990806			
	US 2001-833392	A1	20010411			
	US 2001-954039	A1	20010918			
	US 2002-134302	A1	20020425			

OS MARPAT 132:166233
GI



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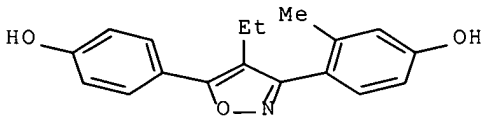


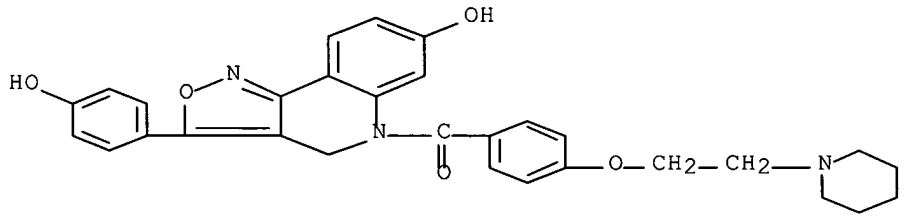
II

AB The title compds. [I; X1, X2 = N, O (if one of X1 and X2 = N, then the other of X1 and X2 = O to form an isoxazole); R1, R3 = alkyl, aryl, heteroaryl, etc.; R2 = H, halo, CN, etc.] which are estrogen receptor agonist and antagonist compds. having unexpected and surprising activity in modulating estrogen receptor activity, and therefore are useful in preventing or treating estrogen receptor-mediated disorders such as osteoporosis, breast and endometrial cancers, atherosclerosis, and Alzheimer's disease, were prepared E.g., a multi-step synthesis of II, starting with 2'-methyl-4'-methoxyacetophenone, was given. Biol. data for compds. I were presented.

IT 258860-05-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted isoxazoles as estrogen receptor modulators)

RN 258860-05-8 CAPLUS

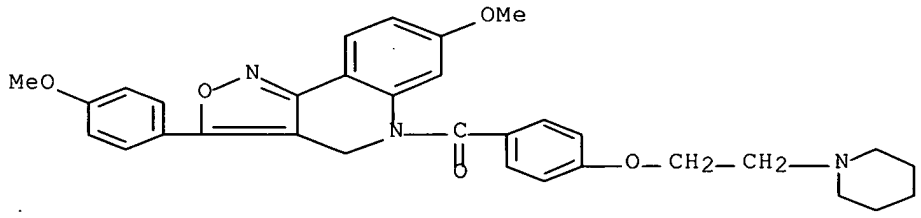
CN Isoxazolo[4,3-c]quinolin-7-ol, 4,5-dihydro-3-(4-hydroxyphenyl)-5-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



IT 258860-20-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted isoxazoles as estrogen receptor modulators)

RN 258860-20-7 CAPLUS

CN Isoxazolo[4,3-c]quinoline, 4,5-dihydro-7-methoxy-3-(4-methoxyphenyl)-5-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:709058 CAPLUS Full-text
DN 129:343423
TI 2-Benzoyl-1,2,3,4-tetrahydroisoquinoline-3-carboxamide derivatives and their use as inhibitors of hepatic production of ApoB-100
IN Daugan, Alain Claude-Marie; Pianetti, Pascal Maurice Charles
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9847877	A1	19981029	WO 1998-EP2244	19980420 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9875265	A	19981113	AU 1998-75265	19980420 <--
	IN 1998CA00672	A	20051202	IN 1998-CA672	19980420
PRAI	GB 1997-8119	A	19970422		
	WO 1998-EP2244	W	19980420		

OS MARPAT 129:343423
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

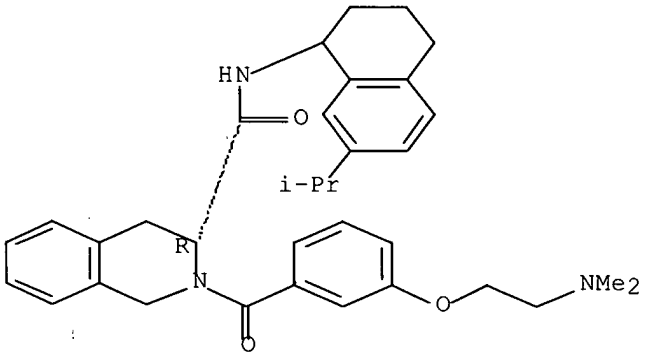
AB The invention relates to compds. I [wherein R0 = H, halo, C1-4 alkyl, C1-4 alkoxy, or methylenedioxy; n = 1-4; R1 = H, halo, C1-4 alkyl, C1-4 alkoxy, CF3O, or methylenedioxy; p = 1-4; R2 = H, halo, C1-4 alkyl, C1-4 alkoxy, methylenedioxy, NR4R5, -(C1-4 alkylene)-NR6R7, -NR4- or -O-(C1-4 alkylene)-NR8R9, 4-morpholino, or 4-R10-piperazin-1-yl, m = 1-4; R3 = H or C1-4 alkyl; R4-R10 = H or C1-4 alkyl] and their pharmaceutically acceptable salts or solvates, to processes for their preparation, and their use in the treatment of conditions mediated by ApoB-100 regulation. In particular, as inhibitors of hepatic ApoB-100 production, I are of use in treatment of pancreatitis, NIDDM, coronary heart disease, hyperlipidemia, and hypercholesterolemia. For instance, (+)-7-methyl-1,2,3,4- tetrahydronaphthalen-1-ylamine (resolution given) was coupled with 2-BOC-D-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid using EDC and HOBT, and the resultant amide was deprotected with CF3CO2H and coupled with 4-MeC6H4CO2H under similar conditions to give title compound II (+)-isomer. In a test for potency and selectivity, II inhibited production of ApoB-100 in HepG2 cells in vitro with an IC50 of 0.9 nM, but showed an IC50 of > 5000 nM toward ApoA-1 production in the same assay. Almost 50 compds. were prepared, and their stereo-unspecified forms were claimed. Approx. 60 intermediates were prepared, 7 compds. were bioassayed, and 21 pharmaceutical formulations were listed.

IT 215314-18-4P 215314-19-5P 215314-20-8P
215314-27-5P 215314-31-1P 215314-32-2P
215314-34-4P 215315-02-9P 215315-04-1P
215315-05-2P 215315-09-6P 215315-13-2P
215315-15-4P 215315-16-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(product; preparation of benzoyltetrahydroisoquinolinecarboxamide derivs. as
inhibitors of hepatic production of ApoB-100)

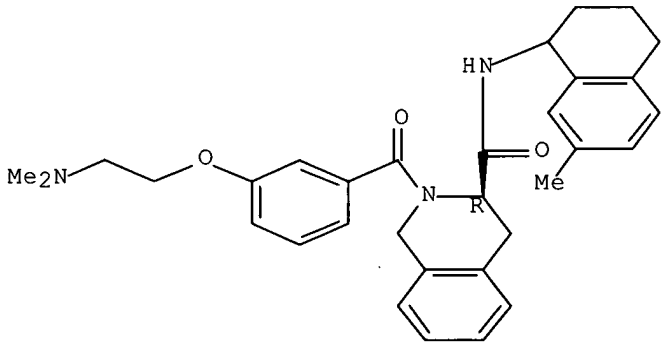
RN 215314-18-4 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



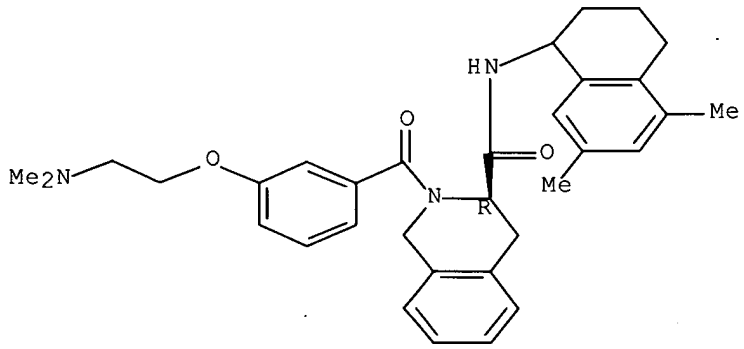
RN 215314-19-5 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



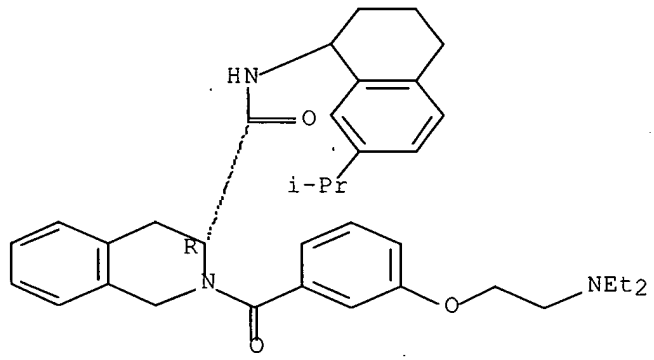
RN 215314-20-8 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



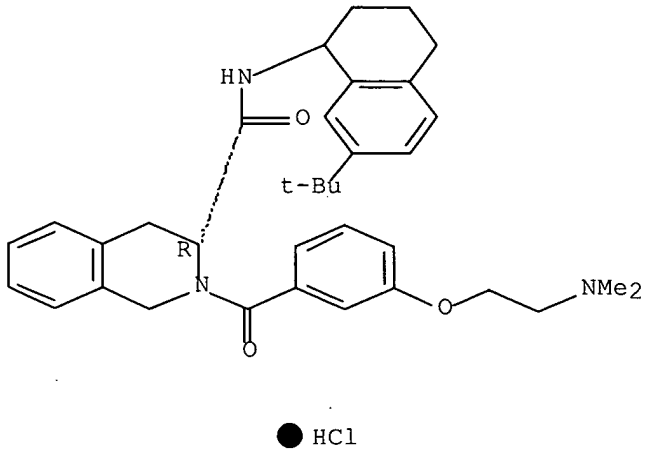
RN 215314-27-5 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(diethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, (3R)-
(CA INDEX NAME)

Absolute stereochemistry.



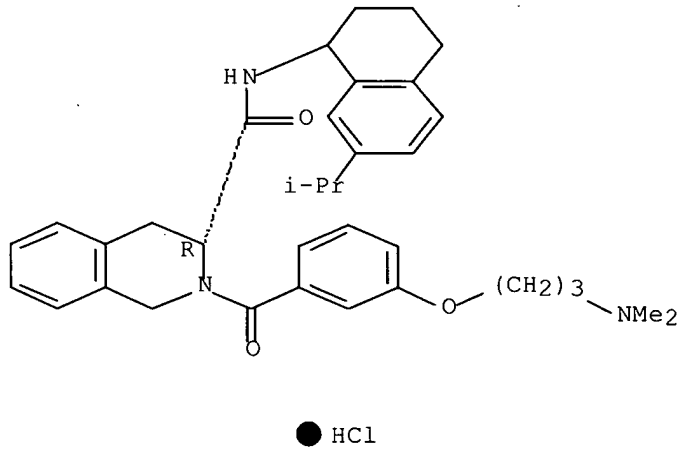
RN 215314-31-1 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



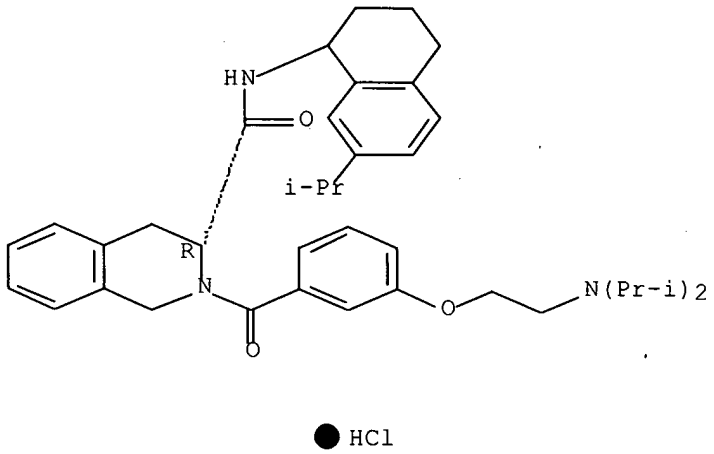
RN 215314-32-2 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[3-(dimethylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

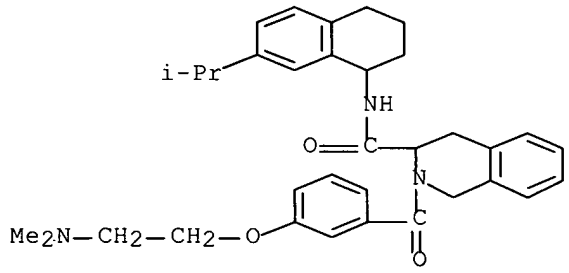


RN 215314-34-4 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-[bis(1-methylethyl)amino]ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

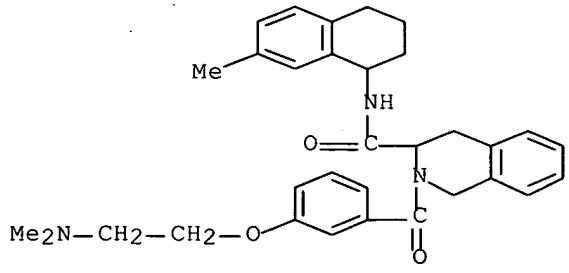
Absolute stereochemistry.



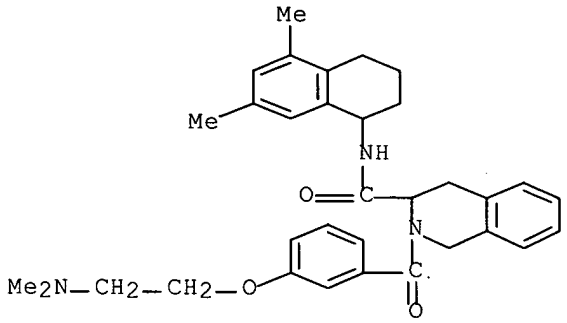
RN 215315-02-9 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (CA INDEX NAME)



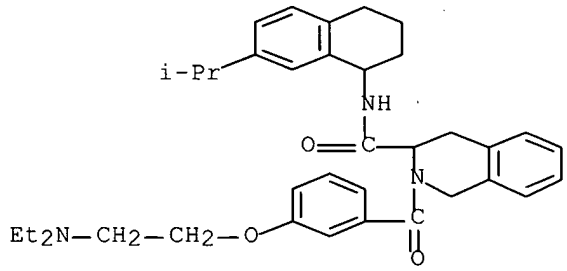
RN 215315-04-1 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-7-methyl-1-naphthalenyl)- (CA INDEX NAME)



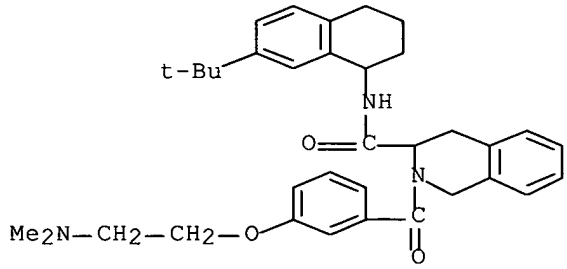
RN 215315-05-2 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-(1,2,3,4-tetrahydro-5,7-dimethyl-1-naphthalenyl)- (CA INDEX NAME)



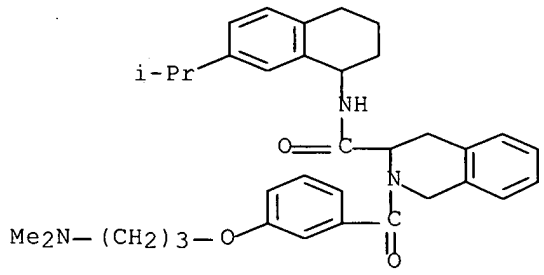
RN 215315-09-6 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(diethylamino)ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (CA INDEX NAME)



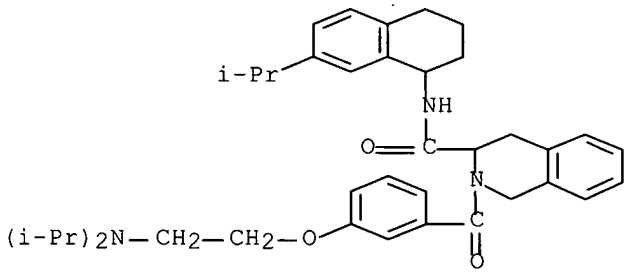
RN 215315-13-2 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-(dimethylamino)ethoxy]benzoyl]-N-[7-(1,1-dimethylethyl)-1,2,3,4-tetrahydro-1-naphthalenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



RN 215315-15-4 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[3-(dimethylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (CA INDEX NAME)



RN 215315-16-5 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[3-[2-[bis(1-methylethyl)amino]ethoxy]benzoyl]-1,2,3,4-tetrahydro-N-[1,2,3,4-tetrahydro-7-(1-methylethyl)-1-naphthalenyl]- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:621219 CAPLUS Full-text
DN 129:260346
TI Preparation of 4,5,6,7-tetrahydro-thieno[3,2-c]pyridines for the treatment of diseases related to glucose metabolic pathways
IN Madsen, Peter; Lundbeck, Jane Marie; Westergaard, Niels; Naerum, Lars; Varming, Annemarie Reinhardt; Demuth, Helle; Heide, Morten
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9840385	A1	19980917	WO 1998-DK83	19980306 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6177443	B1	20010123	US 1998-35464	19980305 <--
	AU 9862909	A	19980929	AU 1998-62909	19980306 <--

	EP 973778	A1	20000126	EP 1998-906858	19980306 <--
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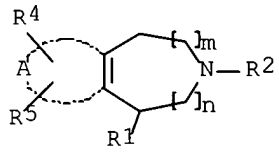
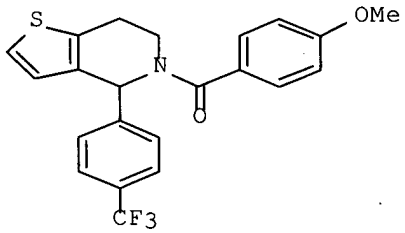
	JP 2001514631	T	20010911	JP 1998-539099	19980306 <--
	ZA 9801965	A	19980907	ZA 1998-1965	19980309 <--
	IN 1998CA00372	A	20050708	IN 1998-CA372	19980309
PRAI	DK 1997-249	A	19970307		
	DK 1997-1365	A	19971127		
	US 1997-41641P	P	19970327		
	US 1997-67809P	P	19971208		
	WO 1998-DK83	W	19980306		

OS MARPAT 129:260346

GI



I



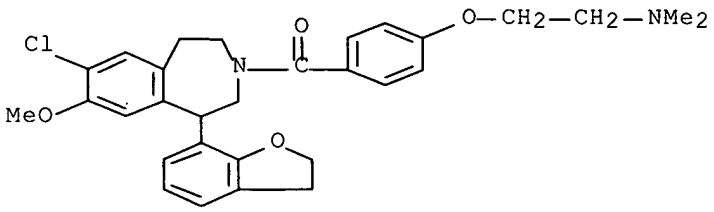
II

AB The title compds. [I; A together with the double bond = benzene, thiophene, furan, etc.; R1 = (un)substituted C1-6 alkyl, aryl; R2 = (un)substituted C1-6 alkyl, aralkyl, COR3; R3 = (un)substituted C1-6 alkyl, aralkyl, aryl; R4, R5 = H, halo, perhalomethyl, etc.; n = 0-2; m = 0-2], which modulate the activity of mols. with glucose-6-phosphate recognition units, including glucose-6-phosphatases (G-6-Pases) in in vitro systems, microorganisms, eukaryotic cells, whole animals and human beings, and are useful in the treatment of diseases related to glucose metabolic pathways such as hyperglycemia, diabetes (preferably NIDDM), hypoglycemia, and glycogen storage disease, were prepared and formulated. Thus, reaction of 4-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydrothieno[3,2- c]pyridine with p-anisoyl chloride in the presence of Et3N in CH2Cl2 afforded 100% the title compound II. Compds. I can be characterized by having a glucose-6-phosphatase inhibitory activity corresponding to an IC50 of < 100 μM, preferably < 10 μM, more preferably < 1 μM, still more preferably < 100 nM.

IT 213460-84-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4,5,6,7-tetrahydro-thieno[3,2-c]pyridines for the treatment of diseases related to glucose metabolic pathways)

RN 213460-84-5 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-1-(2,3-dihydro-7-benzofuranyl)-3-[4-[2-(dimethylamino)ethoxy]benzoyl]-2,3,4,5-tetrahydro-8-methoxy- (9CI) (CA INDEX NAME)

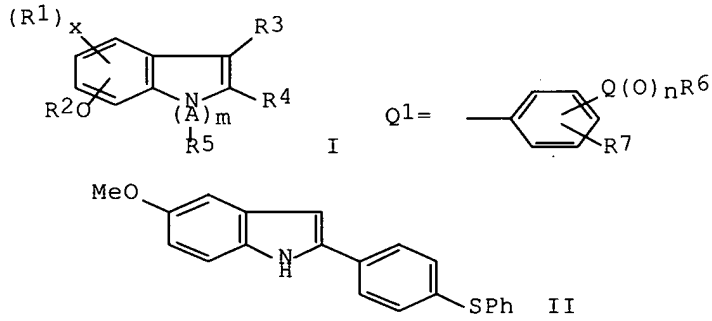


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1994:533962 CAPLUS Full-text
DN 121:133962
TI Preparation of indole derivatives as antiestrogenic agents
IN Inai, Masatoshi; Shibutani, Tadanao; Kanaya, Jun; Moritake, Masako; Tanaka, Akie
PA Otsuka Pharmaceutical Factory, Inc., Japan
SO PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9323374	A1	19931125	WO 1993-JP560	19930428	<--
	W: AU, CA, JP, KR, US					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	AU 9342711	A	19931213	AU 1993-42711	19930428	<--
	AU 665690	B2	19960111			
	EP 639567	A1	19950222	EP 1993-911947	19930428	<--
	R: AT, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE					
	US 5496844	A	19960305	US 1994-335833	19941108	<--
PRAI	JP 1992-116126	A	19920508			
	WO 1993-JP560	A	19930428			

OS CASREACT 121:133962; MARPAT 121:133962
GI

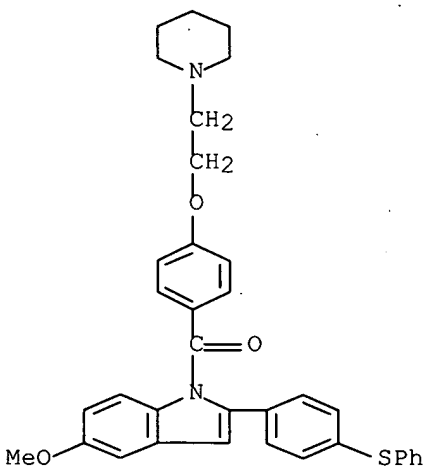


AB The title compds. I [R1 = halo; R2 = H, alkyl, alkanoyl, benzoyl; R3 = H, alkyl, halo; R4 = thienyl, Q1; R6 = alkyl, cycloalkyl, (substituted) Ph, etc.;

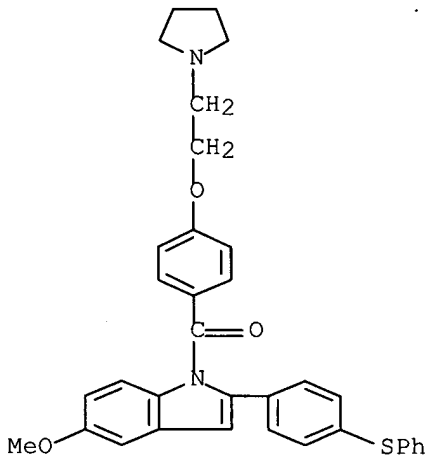
R7 = H, allyl; Q = S, selenium; A = alkylene; m = 0, 1; when m = 0, R5 = H, alkyl, etc.; when m = 1, R5 = alkoxycarbonyl, CONR9R10, etc.; R9, R10 = H, alkyl, etc.; n = 0-2; x = 0-2] were prepared I are potent antiestrogenic agents and are useful in the treatment of anovular infertility, prostatomegaly, breast cancer, etc. A mixture of p-anisidine, p-(PhS)C6H4COCH2Br, and N,N-dimethylaniline was stirred at 170° for 3 h to give, after workup, title compound II. The relative binding affinity (RBA) values of the title compds. in an in vitro test using rat uterus cytoplasm and 3H-moxestrol were 41-121. RBA = IC50 of moxestrol/IC50 of title compound Formulations containing I are given.

IT 156803-52-0P 156803-53-1P 156803-54-2P
156803-55-3P 156803-56-4P 156803-58-6P
156803-59-7P 156803-60-0P 156803-61-1P
156803-62-2P 156803-63-3P 156803-64-4P
156803-65-5P 156803-66-6P 156803-67-7P
156803-90-6P 156803-92-8P 156803-93-9P
156803-94-0P 156803-96-2P 156803-99-5P
156804-00-1P 156804-01-2P 156804-02-3P
156804-18-1P 156804-19-2P 156804-20-5P
156804-21-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiestrogenic agent)

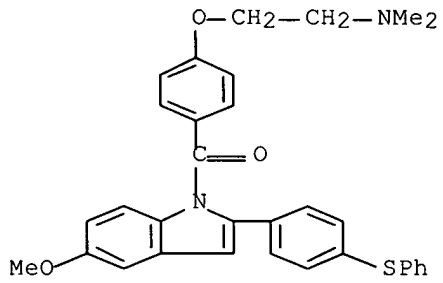
RN 156803-52-0 CAPLUS
CN 1H-Indole, 5-methoxy-2-[4-(phenylthio)phenyl]-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



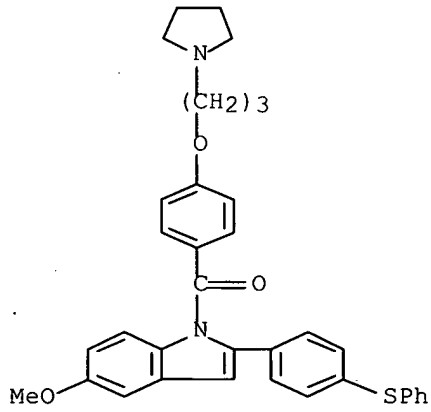
RN 156803-53-1 CAPLUS
CN 1H-Indole, 5-methoxy-2-[4-(phenylthio)phenyl]-1-[4-[2-(1-pyrrolidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



RN 156803-54-2 CAPLUS
CN 1H-Indole, 1-[4-[2-(dimethylamino)ethoxy]benzoyl]-5-methoxy-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)

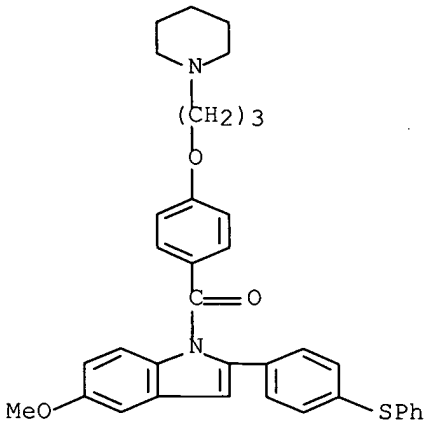


RN 156803-55-3 CAPLUS
CN 1H-Indole, 5-methoxy-2-[4-(phenylthio)phenyl]-1-[4-[3-(1-pyrrolidinyl)propoxy]benzoyl]- (9CI) (CA INDEX NAME)

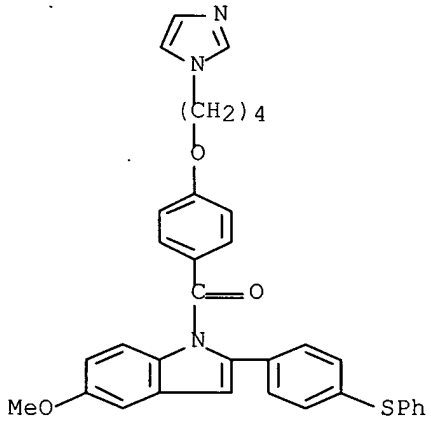


RN 156803-56-4 CAPLUS
CN 1H-Indole, 5-methoxy-2-[4-(phenylthio)phenyl]-1-[4-[3-(1-

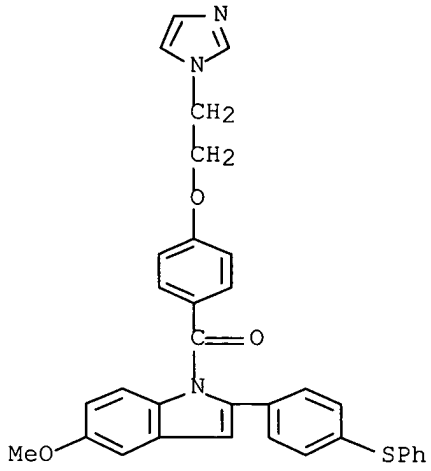
piperidinyl)propoxy]benzoyl]- (9CI) (CA INDEX NAME)



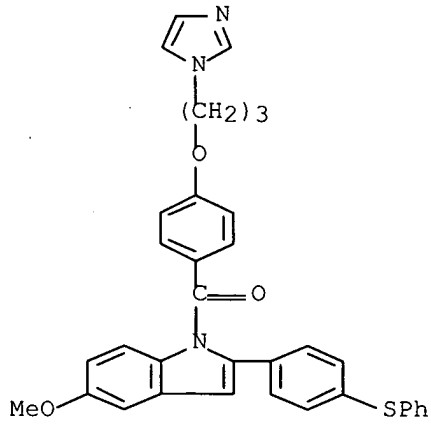
RN 156803-58-6 CAPLUS
CN 1H-Indole, 1-[4-[4-(1H-imidazol-1-yl)butoxy]benzoyl]-5-methoxy-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



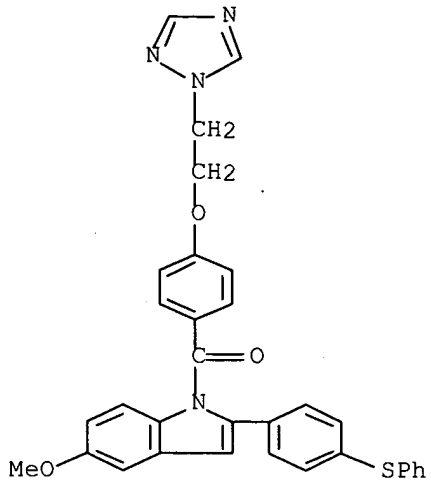
RN 156803-59-7 CAPLUS
CN 1H-Indole, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-5-methoxy-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



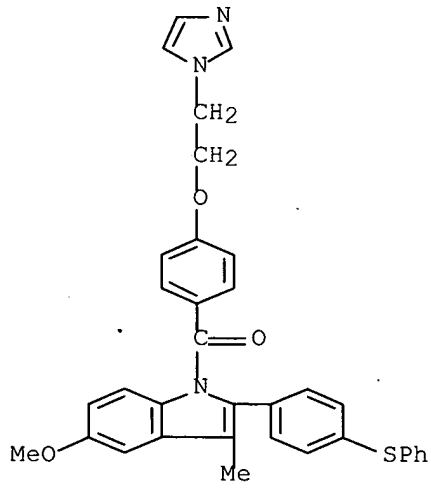
RN 156803-60-0 CAPLUS
CN 1H-Indole, 1-[4-[3-(1H-imidazol-1-yl)propoxy]benzoyl]-5-methoxy-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



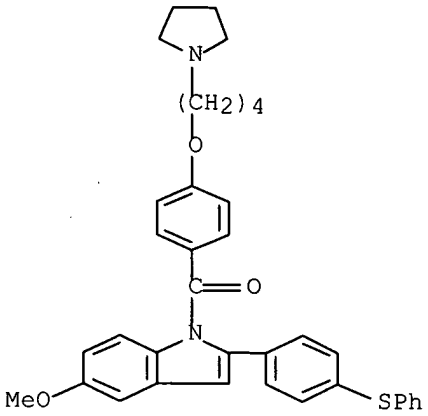
RN 156803-61-1 CAPLUS
CN 1H-Indole, 5-methoxy-2-[4-(phenylthio)phenyl]-1-[4-[2-(1H-1,2,4-triazol-1-yl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



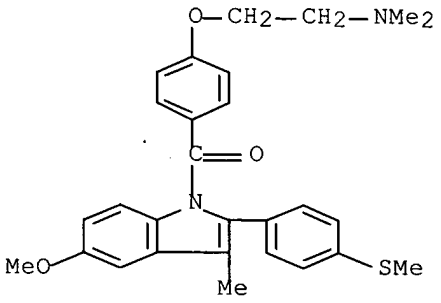
RN 156803-62-2 CAPLUS
CN 1H-Indole, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-5-methoxy-3-methyl-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



RN 156803-63-3 CAPLUS
CN 1H-Indole, 5-methoxy-2-[4-(phenylthio)phenyl]-1-[4-[4-(1-pyrrolidinyl)butoxy]benzoyl]- (9CI) (CA INDEX NAME)

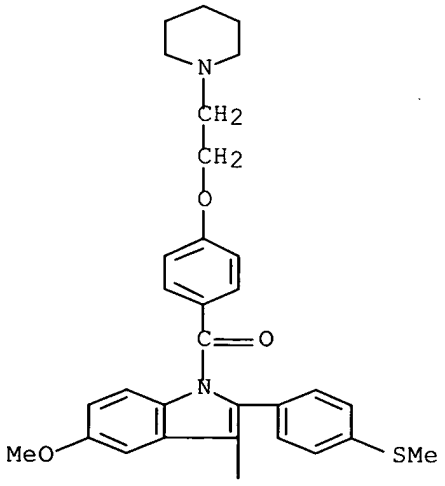


RN 156803-64-4 CAPLUS
CN 1H-Indole, 1-[4-[2-(dimethylamino)ethoxy]benzoyl]-5-methoxy-3-methyl-2-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



RN 156803-65-5 CAPLUS
CN 1H-Indole, 5-methoxy-3-methyl-2-[4-(methylthio)phenyl]-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

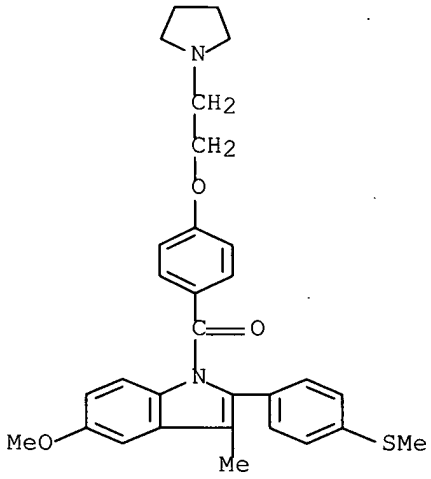
PAGE 1-A



PAGE 2-A

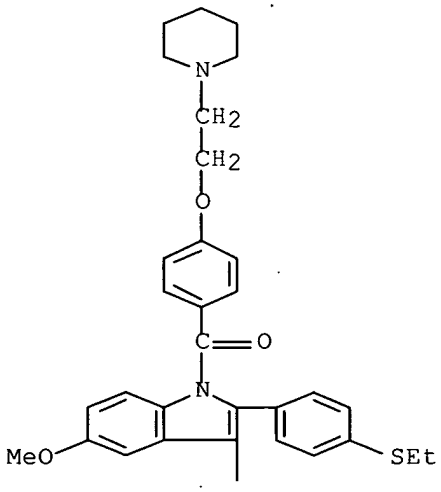
Me

RN 156803-66-6 CAPLUS
CN 1H-Indole, 5-methoxy-3-methyl-2-[4-(methylthio)phenyl]-1-[4-[2-(1-pyrrolidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



RN 156803-67-7 CAPLUS
CN 1H-Indole, 2-[4-(ethylthio)phenyl]-5-methoxy-3-methyl-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

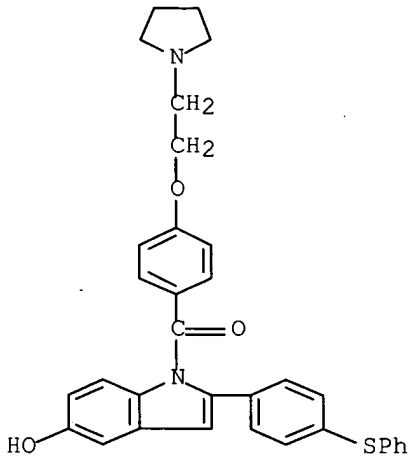
PAGE 1-A



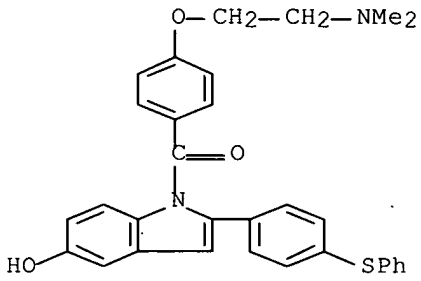
PAGE 2-A

Me

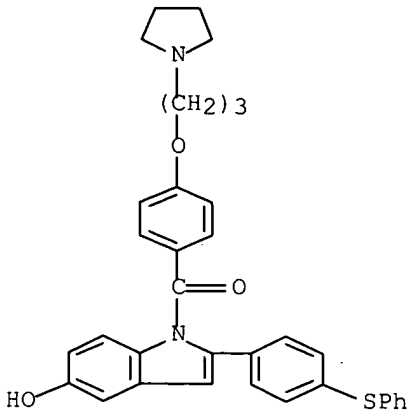
RN 156803-90-6 CAPLUS
CN 1H-Indol-5-ol, 2-[4-(phenylthio)phenyl]-1-[4-[2-(1-pyrrolidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



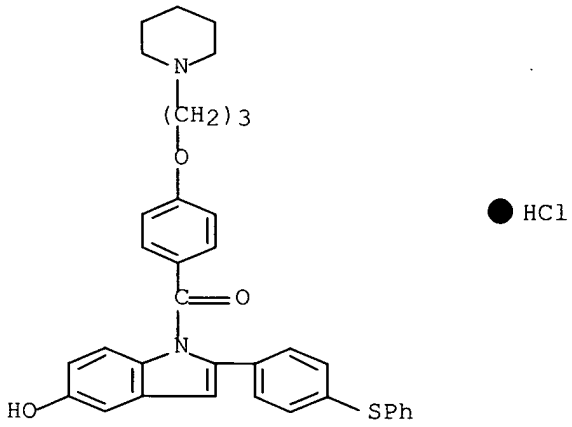
RN 156803-92-8 CAPLUS
CN 1H-Indol-5-ol, 1-[4-[2-(dimethylamino)ethoxy]benzoyl]-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



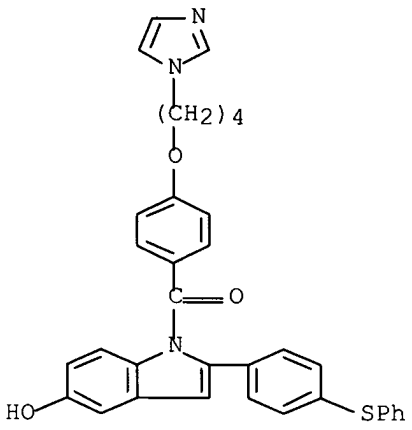
RN 156803-93-9 CAPLUS
CN 1H-Indol-5-ol, 2-[4-(phenylthio)phenyl]-1-[4-[3-(1-pyrrolidinyl)propoxy]benzoyl]- (9CI) (CA INDEX NAME)



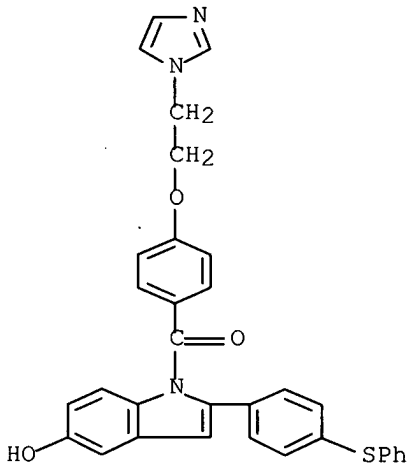
RN 156803-94-0 CAPLUS
CN 1H-Indol-5-ol, 2-[4-(phenylthio)phenyl]-1-[4-[3-(1-piperidinyl)propoxy]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



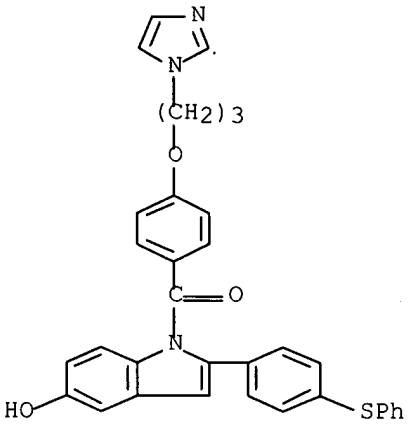
RN 156803-96-2 CAPLUS
CN 1H-Indol-5-ol, 1-[4-[4-(1H-imidazol-1-yl)butoxy]benzoyl]-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



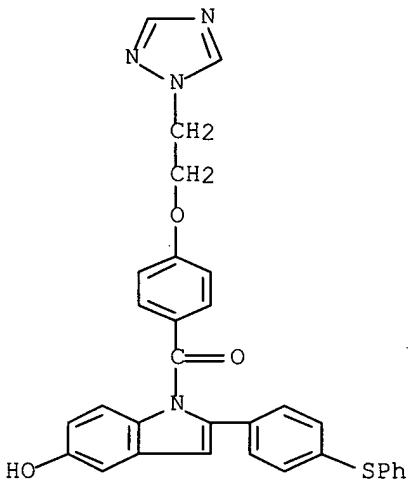
RN 156803-99-5 CAPLUS
CN 1H-Indol-5-ol, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



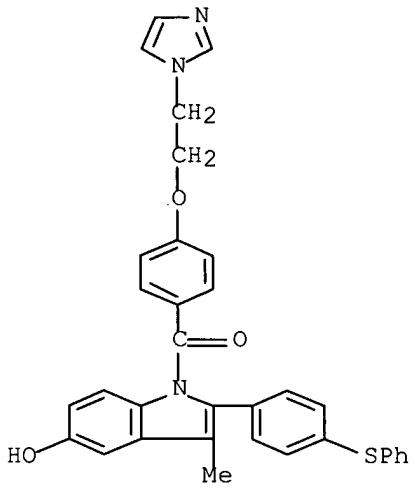
RN 156804-00-1 CAPLUS
CN 1H-Indol-5-ol, 1-[4-[3-(1H-imidazol-1-yl)propoxy]benzoyl]-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



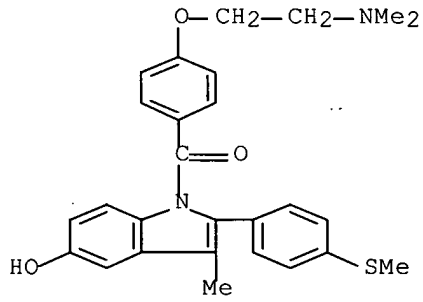
RN 156804-01-2 CAPLUS
CN 1H-Indol-5-ol, 2-[4-(phenylthio)phenyl]-1-[4-[2-(1H-1,2,4-triazol-1-yl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



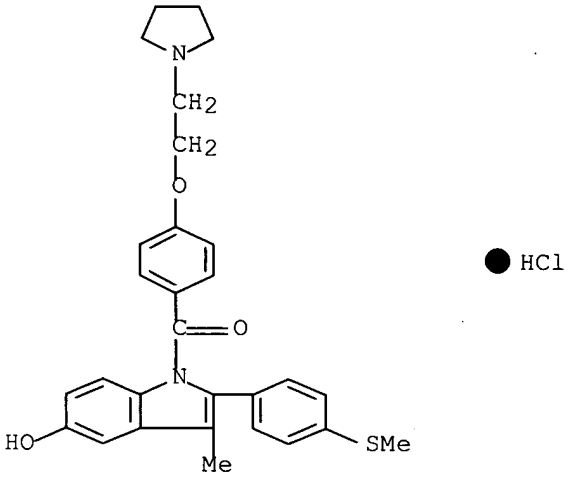
RN 156804-02-3 CAPLUS
CN 1H-Indol-5-ol, 1-[4-[2-(1H-imidazol-1-yl)ethoxy]benzoyl]-3-methyl-2-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



RN 156804-18-1 CAPLUS
CN 1H-Indol-5-ol, 1-[4-[2-(dimethylamino)ethoxy]benzoyl]-3-methyl-2-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

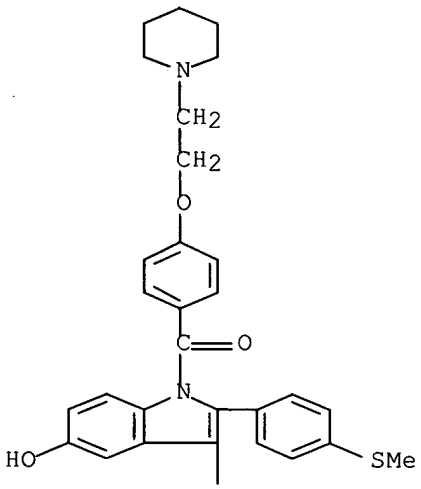


RN 156804-19-2 CAPLUS
CN 1H-Indol-5-ol, 3-methyl-2-[4-(methylthio)phenyl]-1-[4-[2-(1-pyrrolidinyl)ethoxy]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 156804-20-5 CAPLUS
CN 1H-Indol-5-ol, 3-methyl-2-[4-(methylthio)phenyl]-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

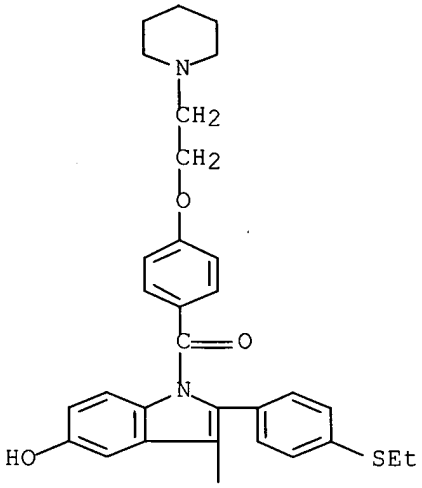
PAGE 1-A



PAGE 2-A

RN 156804-21-6 CAPLUS
CN 1H-Indol-5-ol, 2-[4-(ethylthio)phenyl]-3-methyl-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

Me

L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:192866 CAPLUS Full-text
DN 110:192866
TI Preparation and formulation of thiadiazinones as cardiovascular agents
IN Jonas, Rochus; Piulats, Jaime; Lues, Inge; Klockow, Michael
PA Merck Patent G.m.b.H., Fed. Rep. Ger.
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 294647	A2	19881214	EP 1988-108308	19880525	<--
	EP 294647	A3	19890705			
	EP 294647	B1	19930721			
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	DE 3719031	A1	19881222	DE 1987-3719031	19870606	<--
	DE 3744149	A1	19890706	DE 1987-3744149	19871224	<--
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	AU 614965	B2	19910919			
	AT 91685	T	19930815	AT 1988-108308	19880525	<--
	ES 2056854	T3	19941016	ES 1988-108308	19880525	<--
	HU 51272	A2	19900428	HU 1988-2904	19880603	<--
	HU 207068	B	19930301			
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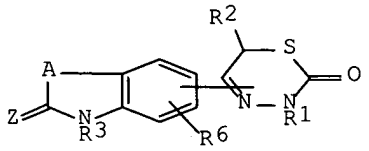
	KR 9700953	B1	19970121	KR 1988-6762	19880604	<--
	JP 63310886	A	19881219	JP 1988-138265	19880606	<--
	ZA 8804019	A	19890222	ZA 1988-4019	19880606	<--
	US 4916128	A	19900410	US 1988-202294	19880606	<--

PRAI DE 1987-3719031 A 19870606
DE 1987-3744149 A 19871224
EP 1988-108308 A 19880525
OS CASREACT 110:192866; MARPAT 110:192866
GI



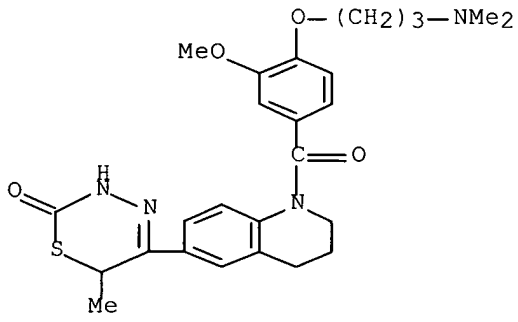
I

AB The title compds. [I; R1,R2,R4,R5 = H, alkyl, alkenyl, alkynyl; R3 = R1, acyl; R6 = H, alkyl, alkoxy, OH, F, Cl, Br, iodo; A = CHR4CHR5, CH2CR4R5, CR4R5CH2CH2, etc.; Z = (H, H)°, (H, alkyl), (alkyl, alkyl), O] useful as cardiovascular agents (no data), were prepared 6-(2-Chloropropionyl)-2-oxo-1,2,3,4-tetrahydroquinoline and H2NNHCSOEt were refluxed 2 h to give 5-(2-oxo-1,2,3,4-tetrahydroquinolin-6-yl)-6- methyl-3,6-dihydro-1,3,4-thiadiazin-2-one.

IT 120223-61-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 120223-61-2 CAPLUS

CN Quinoline, 6-(3,6-dihydro-6-methyl-2-oxo-2H-1,3,4-thiadiazin-5-yl)-1-[4-[3-(dimethylamino)propoxy]-3-methoxybenzoyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



=> s l4 not l5
L6 31 L4 NOT L5

=> dis l6 1-31 bib abs fhitstr

L6 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:1204726 CAPLUS Full-text
DN 147:486319
TI Preparation of N-(2-carboxythienyl) amides as niacin receptor agonists
IN Colletti, Steven L.; Tata, James R.; Chen, Weichun; Beresis, Richard T.; Ding, Fa-Xiang; Schmidt, Darby Rye; Shen, Hong; Raghavan, Subharekha

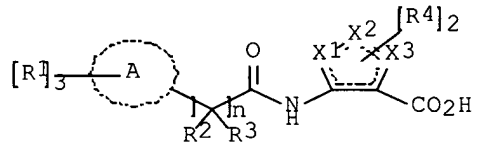
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 58pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007120575	A2	20071025	WO 2007-US8584	20070406

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2006-791019P P 20060411
OS MARPAT 147:486319
GI



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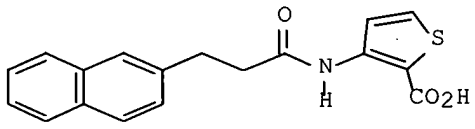


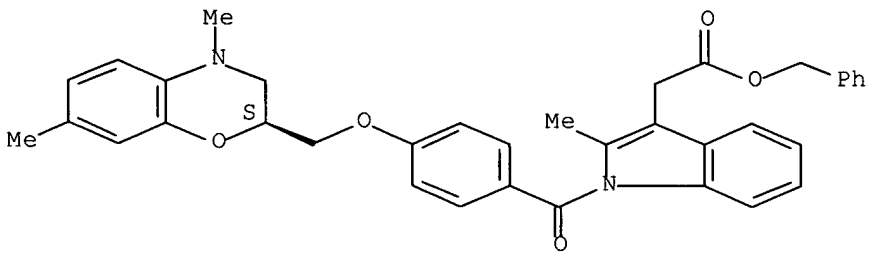
II

AB The title compds. I [one of X1-X3 = S, and the other two represent C or N atoms; ring A = 6-10 membered aryl, 5-13 membered heteroaryl or partially aromatic heterocyclyl; R1 = H, halo, OH, CO2H, etc.; R2, R3 = H, alkyl, haloalkyl, etc.; n = 2-4; R4 = H, halo, S(alkyl), CN, etc.], that are useful for treating atherosclerosis, dyslipidemias and the like, were prepared and disclosed. E.g., a multi-step synthesis of II, starting from 3-(2-naphthyl)acrylic acid, was given. Compds. I generally have an IC50 in the 3H-nicotinic acid competition binding assay within the range of 1 nM to about 25 μM. Also compds. I generally have an EC50 in the functional in vitro GTPγS binding assay within the range of about less than 1 μM to as high as about 100 μM. Pharmaceutical compns. comprising the compound I alone or in combination with DP receptor antagonist, are also included.

IT 502605-97-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of N-(2-carboxythienyl) amides as niacin receptor agonists)

RN 502605-97-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:912171 CAPLUS Full-text
DN 147:277179
TI Preparation of carboxamidocyclohexenylcarboxylic acids derivatives as niacin receptor agonists, compositions containing such compounds and methods of treatment
IN Raghavan, Subharekha; Schmidt, Darby Rye; Colletti, Steven L.; Smenton, Abigail Lee
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 96pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007092364	A2	20070816	WO 2007-US2994	20070202

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2006-765853P P 20060207
OS MARPAT 147:277179
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = C or N; Z = (un)substituted aryl or heteroaryl; R1 independently = H, halo, CO2H, CN, etc.; R2 and R3 independently = H, alkyl,

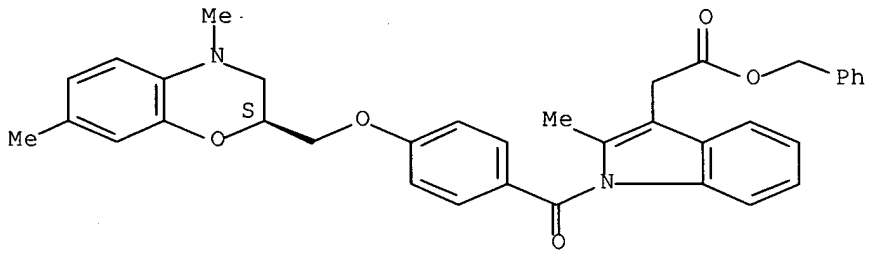
haloalkyl, alkoxy, etc.; R4 = H, F, or (un)substituted alkyl; R5 = CO2H, tetrazole, or CONHSO2R6 wherein R6 = (un)substituted alkyl or phenyl; m and p = 1 or 2 such that their sum = 3; n = 2-4; A = 6-10 membered], as well as their pharmaceutically acceptable salts are prepared and disclosed as useful for treating atherosclerosis, dyslipidemias and the like. Thus, e.g., II was prepared by conversion of 3-(4- bromophenyl)propionic acid to the amide with N-hydroxysuccinimide followed by reaction with triflate III to form the 4-bromophenylpropionamide derivative which was coupled with 4-hydroxyphenylboronic acid and hydrolyzed to give the desired product. In the 3H-nicotinic acid competition binding assay, I demonstrated IC50 values ranging from 1 nM to about 25 μM. Pharmaceutical compns. and methods of use are also included.

IT 502605-97-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(claimed co-drugs for administration; preparation of cyclohexylcarboxylates as niacin receptor agonists)

RN 502605-97-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:771035 CAPLUS Full-text

DN 147:226197

TI Aza analogues of equol: Novel ligands for estrogen receptor β

AU Chen, Wuhong; Lin, Zhaohu; Ning, Mengmeng; Yang, Chunhao; Yan, Xueming; Xie, Yuyuan; Shen, Xu; Wang, Ming-Wei

CS State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Shanghai Institute for Biological Sciences, Chinese Academy of Sciences, Shanghai, 201203, Peop. Rep. China

SO Bioorganic & Medicinal Chemistry (2007), 15(17), 5828-5836
CODEN: BMECEP; ISSN: 0968-0896

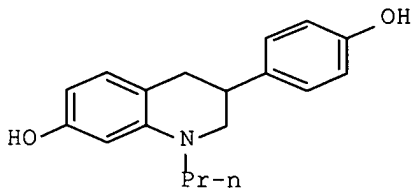
PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 147:226197

GI



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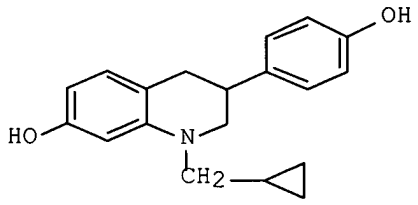


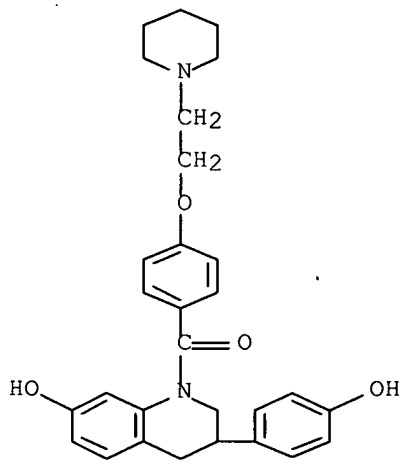
II

AB 3-Aryl-tetrahydroquinolines, aza analogs of equol, are synthesized and evaluated for their binding properties to the estrogen receptors ERα and ERβ. Several of these compds. exhibited binding selectivity for ER similar to that of genistein. Two compds. (I and II) were found to have dual actions: antagonists for ERα and agonists for ERβ in a yeast two-hybrid assay. These compds. have no estrogenic effects on the uterus and bone in vivo.

IT 945619-69-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aza analogs of equol as ligands for estrogen receptor β)

RN 945619-69-2 CAPLUS

CN Methanone, [3,4-dihydro-7-hydroxy-3-(4-hydroxyphenyl)-1(2H)-quinolinyl][4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:728973 CAPLUS Full-text

DN 147:143658

TI Preparation of (hetero)aryl amino acid amides as niacin receptor agonists for treatment of atherosclerosis, dyslipidemia, diabetes, and metabolic syndrome.

IN Imbriglio, Jason; Colletti, Steven L.; Tata, James R.; Beresis, Richard T.; Marley, Daria; Raghavan, Subharekha; Schmidt, Darby Rye; Lins, Ashley Rouse; Smenton, Abigail L.; Chen, Weichun; Shen, Hong; Ding, Fa-Xiang; Bodner, Rena

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 78pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007075749	A2	20070705	WO 2006-US48535	20061220

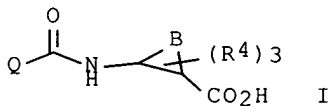
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-751877P P 20051220

OS MARPAT 147:143658

GI



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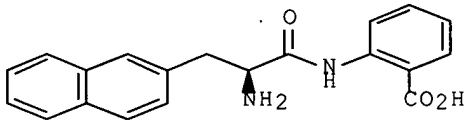


II

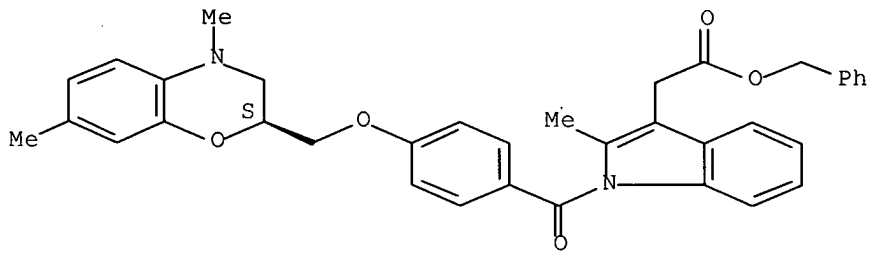
AB Title compds. [I; Q = (R1)3A[C(Ra)2]xCRb(NR2R3)(CHRc)y; A = aryl, heteroaryl; B = atoms to form Ph, thienyl, cyclohexenyl ring; R1 = H, halo, OH, CO2H, cyano, NH2, CORe, aminoalkyl, CONH2, (substituted) Ph, heteroaryl, etc.; Re = (substituted) alkyl, Ph; Ra, Rb, RC = H, alkyl, haloalkyl; R2, R3 = H, alkyl, haloalkyl; R4 = H, halo, (substituted) alkyl, aryl, heteroaryl, heterocyclyl, etc.; 1 of x, y = 0, the other = 1], were prepared Thus, N-(tert-butoxycarbonyl)-3-(2-naphthyl)-L-alanine in CH2Cl2 at -10° was treated with DCC, HOBT, and Et 2-aminobenzoate followed by stirring for 12-24 h to give a residue which was treated with KOH in THF/MeOH/H2O and then with CF3CO2H in CH2Cl2 to give title compound (II). I in the functional in vitro GTPγS binding assay showed EC50 values of about 1-100 μM.

IT 502605-97-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of (hetero)aryl amino acid amides as niacin receptor agonists for treatment of atherosclerosis, dyslipidemia, diabetes, and metabolic syndrome)

RN 502605-97-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

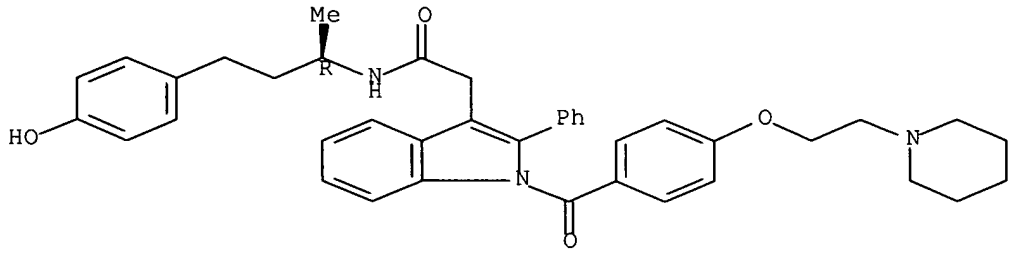


L6 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:382156 CAPLUS Full-text
DN 147:690
TI Estrogen receptor ligands. 2-Aryl indoles as highly subtype selective ligands for ERα
AU Dykstra, Kevin D.; Guo, Liangqin; Birzin, Elizabeth T.; Chan, Wanda; Yang, Yi Tien; Hayes, Edward C.; DaSilva, Carolyn A.; Pai, Lee-Yuh; Mosley, Ralph T.; Kraker, Bryan; Fitzgerald, Paula M. D.; DiNinno, Frank; Rohrer, Susan P.; Schaeffer, James M.; Hammond, Milton L.
CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(8), 2322-2328
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 147:690
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A novel class of indole ligands for estrogen receptor α have been discovered which exhibit potent affinity and high selectivity. Substitution of the bazedoxifene skeleton to the linker present in the HTS lead (I) provided compound (II) which was found to be 130-fold α-selective and acted as an antagonist of estradiol activity in uterine tissue and MCF-7 cancer cells.
IT 937178-10-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl indoles as highly subtype selective ligands for ERα)
RN 937178-10-4 CAPLUS
CN 1H-Indole-3-acetamide, N-[(1R)-3-(4-hydroxyphenyl)-1-methylpropyl]-2-phenyl-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.



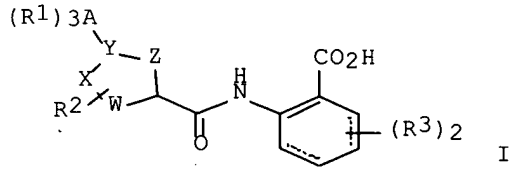
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:351935 CAPLUS Full-text
DN 146:379811
TI Preparation of heterocyclylcarbonylaminobenzoic acids as niacin receptor agonists
IN Colletti, Steven L.; Imbriglio, Jason E.; Beresis, Richard Thomas; Frie, Jessica Leslie
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 54pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007035478	A2	20070329	WO 2006-US36023	20060915
WO 2007035478	A3	20071122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2005-718622P P 20050920
OS MARPAT 146:379811
GI



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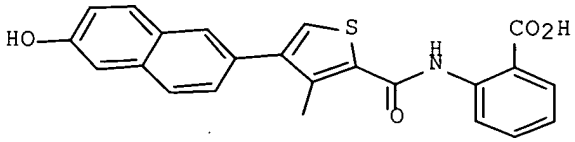


II

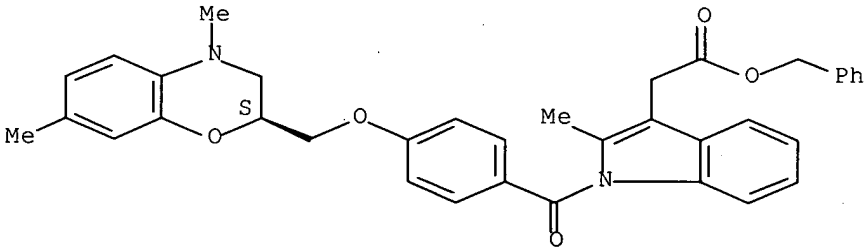
AB Title compds. [I; 1-3 of W, X, Z = heteroatoms, the other = C; Y = C, N; 0-1 of W, X, Z = O, S, the remainder of W, X, Z = C, N; ring containing W, X, Y, Z is aromatic; A = 9-10 membered aryl, 8-10 membered heteroaryl, partially aromatic heterocyclyl; R1 = H, OH, halo, cyano, (substituted) alkyl, alkenyl, alkynyl, etc.; R2 = H, (substituted) alkyl, alkenyl; R3 = H, halo, Me, halomethyl; dotted lines = optional double bonds, either both present or both absent], were prepared Thus, title compound (II) was prepared from 4-bromo-3-methylthiophene-2-carboxylic acid, 6-hydroxy-2-naphthylboronic acid, and anthranilic acid. In a 3H-nicotinic acid competition binding assay, I showed IC50's of about 10 nM-25 μM.

IT 502605-97-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of heterocyclylcarbonylaminobenzoic acids as niacin receptor agonists)

RN 502605-97-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:259556 CAPLUS Full-text

DN 146:316951

TI Preparation of piperazinecarboxamides, diazepanecarboxamides and their analogs as niacin receptor agonists for the treatment of atherosclerosis, dyslipidemia and diabetes

IN Colletti, Steven L.; Shen, Hong; Tata, James R.; Szymonifka, Michael J.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 55pp.
CODEN: PIXXD2

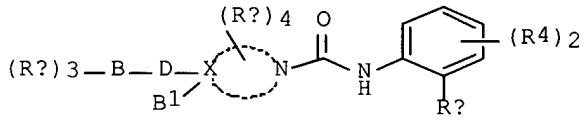
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007027532	A2	20070308	WO 2006-US33304	20060825

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-712275P P 20050829
OS MARPAT 146:316951
GI



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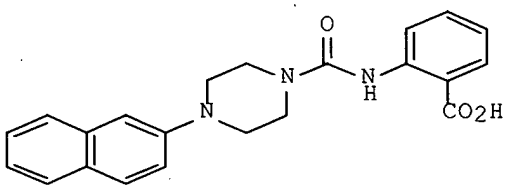


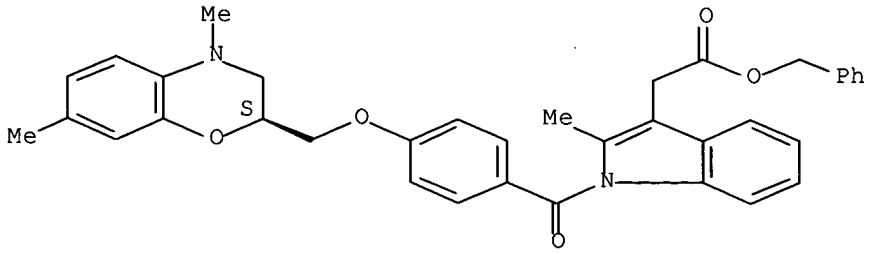
II

AB Title compds. I [wherein X = C or N; D = bond, O, CH2, CH2CH2 or CH2CH2CH2; B = (hetero)aryl; B' = H or absent; B and B' can be taken together to form a spiro ring while D = bond; Ra = H, halo, OH, etc.; Rb = H, halo, alkyl, etc.; Rc = COOH or tetrazol-5-yl; R4 = H, halo or (halo)methyl, with limitations] or pharmaceutically acceptable salts and solvates were prepared as niacin receptor agonists. Solid-phase synthesis of I such as II on Wang resin was disclosed. The invented compds. generally have EC50 in the range of 1 μM to 100 μM for niacin receptor in the binding assay. I are useful for the treatment of atherosclerosis, dyslipidemia, diabetes and other conditions.

IT 502605-97-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-drug; preparation of piperazinecarboxamides, diazepanecarboxamides and their analogs as niacin receptor agonists for treatment of atherosclerosis, dyslipidemia and diabetes)

RN 502605-97-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:257347 CAPLUS Full-text
DN 146:316939
TI Preparation of benzo[b]thiophen-4-yl-piperazine and related compounds as antipsychotic agents for the treatment of mental disorders
IN Yamashita, Hiroshi; Matsubara, Jun; Oshima, Kunio; Kuroda, Hideaki; Ito, Nobuaki; Miyamura, Shin; Shimizu, Satoshi; Tanaka, Tatsuyoshi; Taira, Shinichi; Kondo, Kazumi; Itotani, Motohiro; Bando, Masahiko; Fukushima, Tae; Oshiro, Yasuo; Takahashi, Haruka; Sakurai, Yohji; Kuroda, Takeshi; Shimada, Jun; Maeda, Kenji; Tadori, Yoshihiro; Amada, Naoki; Akazawa, Hitomi; Yamashita, Junko; Mori, Atsushi; Uwahodo, Yasufumi; Masumoto, Takumi; Sugino, Haruhiko; Kikuchi, Tetsuro; Hashimoto, Kazuya
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 686pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007026959	A2	20070308	WO 2006-JP317704	20060831
	WO 2007026959	A3	20070816		
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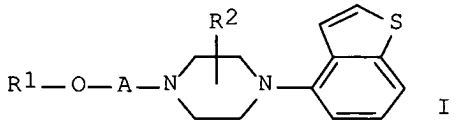
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	JP 2007091733	A	20070412	JP 2006-235401	20060831
PRAI	JP 2005-251055	A	20050831		

OS MARPAT 146:316939
GI



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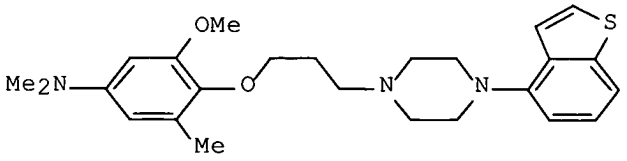


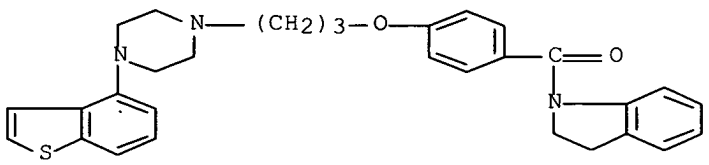
II

AB Title compds. I [R1 = cycloalkyl, (un)substituted aryl, heterocyclyl; R2 = H or lower alkyl; A = lower alkylene or lower alkenylene], and their pharmaceutically acceptable salts, are prepared and disclosed as antipsychotic agents for the treatment of mental disorders. Thus, e.g., II·HCl was prepared via nucleophilic substitution of [4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]-carbamic acid tert-Bu ester (preparation given) with 1-benzo[b]thiophen-4-yl-piperazine hydrochloride (preparation given) followed by deprotection and dimethylation. Binding assays were used to determine Ki values for I; e.g., II·HCl demonstrated Ki values of 0.4 nM in Dopamine D2 receptor and 5.9 nM in Serotonin 5-HT2A receptor. Serotonin uptake inhibitory activity of II·HCl was also determined as 95.3%. The invention compds. may be widely used in the treatment and prevention of mental disorders including central nervous system disorders, while demonstrating no side effects.

IT 928229-82-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo[b]thiophen-4-yl-piperazine and related compds. as antipsychotic agents for the treatment of mental disorders)

RN 928229-82-7 -CAPLUS

CN Methanone, [4-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]phenyl](2,3-dihydro-1H-indol-1-yl)- (CA INDEX NAME)



L6 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1356948 CAPLUS Full-text

DN 146:100362

TI Preparation of 2-acylaminocycloalkenecarboxylic acids derivatives as niacin receptor agonists

IN Raghavan, Subharekha; Colletti, Steven L.; Ding, Fa-Xiang; Shen, Hong; Tata, James R.; Lins, Ashley Rouse; Smenton, Abigail Lee; Chen, Weichun; Schmidt, Darby Rye; Tria, George Scott

PA USA

SO U.S. Pat. Appl. Publ., 69pp.

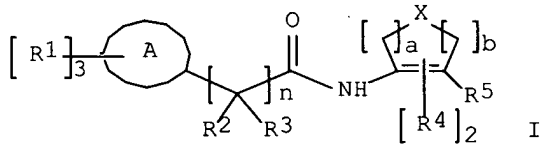
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006293364	A1	20061228	US 2006-474646	20060626
WO 2007002557	A1	20070104	WO 2006-US24740	20060626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-694711P P 20050628
OS MARPAT 146:100362
GI



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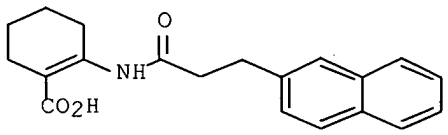
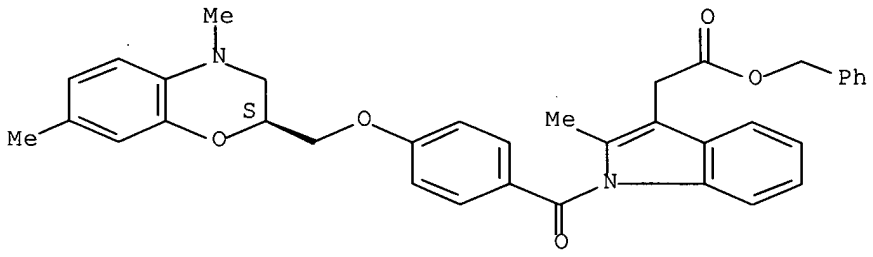


II

AB Title compds. I [X = CH2, O, S, etc.; a, b = 1-3 such as a + b = 2-4; ring A = aryl, heteroaryl, partially aromatic heterocyclic group, said heteroaryl and partially aromatic heterocyclic group containing at least one heteroatom selected from O, S, SO, etc., and optionally containing 1 other heteroatom selected from O and S, and optionally containing 1-3 addnl. N atoms, with up to 5 heteroatoms being present; R2, R3 = H, alkyl, haloalkyl, etc.; n = 1-5; R4 = H, halo, R6; R6 = alkyl optionally substituted with 1-3 groups, 0-3 of which are halo, and 0-1 of which are selected from the group consisting of O-alkyl, hydroxy, amino, etc.; R5 = -CO2H, tetrazol-5-yl, etc.; R1 = H, halo, hydroxy, etc.], pharmaceutically acceptable salts or solvates thereof were prepared For example, reaction of 3-(naphthalen-2-yl)propionic acid with methanesulfonyl chloride followed by in-situ treatment with Me 2-aminocyclohex-2-ene-1-carboxylate and hydrolysis using NaOH afforded compound II. The invented compds. generally have an IC50 in the 3H-nicotinic acid competition binding assays within the range of 1 nM to about 25 μM, and have an EC50 in the functional in vitro GTPγS binding assays within the range of about 1-100 μM.

IT 502605-97-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments with; preparation of 2-acylaminocycloalkenecarboxylic acids as niacin receptor agonists)
RN 502605-97-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

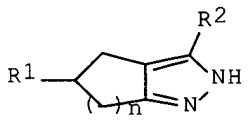
Absolute stereochemistry.



L6 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1124674 CAPLUS Full-text
DN 145:455008
TI Preparation of pyrazole derivatives as Niacin receptor agonists
IN Imbriglio, Jason E.; Colletti, Steven L.; Tata, James R.; Liang, Rui; Raghavan, Subharekha; Schmidt, Darby R.; Smenton, Abigail R.; Chan, Sook Yee
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 83pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006113150	A1	20061026	WO 2006-US12876	20060407
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2006236939	A1	20061026	AU 2006-236939	20060407
	CA 2603757	A1	20061026	CA 2006-2603757	20060407
	IN 2007CN04216	A	20071221	IN 2007-CN4216	20070924
PRAI	US 2005-670764P	P	20050413		
	WO 2006-US12876	W	20060407		

OS MARPAT 145:455008
GI



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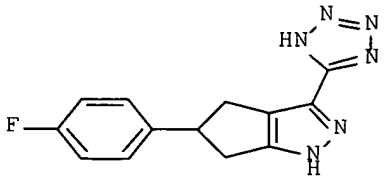


II

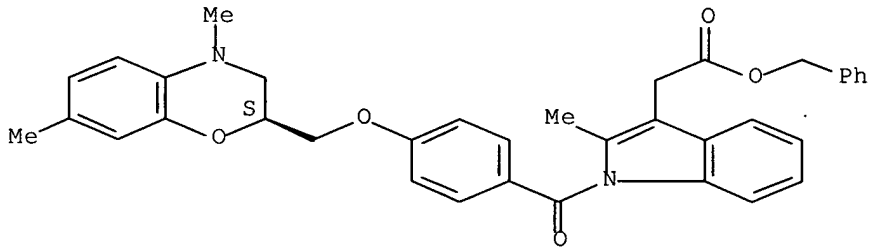
AB Title compds. represented by the formula I [wherein R1 = (un)substituted cyclohexyl, Ph or heteroaryl; R2 = tetrazol-5-yl, 2,4-dioxo-oxazol-5-yl or CO2R; R = H or alkyl; n = 1 or 2; and pharmaceutically acceptable salts or solvates thereof] were prepared as Niacin receptor agonists. For example, II was provided in a multi-step synthesis starting from 3-ethoxy cyclopentenone. Certain I an IC50 in the niacin binding assay within the range of about 0.010-50 μM, and have an EC50 in the functional GTPγS binding assay within the range of about 0.010-100 1M. Thus, I and their pharmaceutical compns. are useful as Niacin receptor agonists for the treatment of dyslipidemias (no data).

IT 502605-97-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole derivs. as Niacin receptor agonists)

RN 502605-97-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:635044 CAPLUS Full-text

DN 145:103670

TI Fused pyrazole derivatives and their preparation, pharmaceutical compositions, and methods for treatment of metabolic-related disorders

IN Boatman, Douglas P.; Schrader, Thomas O.; Semple, Graeme; Skinner, Philip J.; Jung, Jae-Kyu

PA Arena Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 170 pp.
CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006069242	A2	20060629	WO 2005-US46599	20051222
	WO 2006069242	A3	20060831		
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	AU 2005319121	A1	20060629	AU 2005-319121	20051222
	CA 2589648	A1	20060629	CA 2005-2589648	20051222
	US 2006205955	A1	20060914	US 2005-315753	20051222
	US 7241792	B2	20070710		
	EP 1831178	A2	20070912	EP 2005-857182	20051222
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	CN 101087765	A	20071212	CN 2005-80044454	20051222
	US 2007073062	A1	20070329	US 2006-601184	20061117
	IN 2007KN02303	A	20070817	IN 2007-KN2303	20070621
	NO 2007003766	A	20070921	NO 2007-3766	20070719
PRAI	US 2004-638668P	P	20041223		
	US 2005-676521P	P	20050429		
	US 2005-315753	A1	20051222		
	WO 2005-US46599	W	20051222		

OS MARPAT 145:103670
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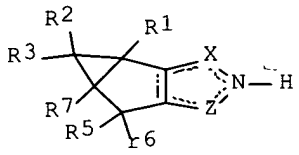

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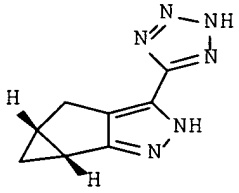


II

AB The invention relates to certain fused pyrazole derivs. of formula I, and pharmaceutically acceptable salts thereof, which exhibit useful pharmacol. properties, for example, as agonists for the RUP25 receptor. Compds. of formula I wherein X is N, and Z is CR7, or X is CR7 and Z is N; one dotted lines are single and double bonds such that the ring containing X and Z is a pyrazole ring; R1 - R6 are independently H, C1-6 acyl(oxy), C2-6 alkenyl, C1-6 alkoxy, C1-6 alkyl(amino), C1-6 alkyl(thio)carboxamide, C2-6 alkynyl, etc.; R7 is carbo-C1-6 alkoxy, carboxy, or tetrazol-5-yl; and their pharmaceutically acceptable salts, hydrates, or solvates thereof are claimed. Also provided by the invention are pharmaceutical compns. containing compds. of the invention,

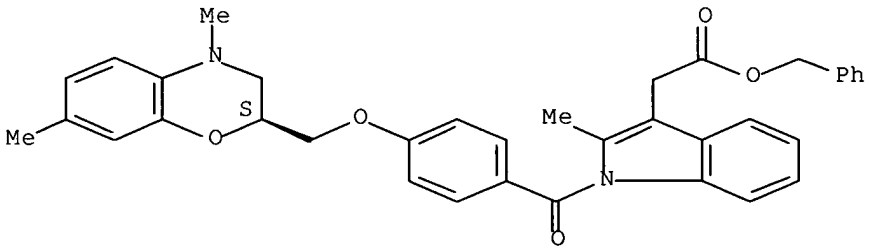
and methods of using the compds. and compns. of the invention in the treatment of metabolic-related disorders, including dyslipidemia, atherosclerosis, coronary heart disease, insulin resistance, type 2 diabetes, Syndrome-X and the like. In addition, the invention also provides for the use of the compds. of the invention in combination with other active agents such as those belonging to the class of α-glucosidase inhibitors, aldose reductase inhibitors, biguanides, HMG-CoA reductase inhibitors, squalene synthesis inhibitors, fibrates, LDL catabolism enhancers, angiotensin converting enzyme (ACE) inhibitors, insulin secretion enhancers, DP receptor antagonists, and the like. Example compound II was prepared by cyclization of (R)-2-(3-butenyl)oxirane; the resulting bicyclo[3.2.1]hexan-2-ol underwent oxidation of give bicyclo[3.2.1]hexane-2-one, which underwent cyclization with di-Et oxalate and hydrazine to give 1a,2,5,5a-tetrahydro-1H-2,3-diazacyclopropa[a]pentalene-4-carboxylic acid Et ester, which underwent amidation with ammonium hydroxide to give the corresponding amide, which benzylation with benzyl bromide followed by dehydration to give 2-benzyl-1a,2,5,5a-tetrahydro-1H-2,3-diazacyclopropa[a]pentalene-4- carbonitrile, which reacted with sodium azide to give 2-Benzyl-4-(2H- tetrazol-5-yl)-1a,2,5,5a-tetrahydro-2,3-diazacyclopropa[a]pentalene, which underwent debenzylation to give example compound II. All the invention compds. were evaluated for their antihyperglycemic activity, and 35S-GTPγS, human RUP25, and 3H-nicotinic acid receptor binding affinities. Certain compds. were determined to have an EC50 value in the cAMP whole cell method of about 25 μM or less. From the in vitro GTPγS binding assay, it was determined that tested compds. exhibited EC50 values in the range of about 1-100 μM, and the best compds. showed an EC50 value of less than about 1 μM. Certain tested compds. have an EC50 in the 3H-nicotinic acid binding competition assay, in the range of 1 to 100 μM , and the most favorable compds. exhibited an EC50 value of less than about 1 μM.

IT 502605-97-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused pyrazole derivs. and methods for treatment of metabolic-related disorders)

RN 502605-97-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:471897 CAPLUS Full-text

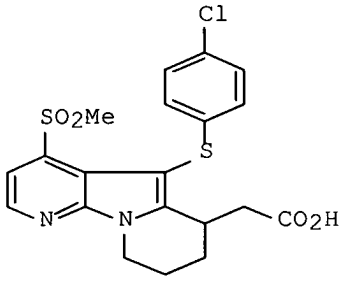
DN 144:488635

TI Preparation of compounds such as pyridoindolizine and indole derivatives as prostaglandin D2 antagonists for treating pathological blushing

IN Tobert, Jonathan A.; Lai, Eseng
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006052798	A2	20060518	WO 2005-US40117	20051107
	WO 2006052798	A3	20070111		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2007299122	A1	20071227	US 2007-667346	20070508
PRAI	US 2004-625823P	P	20041108		
	WO 2005-US40117	W	20051107		

OS CASREACT 144:488635
GI



I

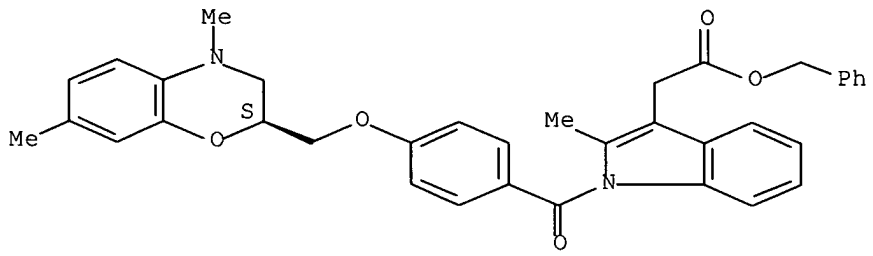
AB A method of treating pathol. blushing is disclosed wherein the patient is administered a DP (prostaglandin D2) receptor antagonist. E.g, I was prepared by a series of reactions starting from 4-chloronicotinaldehyde. The compds. prepared function as selective DP antagonists and demonstrate an affinity for DP that is at least about 10 times higher than the affinity for CRTH2 receptors.

IT 502605-97-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of compds. such as pyridoindolizine and indole derivs. as prostaglandin D2 antagonists for treating pathol. blushing)

RN 502605-97-2 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX

NAME)

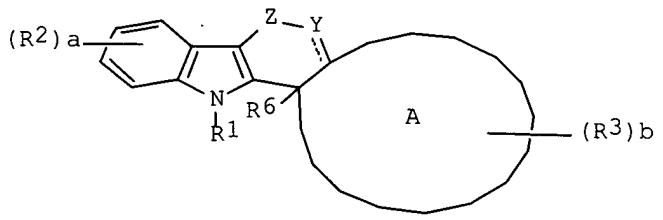
Absolute stereochemistry.



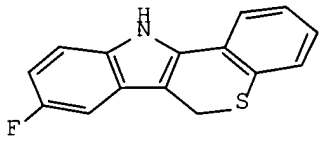
L6 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:411847 CAPLUS Full-text
DN 144:450694
TI Novel heteroatom-containing tetracyclic derivatives useful as sex steroid hormone receptor modulators and their preparation, pharmaceutical compositions, and use for treatment of sex steroid hormone receptor related conditions
IN Sui, Zhihua; Zhang, Xuqing; Li, Xiaojie
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006047017	A1	20060504	WO 2005-US33330	20050916
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005300030	A1	20060504	AU 2005-300030	20050916
	CA 2581223	A1	20060504	CA 2005-2581223	20050916
	US 2006116513	A1	20060601	US 2005-228585	20050916
	EP 1796664	A1	20070620	EP 2005-851212	20050916
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 101060838	A	20071024	CN 2005-80039341	20050916
PRAI	US 2004-611476P	P	20040920		
	WO 2005-US33330	W	20050916		

OS MARPAT 144:450694
GI



I



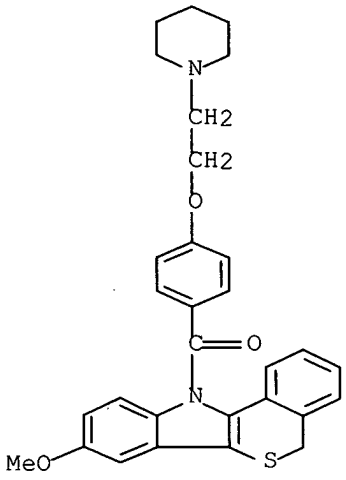
II

AB The invention is directed to heteroatom containing tetracyclic derivs. of formula I, pharmaceutical compns. containing them, their use in the treatment of disorders mediated by one or more sex steroid hormone receptors and processes for their preparation Compds. of formula I wherein Y is O, S, SO, SO2, N=, NH, or NMe; Z is CH2, CHMe, C(Me)2, or CHOH; alternatively Y is CH2; and Z is O, S, SO, or SO2; alternatively Y is CH=; and Z is CH2, O, S, SO, or SO2; or Y is CH2, O, S, SO, or SO2; and Z is CH2CH2 or CH=CH; dotted line is an optional double bond; R1 is H, OH, C1-6 alkyl, COC1-6 alkyl, C1-4 alkylNH2 and derivs., or L1R4(L2)cR5; A is 5- to 7-membered (un)saturated (hetero)aromatic ring; R6 is H, C1-3 alkyl, or CF3; a and b are independently an integer 0 to 2; R2 is halo, OH, carboxy, oxo, CN, NO2, NH2, (mono/di)C1-4 alkylamino, C1-4 (halo)alkyl, C1-4 alkoxy, O-aralkyl, COC1-4 alkyl, CO2C1-4 alkyl, etc. L1 is CH2 or CO; R4 is 5- to 6-membered (hetero)aryl; c is an integer 0 to 1; L2 is C1-4 alkyl, C1-4 alkenyl, OC1-3 alkyl, SC1-3 alkyl, or NHC1-3 alkyl and derivs.; R5 is NH2 and derivs.; COC1-4 alkyl, CO2H, CO2C1-4 alkyl, or OCOC1-4 alkyl; and their pharmaceutically acceptable salts thereof, as well as their process for preparation are claimed in this invention. Example compound II was prepared by cyclization of thiochroman-4-one with 4-fluorophenylhydrazine. All the invention compds. were evaluated for their sex steroid hormone receptor binding affinity. From the assays, it was determined that most of the tested compds. exhibited binding affinity against estrogen α and β, androgen, and progestin receptors. Example compound II exhibited IC50 values of 10 μM (estrogen α), 0.85 μM (estrogen β), 20% (androgen rat cystol), 0.8 μM (androgen rat cos-7) and 3.2 μM (progestin).

IT 880553-42-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroatom-containing tetracyclic derivs. useful
as sex steroid hormone receptor modulators)

RN 880553-42-4 CAPLUS

CN [2]Benzothiopyrano[4,3-b]indole, 5,11-dihydro-8-methoxy-11-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

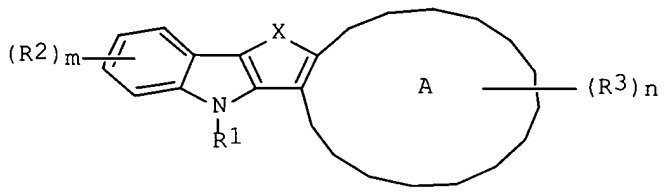


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

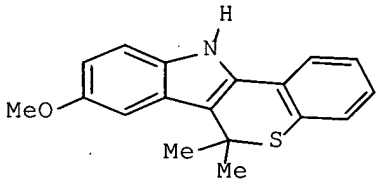
L6 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:301176 CAPLUS Full-text
DN 144:331423
TI Novel tetracyclic heteroatom containing derivatives useful as sex steroid hormone receptor modulators and their preparation, pharmaceutical compositions and use for treatment of sex steroid hormone receptor mediated diseases
IN Sui, Zhihua; Zhang, Xuqing; Li, Xiaojie
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006034090	A1	20060330	WO 2005-US33272	20050916
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005287038	A1	20060330	AU 2005-287038	20050916
	CA 2580777	A1	20060330	CA 2005-2580777	20050916
	US 2006116415	A1	20060601	US 2005-228562	20050916
	CN 101072780	A	20071114	CN 2005-80039321	20050916
PRAI	US 2004-611376P	P	20040920		
	WO 2005-US33272	W	20050916		

GI



I



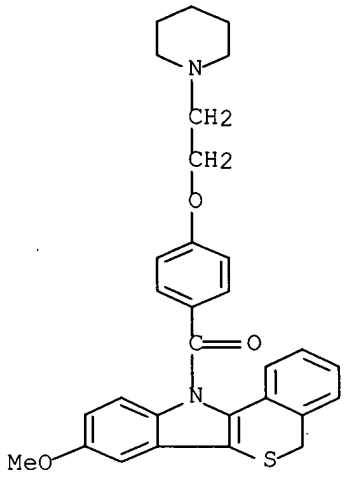
II

AB The invention is directed to tetracyclic heteroatom containing derivs., of formula I, pharmaceutical compns. containing them, their use in the treatment of disorders mediated by one or more sex steroid hormone receptors and processes for their preparation Compds. of formula I wherein X is O , S, or NH and derivs.; R1 is H, OH, C1-6 alkyl, C(O)C1-6 alkyl, C1-4 alkyl-NH2 and derivs., and L1R4(L2)cR5; A is 5- to 7-membered (un)saturated (un)substituted (hetero)aromatic ring; m and n are independently an integer from 0 to 2; R2 and R3 are independently H, OH, carboxy, oxo, CN, NO2, amino, (mono/di)C1-4 alkylamino, C1-4 (halo)alkyl, C1-4 alkoxy, O-aralkyl, CO2C1-4 alkyl, C(O)C1-4 alkyl, OC(O)C1-4 alkyl, OSO2C1-4 (halo)alkyl, and OTBDMS; L1 is CH2, or CO; R4 is 5- to 6-membered (hetero)aryl; c is 0 or 1; L2 is C1-4 alkyl, C2-4 alkenyl, OC1-3 alkyl, SC1-3 alkyl, or NHC1-3alkyl and derivs.; R5 is NH2 and derivs., C(O)C1-4 alkyl, CO2H, CO2C1-4 alkyl, or OC(O)C1-4 alkyl; and pharmaceutically acceptable salts thereof are claimed in this invention. Example compound II was prepared by condensation of 4-methoxyphenyl hydrazine with 3,4-dihydro-2H-benzo[b]thiepin-5-one. All the invention compds. were evaluated for their sex steroid receptor hormone affinity. From the assays, the IC50 values were determined Example compound II showed IC50 values of 10μM for estrogen α and β,7.5 μM for androgen rat cos-7, -0.2 % inhibition for androgen rat cystol and 54% inhibition for progestin at 10μM concentration

IT 880553-42-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetracyclic heteroatom containing derivs. useful
as sex steroid hormone receptor modulators)

RN 880553-42-4 CAPLUS

CN [2]Benzothiopyrano[4,3-b]indole, 5,11-dihydro-8-methoxy-11-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

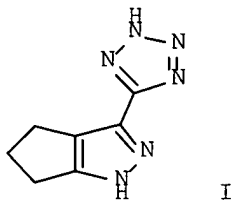


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:212213 CAPLUS Full-text
DN 144:292761
TI Preparation of 3-(2H-tetrazol-5-yl)-1,4,5,6-tetrahydrocyclopentapyrazole as nicotinic agonist and pyridoindolizine derivatives as DP receptor antagonists , and their combination useful for treating atherosclerosis, dyslipidemias and related conditions
IN Waters, M. Gerard; Turner, Mervyn
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006026273	A2	20060309	WO 2005-US30001	20050824
	WO 2006026273	A3	20060908		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2007244107	A1	20071018	US 2007-631741	20070105
PRAI	US 2004-604443P	P	20040825		
	WO 2005-US30001	W	20050824		

OS CASREACT 144:292761
GI



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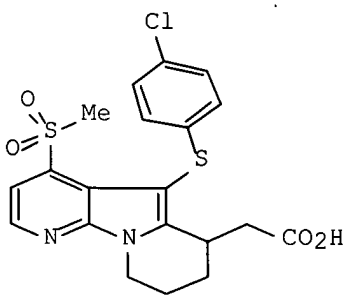


II

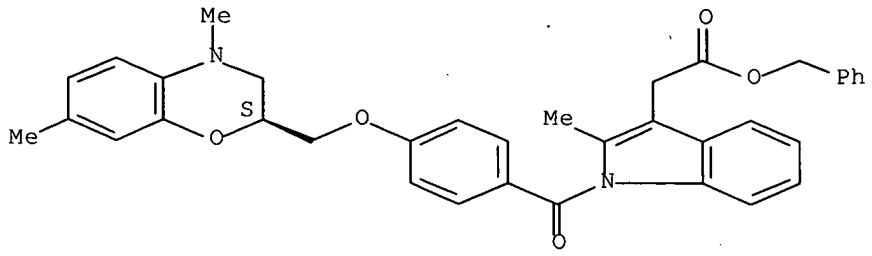
AB The invention is related to a method of treating atherosclerosis, dyslipidemia and related conditions wherein a nicotinic acid receptor partial/agonist I, or one of its pharmaceutically acceptable salts or solvates, is administered to a human patient in combination with a DP receptor antagonist, e.g. II, in amts. that are effective for treatment in the absence of substantial flushing. The invention is also related to the preparation of tetrazole I and DP antagonists. Thus, I was prepared by reaction of cyclopentanone with diethylmalonate (no data for the intermediate), followed by cyclization with hydrazine hydrochloride, amidation of the ester with methanolic ammonia, dehydration of the amide, and cyclization of the nitrile with NaN3. An 11-step synthesis was given for pyridoindolizine II (no data for the intermediates). II, and its derivs., having a binding affinity (Ki) for CRTH2 of about ≥ 0.5 μM, and a selectivity for the DP receptor over CRTH2 of at least about 10 fold, are useful to inhibit the flushing effect seen when tetrazole I or its pharmaceutically acceptable salts or solvates are administered alone.

IT 502605-97-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(DP receptor antagonist; preparation of a nicotinic agonist and DP receptor antagonists, and their combination useful for treating atherosclerosis, dyslipidemias and related conditions)

RN 502605-97-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

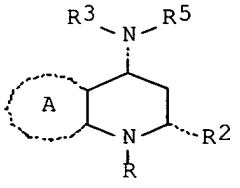
Absolute stereochemistry.



L6 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1221157 CAPLUS Full-text
DN 143:477861
TI Preparation of tetrahydroquinolinyl PGD2 receptor antagonists for the treatment of inflammatory diseases
IN Ghosh, Shomir; Elder, Amy M.; Carson, Kenneth G.; Sprott, Kevin T.; Harrison, Sean J.; Hicks, Frederick A.; Renou, Christelle C.; Reynolds, Dominic
PA Millennium Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 296 pp., Cont.-in-part of U.S. Ser. No. 678,872.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005256158	A1	20051117	US 2005-101208	20050407
	US 2004082609	A1	20040429	US 2003-678872	20031003
	US 7211672	B2	20070501		
	JP 2006124396	A	20060518	JP 2005-351372	20051205
	US 2006106061	A1	20060518	US 2005-312960	20051220
PRAI	US 2002-416501P	P	20021004		
	US 2003-678872	A2	20031003		
	US 2004-560410P	P	20040407		
	JP 2004-543358	A3	20031003		

OS MARPAT 143:477861
GI



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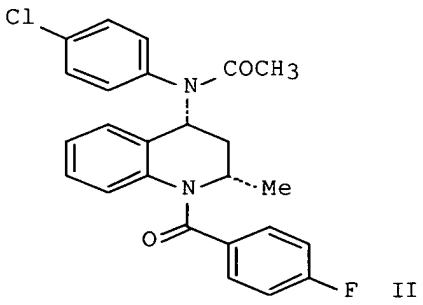


II

AB Title compds. I [A = (un)substituted monocyclic aromatic ring; R = X1R1; R5 = X2R4; X1, X2 = independently SO2, CO, CONH; R1 = (un)substituted hetero/aryl;

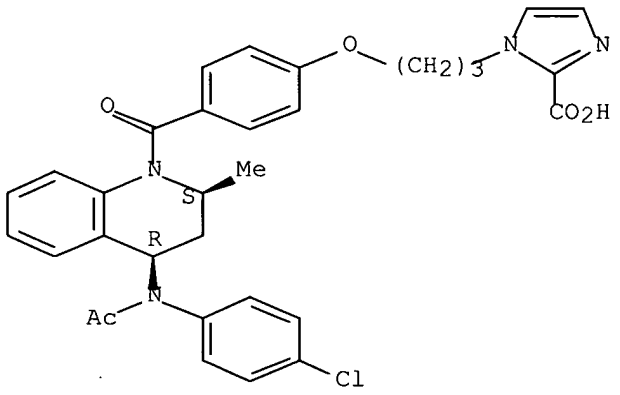
hetero/aryl fused to a monocyclic non/aromatic or heteroarom. ring, with provisos; R2 = alkyl; R3 = (un)substituted monocyclic or bicyclic group; R4 = hydroxyalkyl, (un)substituted cyclo/alkyl; and their pharmaceutically acceptable salts] were prepared For instance, acylation of (2S,4R)-4-(((benzyloxy)carbonyl)amino)-2-Methyl-1,2,3,4- tetrahydroquinoline (preparation given) with 4-fluorobenzoyl chloride, deprotection, reaction of the amine (no data) with 4-chlorophenylboronic acid, and acetylation gave II. Compds. I inhibited binding of PGD2 to the CRTh2 receptor; selected examples had Ki < 1 μM. I are useful for inhibiting the G-protein coupled receptor referred to as chemoattractant receptor-homologous mol. expressed on CRTh2 for the treatment of inflammatory disorders.

IT 868210-40-6P, 1-[3-[4-[[4-[(Acetyl)(4-chlorophenyl)amino]-(2S,4R)-2-methyl-3,4-dihydro-2H-quinolin-1-yl]carbonyl]phenoxy]propyl]-1H-imidazole-2-carboxylicaci
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of tetrahydroquinolinyl PGD2 receptor antagonists
for treatment of inflammatory diseases)

RN 868210-40-6 CAPLUS

CN 1H-Imidazole-2-carboxylic acid, 1-[3-[4-[[(2S,4R)-4-[acetyl(4-chlorophenyl)amino]-3,4-dihydro-2-methyl-1(2H)-quinolinyl]carbonyl]phenoxy]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1154529 CAPLUS Full-text

DN 143:422264

TI Preparation of tetrahydroquinolinyl PGD2 receptor antagonists for the treatment of inflammatory diseases

IN Ghosh, Shomir; Elder, Amy M.; Carson, Kenneth G.; Sprott, Kevin T.; Harrison, Sean J.; Hicks, Frederick A.; Renou, Christelle C.; Reynolds, Dominic

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 393 pp.
CODEN: PIXXD2

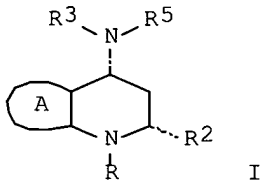
DT Patent

LA English

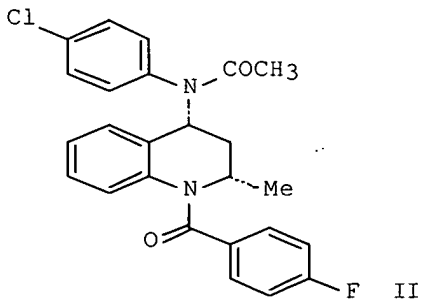
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2005100321	A1	20051027	WO 2005-US11643	20050407
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005233125	A1	20051027	AU 2005-233125	20050407
	CA 2561564	A1	20051027	CA 2005-2561564	20050407
	EP 1740547	A1	20070110	EP 2005-733968	20050407
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	CN 101018770	A	20070815	CN 2005-80018590	20050407
	BR 2005009668	A	20071009	BR 2005-9668	20050407
	JP 2007532555	T	20071115	JP 2007-507467	20050407
	IN 2006DN05764	A	20070831	IN 2006-DN5764	20061004
	NO 2006005107	A	20061201	NO 2006-5107	20061106
	KR 2007002085	A	20070104	KR 2006-723323	20061107
PRAI	US 2004-560410P	P	20040407		
	WO 2005-US11643	W	20050407		
OS	MARPAT 143:422264				
GI					



I

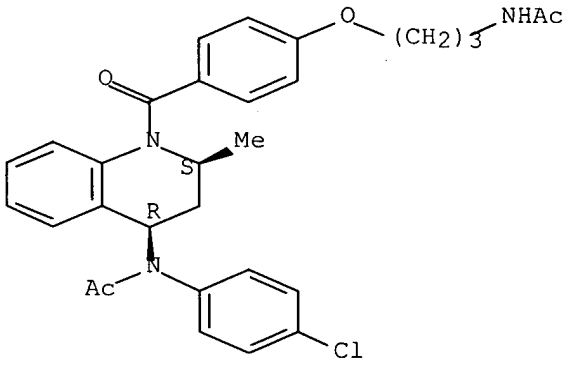


II

AB Title compds. I [A = (un)substituted monocyclic aromatic ring; R = X1R1; R5 = X2R4; X1-X2 = independently SO2, CO, CONH; R1 = (un)substituted hetero/aryl; hetero/aryl fused to a monocyclic non/aromatic or heteroarom. ring, with provisos; R2 = alkyl; R3 = (un)substituted monocyclic or bicyclic group; R4 = hydroxyalkyl, (un)substituted cyclo/alkyl; and their pharmaceutically acceptable salts; with the exception of certain compds.] were prepared For instance, acylation of (2S,4R)-4- (((benzyloxy)carbonyl)amino)-2-Methyl-1,2,3,4-tetrahydroquinoline (preparation given) with 4-fluorobenzoyl chloride, deprotection, reaction of the amine (no data) with 4-chlorophenylboronic acid, and acetylation gave II. Compds. I inhibited binding of PGD2 to the CRTh2 receptor; selected examples had Ki < 1 μM. I are useful for inhibiting the G-protein coupled receptor referred to as chemoattractant receptor-homologous mol. expressed on CRTh2 for the treatment of inflammatory disorders.

IT 868209-93-2P, N-[1-[4-(3-Acetylaminopropoxy)benzoyl]-(2S,4R)-2-methyl-1,2,3,4-tetrahydroquinolin-4-yl]-N-(4-chlorophenyl)ethanamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(PGD2 receptor antagonists for treatment of inflammatory diseases)
RN 868209-93-2 CAPLUS
CN Acetamide, N-[(2S,4R)-1-[4-[3-(acetylamino)propoxy]benzoyl]-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-N-(4-chlorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

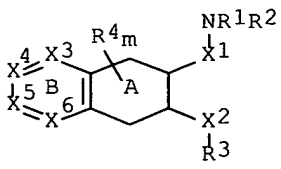


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:735326 CAPLUS Full-text
DN 143:229730
TI Preparation of tetrahydroisoquinoline derivatives for treating diseases mediated by protein trafficking or chloride channel activity
IN Pregel, Marko J.; Hirth, Bradford H.; Kane, John L.; Qiao, Shuang; Gregory, Jill; Cuff, Lisa
PA Genzyme Corporation, USA
SO U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005176761	A1	20050811	US 2004-6042	20041207
PRAI US 2003-531873P	P	20031223		

OS CASREACT 143:229730; MARPAT 143:229730
GI



I

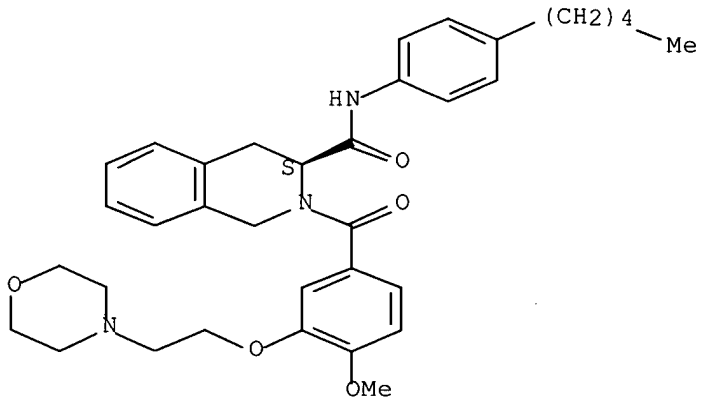
AB Tetrhydroisoquinoline derivs. I (variables defined below), pharmaceutical compns. comprising them and methods of treating disease are disclosed herein. The disclosed compds. are useful in the treatment and prevention of diseases mediated by chloride channel activity and/or protein trafficking, including, but not limited to, diseases associated with impaired mucociliary clearance such as cystic fibrosis, bronchitis, emphysema, and the like. For I the variables are: X1 = CH2, CO, SO, SO2; X2 = CH2, CO, COCH2, CO2, COS, O, S, SO; X3, X4, X5, X6 = N, CH, wherein at least 1 of X3, X4, X5, X6 = CH; Ring B is optionally substituted in any substitutable carbon; R1 and R2 = H or an optionally substituted aliphatic, aryl, heteroaryl, heterocyclic, cycloalkyl, peptide, or amino acid group, provided that R1 and R2 are not both H; or, R1 and R2, taken together with the nitrogen to which they are bonded, are an optionally substituted heterocyclic group; R3 = optionally substituted aryl, heteroaryl, cycloalkyl, or heterocyclic group; m = 0-2; each R4 = halogen, OH, SH, Ra, ORa, SRa, NH2, NHRa, NRa2, C(O)NRa2, CF3, CN, or NO2; and Ra = C1-C5 branched or linear alkyl group.

IT 862506-13-6P, (S)-2-[4-Methoxy-3-[2-(morpholin-4-yl)ethoxy]benzoyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid N-(4-pentylphenyl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydroisoquinoline derivs. for treating diseases mediated by protein trafficking or chloride channel activity)

RN 862506-13-6 CAPLUS

CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-2-[4-methoxy-3-[2-(4-morpholinyl)ethoxy]benzoyl]-N-(4-pentylphenyl)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:999670 CAPLUS Full-text
DN 141:420447
TI Method of treating atherosclerosis, dyslipidemias and related conditions
IN Cheng, Kang; Waters, M. Gerard; Metters, Kathleen M.; O'Neill, Gary
PA USA
SO U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004229844	A1	20041118	US 2004-844773	20040513
	AU 2004240597	A1	20041202	AU 2004-240597	20040513
	CA 2525772	A1	20041202	CA 2004-2525772	20040513
	WO 2004103370	A1	20041202	WO 2004-US14980	20040513
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1624871	A1	20060215	EP 2004-785539	20040513
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004010273	A	20060516	BR 2004-10273	20040513
	CN 1787819	A	20060614	CN 2004-80012853	20040513
	JP 2006526030	T	20061116	JP 2006-515355	20040513
	IN 2005DN04759	A	20071207	IN 2005-DN4759	20051019
	MX 2005PA12272	A	20060519	MX 2005-PA12272	20051114
	NO 2005005957	A	20060214	NO 2005-5957	20051214
PRAI	US 2003-470665P	P	20030515		
	WO 2004-US14980	W	20040513		

AB A method of treating atherosclerosis is disclosed wherein nicotinic acid or another nicotinic acid receptor agonist is administered to the patient in combination with a DP receptor antagonist. The DP receptor antagonist is administered to reduce, prevent or eliminate flushing that may otherwise occur.

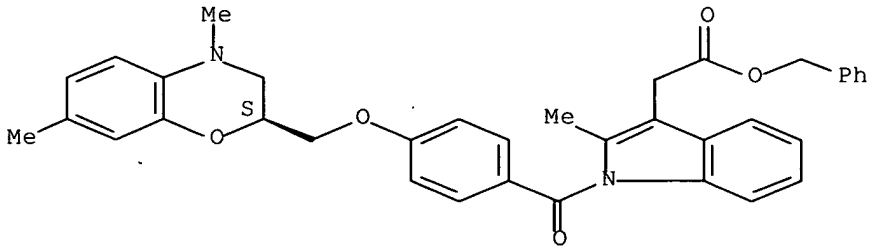
IT 502605-97-2P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method of treating atherosclerosis, dyslipidemias and related conditions)

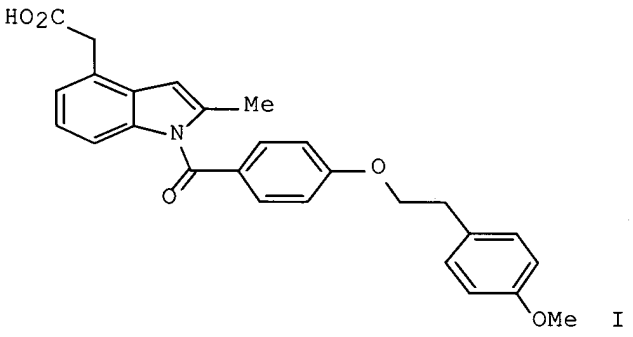
RN 502605-97-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,7-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



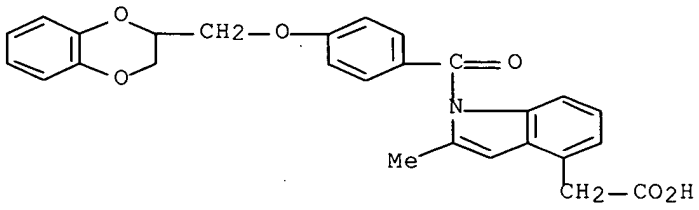
L6 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:791701 CAPLUS Full-text
DN 141:424090
TI Discovery of a new class of potent, selective, and orally active prostaglandin D2 receptor antagonists
AU Torisu, Kazuhiko; Kobayashi, Kaoru; Iwahashi, Maki; Nakai, Yoshihiko; Onoda, Takahiro; Nagase, Toshihiko; Sugimoto, Isamu; Okada, Yutaka; Matsumoto, Ryoji; Nanbu, Fumio; Ohuchida, Shuichi; Nakai, Hisao; Toda, Masaaki
CS Minase Research Institute, Ono Pharmaceutical Co., Ltd, Shimamoto, Mishima, Osaka, 618-8585, Japan
SO Bioorganic & Medicinal Chemistry (2004), 12(20), 5361-5378
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 141:424090
GI



I

AB The process of discovering a series of N-(p-alkoxy)benzoyl-2-methylindole- 4-acetic acids, e.g., I, is reported. These compds. represent a class of potent, selective, and orally active prostaglandin D2 (PGD2) receptor antagonists. Most of these compds. exhibit strong PGD2 receptor binding and PGD2 receptor antagonism in cAMP formation assays. When given orally, these antagonists dramatically suppress allergic inflammatory responses, such as the PGD2-induced or OVA-induced increase of vascular permeability. Structure-activity relationship data are also discussed.

IT 359584-50-2P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, prostaglandin D2 receptor affinity, and SAR of N-(aroyl)methylindolylacetic acids via hydrolysis of resin supported N-(acetoxybenzoyl)methylindolecarboxylate followed by etherification with alcs. and resin cleavage)
RN 359584-50-2 CAPLUS
CN 1H-Indole-4-acetic acid, 1-[4-[(2,3-dihydro-1,4-benzodioxin-2-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:756687 CAPLUS Full-text
DN 141:277487
TI Preparation of indole derivative compounds as CRTH2 receptor antagonists, DP receptor antagonists
IN Iwahashi, Maki; Naganawa, Atsushi; Nishiyama, Toshihiko; Nagase, Toshihiko; Kobayashi, Kaoru; Nambu, Fumio
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078719	A1	20040916	WO 2004-JP2813	20040305
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1600440	A1	20051130	EP 2004-717836	20040305
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	US 2006089353	A1	20060427	US 2005-548089	20050906
PRAI	JP 2003-59459	A	20030306		
	WO 2004-JP2813	W	20040305		

OS MARPAT 141:277487
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = COR6, etc.; R6 = OH, etc.; D = single bond, etc.; R2 = alkyl, etc.; R3, R4 = H, alkyl, etc.; m = 1-4; n = 1-4; R5 = II, etc.; G = single bond, etc.; Ring 1 = (un)saturated hydrocarbon cycle, etc.; Ring 2 = (un)saturated hydrocarbon cycle, etc.; A = carbonyl, etc.; the dotted line indicates a single bond or double bond] were prepared For example, debenzylation of compound III [R = CH2Ph], e.g., prepared from 2-fluoroaniline in 9 steps, using Pd(OH)2-carbon under H2 afforded compound III [R = H]. In [3H]-PGD2 binding assays to human CRTH2 receptor, compds. I exhibited the Ki

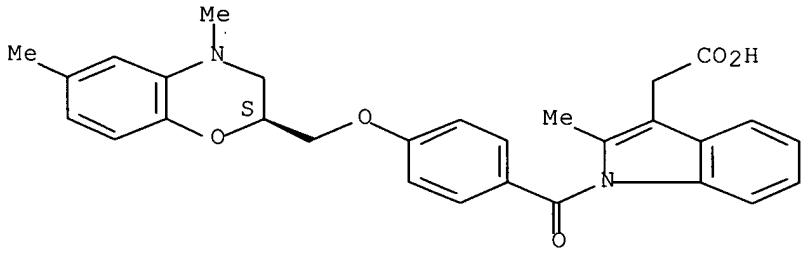
values of ≤10 μM. Because of binding and antagonizing to CRTH2 receptor and DP receptor, compds. I are claimed useful for the treatment of allergic diseases, hemicrania, etc. Formulations are given.

IT 502605-99-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivative compds. as CRTH2 receptor antagonists, DP receptor antagonists for treatment of allergic diseases and hemicrania)

RN 502605-99-4 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4,6-dimethyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:729843 CAPLUS Full-text

DN 141:388150

TI Discovery of orally active prostaglandin D2 receptor antagonists

AU Torisu, Kazuhiko; Kobayashi, Kaoru; Iwahashi, Maki; Nakai, Yoshihiko; Onoda, Takahiro; Nagase, Toshihiko; Sugimoto, Isamu; Okada, Yutaka; Matsumoto, Ryoji; Nanbu, Fumio; Ohuchida, Shuichi; Nakai, Hisao; Toda, Masaaki

CS Minase Research Institute, Ono Pharmaceutical Co., Ltd, Shimamoto, Osaka, Mishima, 618-8585, Japan

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(19), 4891-4895
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

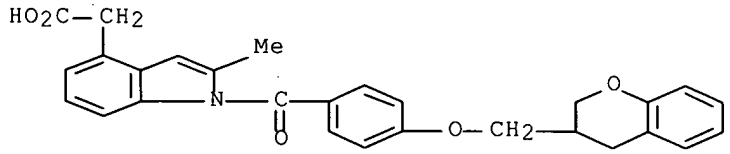
OS CASREACT 141:388150

AB A series of N-(p-alkoxy)benzoyl-2-methylindole-4-acetic acids were synthesized and evaluated for prostaglandin D2 (DP) receptor affinity and antagonist activity. Some of them exhibited strong receptor binding and were potent in the cAMP formation assays. These antagonists also suppressed allergic inflammatory responses such as the PGD2-induced increase of microvascular permeability. Structure-activity relationship (SAR) data are presented.

IT 359585-13-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, structure-activity relationship studies and antiinflammatory effect of orally active prostaglandin D2 receptor antagonists in treatment allergic inflammation)

RN 359585-13-0 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[(3,4-dihydro-2H-1-benzopyran-3-yl)methoxy]benzoyl]-2-methyl- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:550956 CAPLUS Full-text
DN 141:89276
TI Preparation of quinolizidine derivatives as histamine H3 receptor ligands
IN Best, Desmond John; Orlek, Barry Sidney
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004056821	A2	20040708	WO 2003-EP14561	20031218
	WO 2004056821	A3	20040812		
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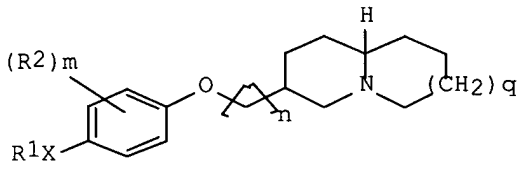
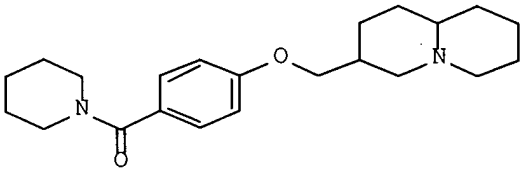
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003290095	A1	20040714	AU 2003-290095	20031218
PRAI	GB 2002-29822	A	20021220		
	WO 2003-EP14561	W	20031218		

OS MARPAT 141:89276
GI



I



III

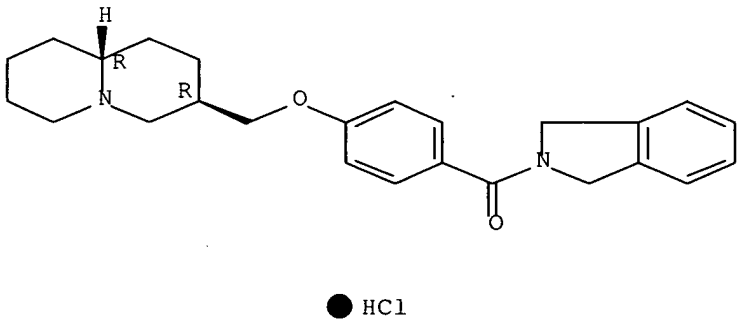
AB The present invention relates to novel quinolizidine derivs., such as I [R1 = cycloalkyl, heteroaryl, heterocyclyl, heteroaryl-Y-(hetero)aryl, heteroaryl-Y-cycloalkyl, heterocyclyl-Y-heterocyclyl, cycloalkyl-Y- cycloalkyl, cycloalkyl-Y-aryl, CONR3R4; R2 = halo, alkyl, alkoxy, cyano, amino, trifluoromethyl; R3, R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; m = 0-2, n = 0-2; Q = 0-1; X = bond, CO, CH2, O, CH2CO, SO2, CH2O, OCH2, Y = CH2, CO, SO2, CONR5; R5 = H, alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl], having pharmacol. activity, processes for their preparation, to compns. containing them and to their use in the treatment of neurol. and psychiatric disorders. Thus, 3-(4-cyanophenoxymethyl)- quinolizidine, obtained by the reaction of 3-hydroxyquinolizidine and 4-fluorobenzonitrile, was hydrolyzed with concentrated HCl to provide 3-(4-carboxyphenoxymethyl)-quinolizidine hydrochloride (II). II was reacted with piperidine to afford quinolizidine derivative III.HCl which exhibit pKb of ≥8.5 in the histamine H3 functional antagonist assay.

IT 717099-26-8P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolizidine derivs. as histamine H3 receptor ligands)

RN 717099-26-8 CAPLUS

CN 1H-Isoindole, 2,3-dihydro-2-[4-[[(3R,9aR)-octahydro-2H-quinolizin-3-yl]methoxy]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

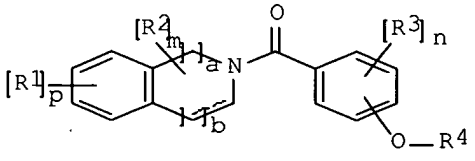
L6 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:370903 CAPLUS Full-text

DN 140:375087
TI Preparation of bicyclic benzamides as histamine H3 receptor ligands useful in the treatment of neurological diseases
IN Best, Desmond John; Orlek, Barry Sidney
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037788	A1	20040506	WO 2003-EP11650	20031020
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003278119	A1	20040513	AU 2003-278119	20031020
	EP 1554243	A1	20050720	EP 2003-769430	20031020
	EP 1554243	B1	20061122		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006505623	T	20060216	JP 2005-501524	20031020
	AT 346044	T	20061215	AT 2003-769430	20031020
	ES 2276125	T3	20070616	ES 2003-3769430	20031020
	US 2007105838	A1	20070510	US 2005-532373	20050421
PRAI	GB 2002-24557	A	20021022		
	GB 2003-6328	A	20030319		
	WO 2003-EP11650	W	20031020		

OS MARPAT 140:375087
GI



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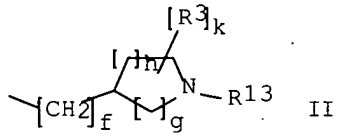
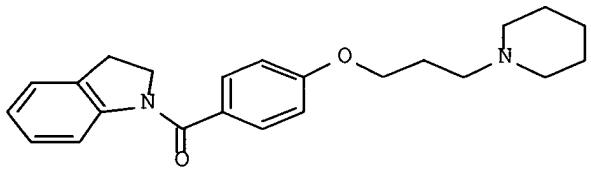


II



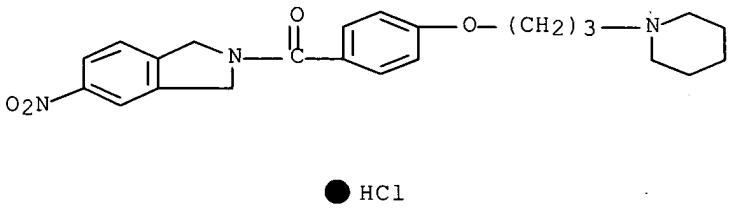
III

AB The title compds. [I; R1, R2 = halo, OH, CN, etc.; a, b = 0-2 (a and b cannot both = 0); R3 = halo, alkyl, alkoxy, CN, NH2, CF3; m, n = 0-2; p = 0-3 (when p = > 1 then two R1 may instead be linked to form a heterocyclyl); R4 = (CH2)qNR11R12, II (wherein q = 2-4; R11, R12 = alkyl; or NR11R12 =

(un)substituted heterocyclyl; R13 = H, alkyl, cycloalkyl, alkylaryl, heterocyclyl; R14 = halo, alkyl, haloalkyl, OH, dialkylamino, alkoxy; f, k = 0-2; g = 0-2 and h = 0-3 (g and h cannot both be 0))], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 4-[3-(piperidin-1-yl)propoxy]benzoic acid hydrochloride (preparation given) with indoline afforded III which exhibited pKb ≥ 8.5 in the histamine H3 functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

IT 685564-54-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of bicyclic benzamides as histamine H3 receptor ligands useful in the treatment of neurol. diseases)

RN 685564-54-9 CAPLUS
CN 1H-Isoindole, 2,3-dihydro-5-nitro-2-[4-[3-(1-piperidinyl)propoxy]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



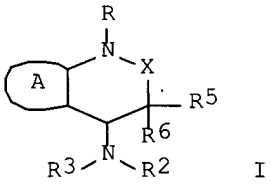
L6 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:331917 CAPLUS Full-text
DN 140:339203
TI Preparation of tetrahydroquinolinyl PGD2 receptor antagonists for the treatment of inflammatory diseases
IN Ghosh, Shomir; Elder, Amy M.; Carson, Kennth G.; Sprott, Kevin; Harrison, Sean
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 257 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004032848	A2	20040422	WO 2003-US31542	20031003
WO 2004032848	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500582	A1	20040422	CA 2003-2500582	20031003
AU 2003277285	A1	20040504	AU 2003-277285	20031003

	EP 1556047	A2	20050727	EP 2003-808144	20031003
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003015041	A	20050816	BR 2003-15041	20031003
	CN 1720047	A	20060111	CN 2003-80104795	20031003
	JP 2006508077	T	20060309	JP 2004-543358	20031003
	NO 2005001566	A	20050615	NO 2005-1566	20050323
	MX 2005PA03456	A	20050705	MX 2005-PA3456	20050331
	JP 2006124396	A	20060518	JP 2005-351372	20051205
PRAI	US 2002-416501P	P	20021004		
	JP 2004-543358	A3	20031003		
	WO 2003-US31542	W	20031003		

OS MARPAT 140:339203

GI



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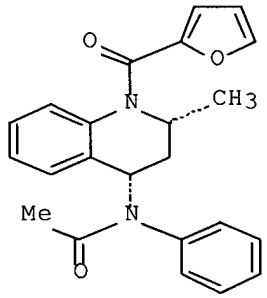


II

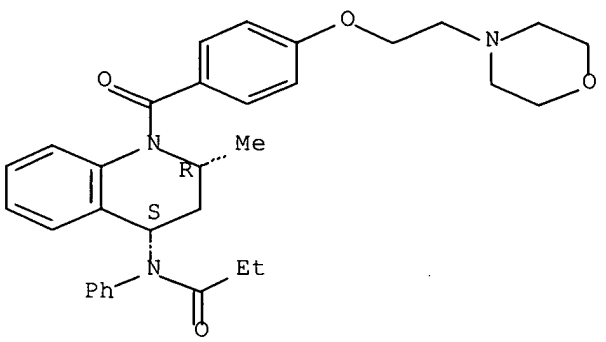
AB Title compds. I [A = (un)substituted monocyclic aromatic ring; R = X1R1; R2 = X2R4; R3 = (un)substituted cycloaliph. group, etc.; X = CO, bivalent alkyl; X1-2 = bond, SO, SO2, CO, etc.; R1 = H, cycloaliph. group, aromatic group, etc. provided that when X1 = bond, SO or SO2, R1 is not equal H; R4 = H, aliphatic group, etc.; R5-6 = H, alkyl] are prepared For instance, cis-4-phenylamino-2-methyl-1,2,3,4-tetrahydroquinoline (preparation given) is acylated with 2-furoyl chloride (CH2Cl2, i-Pr2NEt) and the resulting intermediate acetylated (CH2Cl2, i-Pr2NEt, AcCl) to give II. Compds. I inhibit binding of PGD2 to the CRTh2 receptor; selected examples have Ki < 10 μM. Also disclosed is the use of I for inhibiting the G-protein coupled receptor referred to as chemoattractant receptor-homologous mol. expressed on CRTh2 for the treatment of inflammatory disorders.

IT 679806-16-7P, cis-4-(N-Phenyl-N-propionylamino)-2-methyl-1-[4-(2-(morpholin-4-yl)ethoxy)benzoyl]-1,2,3,4-tetrahydroquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PGD2 receptor antagonists for treatment of inflammatory diseases)

RN 679806-16-7 CAPLUS

CN Propanamide, N-phenyl-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-[4-[2-(4-morpholinyl)ethoxy]benzoyl]-4-quinolinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

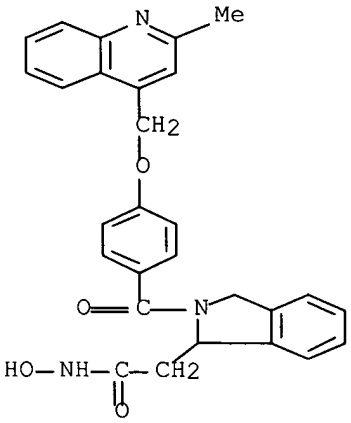


L6 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:310829 CAPLUS Full-text
DN 140:303552
TI Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
IN Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P.; Voss, Mathew E.
PA USA
SO U.S. Pat. Appl. Publ., 150 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

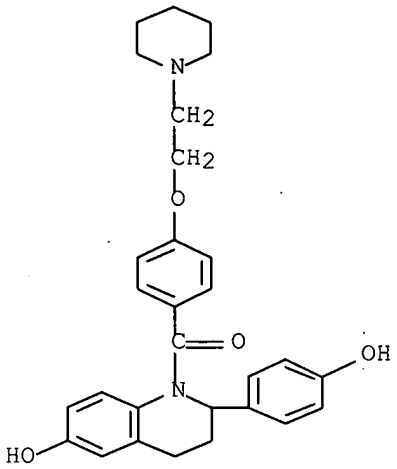
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004072802	A1	20040415	US 2002-267207	20021009
PRAI US 2002-267207		20021009		

OS MARPAT 140:303552
AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2- methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.
IT 362697-24-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)
RN 362697-24-3 CAPLUS

CN 1H-Isoindole-1-acetamide, 2,3-dihydro-N-hydroxy-2-[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]- (CA INDEX NAME)



L6 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:363826 CAPLUS Full-text
DN 139:159600
TI Tetrahydroquinoline-based selective estrogen receptor modulators (SERMs)
AU Wallace, Owen B.; Lauwers, Kenneth S.; Jones, Scott A.; Dodge, Jeffrey A.
CS Lilly Research Laboratories, Discovery Chemistry Research and Technologies, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(11), 1907-1910
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
AB A new series of estrogen receptor ligands based on a 6-hydroxy-tetrahydroquinoline scaffold is described, in addition to their binding affinity and functional activity in MCF-7 cells. Several 1,2-disubstituted tetrahydroquinolines bearing a basic side chain were shown to be high affinity ligands and antagonists in the MCF-7 proliferation assay. Compds. lacking the basic side chain were agonists in the MCF-7 assay.
IT 476304-44-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure activity of tetrahydroquinoline-based selective estrogen receptor modulators (SERMs))
RN 476304-44-6 CAPLUS
CN 6-Quinolinol, 1,2,3,4-tetrahydro-2-(4-hydroxyphenyl)-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)

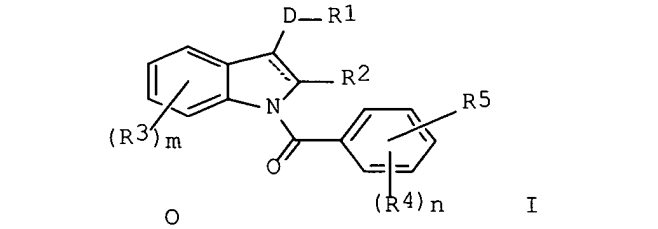


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:221659 CAPLUS Full-text
DN 138:255238
TI Preparation of indole derivatives as DP receptor antagonists
IN Torisu, Kazuhiko; Iwahashi, Maki; Kobayashi, Kaoru; Nambu, Fumio
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022814	A1	20030320	WO 2002-JP9078	20020906
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2459515	A1	20030320	CA 2002-2459515	20020906
	AU 2002332147	A1	20030324	AU 2002-332147	20020906
	EP 1424335	A1	20040602	EP 2002-767909	20020906
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2005004097	A1	20050106	US 2004-488835	20040308
	US 7135495	B2	20061114		
	US 2006194864	A1	20060831	US 2006-412879	20060428
	US 7291644	B2	20071106		
PRAI	JP 2001-271282	A	20010907		
	JP 2000-64696	A	20000309		
	JP 2000-231857	A	20000731		
	WO 2002-JP9078	W	20020906		
	US 2004-488835	A3	20040308		

OS MARPAT 138:255238
GI



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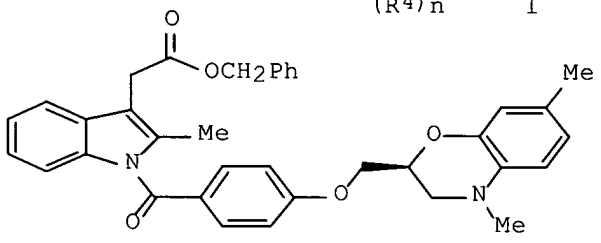


II

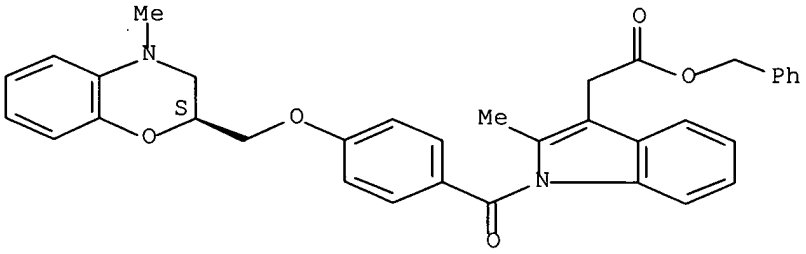
AB The title indole compds., substituted by dihydrobenzoxazinyl, benzodioxanyl, etc., with general formula of I [wherein R1 = COR6 or CH2OR7; R6 = OH, (un)substituted amino, alkoxy, or alkenyloxy; R7 = H or acyl; D = a single bond, alkylene, alkenylene, or O-alkylene; R2 = alkyl, alkoxy, halo, trihalomethyl, CN, or OH; R3 and R4 = independently = H, alkoxy, halo, NO2, trihalomethyl, CN, OH, trihalomethoxy, (un)substituted amino, or alkyl; m = 1-4; n = 1-4; R5 = G-X, substituted alkyl, or alkoxy; G = a single bond, diazo, (un)substituted alkylene, alkenylene, amido, amino-carbonyl, SO2-amino, or amino-SO2; X = (hetero)cyclyl] and pharmaceutically acceptable salts thereof are prepared as prostaglandin D2 (PGD2) receptor antagonists. For example, benzyl 2-[1-(4-hydroxybenzoyl)- 2-methylindol-3-yl]acetate (prepn given) was coupled with (2S)-2-hydroxymethyl-4,7-dimethyl-3,4-dihydro-2H-1,4-benzoxazine in THF in the presence of Ph3P and di-Et azodicarboxylate to afford the indole II. II showed Ki of 0.0074 μM against DP receptor in rat. I are useful in preventing/treating allergic diseases, diseases associated with itching, diseases secondarily caused by behaviors associating with itching, inflammation, chronic obstructive pulmonary disease, ischemic reperfusion injury, cerebrovascular diseases, rheumatoid arthritis-complicated pleuritis, ulcerative colitis, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 502605-83-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(DP receptor antagonist; preparation of indole derivs. as DP receptor antagonists)

RN 502605-83-6 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:221658 CAPLUS Full-text
DN 138:255237
TI Preparation of indole derivatives as DP receptor antagonists
IN Torisu, Kazuhiko; Hasegawa, Tomoyuki; Kobayashi, Kaoru; Nambu, Fumio
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022813	A1	20030320	WO 2002-JP9077	20020906
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002335354	A1	20030324	AU 2002-335354	20020906
	EP 1424325	A1	20040602	EP 2002-798037	20020906
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2005004096	A1	20050106	US 2004-488834	20040308
	US 7153852	B2	20061226		
PRAI	JP 2001-271281	A	20010907		
	WO 2002-JP9077	W	20020906		

OS MARPAT 138:255237
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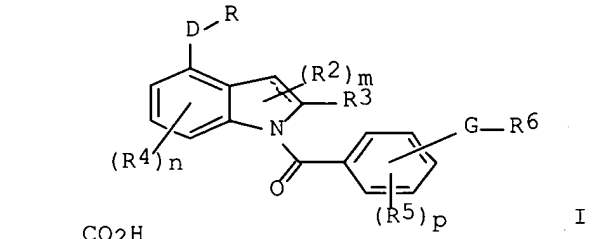

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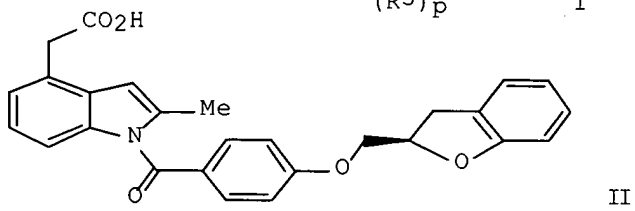


II

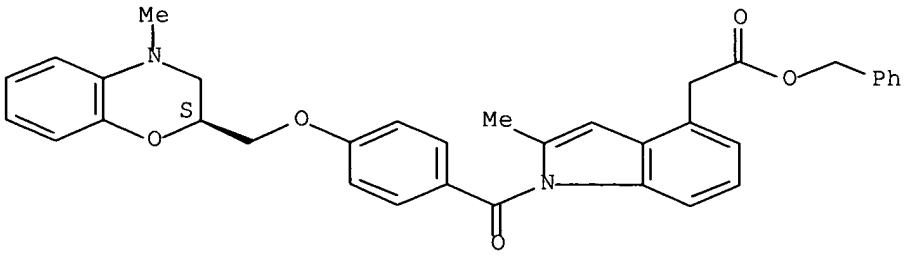
AB The title indole compds., substituted by either dihydrobenzoxazinyl or benzodioxanyl, with general formula of I [wherein R = COR1, CH2OR0, or CO2R20; R0 = H or acyl; R1 = alkoxy or (un)substituted amino; R20 = allyl or PhCH2; R2 = H, (alkoxy)alkyl, alkoxy, halo, NH2, trihalomethyl, CN, OH, PhCH2, or 4-MeO-PhCH2; R3 = H, alkyl, alkoxy, halo, trihalomethyl, CN, or OH; R4 and R5 = independently H, (alkoxy)alkyl, alkoxy, halo, NO2, NH2, trihalomethyl, trihalomethoxy, CN, or OH; D = a single bond, alkylene, alkenylene, or oxyalkylene; G = CONH, NHCO, SO2NH, NHSO2, diazo, (un)substituted alkylene, or alkenylene; R6 = 3-15 membered cyclyl or (un)substituted 4-15 membered heterocyclyl; or G and R6 together form (un)substituted alkyl, alkenyl, or alkynyl; n = 1-3; m = 1-3; p = 1-4] and pharmaceutically acceptable salts thereof are prepared as prostaglandin D2 (PGD2) receptor antagonists. For example, the indole II was prepared in a multi-step synthesis. II showed Ki of 0.031 μM against DP receptor in rat. Compds. I are useful in preventing/treating allergic diseases, diseases associated with itch, diseases secondarily caused by behaviors associating itch, inflammation, chronic obstructive pulmonary disease, ischemic reperfusion injury, cerebrovascular diseases, rheumatoid arthritis-complicated pleuritis, ulcerative colitis, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 502433-34-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(DP receptor antagonist; preparation of indole derivs. as DP receptor antagonists)

RN 502433-34-3 CAPLUS

CN 1H-Indole-4-acetic acid, 1-[4-[[(2S)-3,4-dihydro-4-methyl-2H-1,4-benzoxazin-2-yl]methoxy]benzoyl]-2-methyl-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

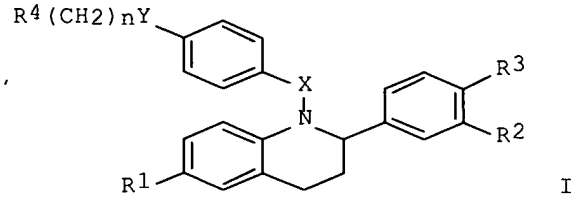


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:906161 CAPLUS Full-text
DN 137:384759
TI Preparation of tetrahydroquinolines as selective estrogen receptor modulators.
IN Wallace, Owen Brendan
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002094788	A1	20021128	WO 2002-US11878	20020509
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002316036	A1	20021203	AU 2002-316036	20020509
	EP 1395563	A1	20040310	EP 2002-746308	20020509
	EP 1395563	B1	20060329		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004531562	T	20041014	JP 2002-591461	20020509
	AT 321754	T	20060415	AT 2002-746308	20020509
	ES 2259376	T3	20061001	ES 2002-2746308	20020509
	US 2004215018	A1	20041028	US 2003-475593	20031022
	US 7056931	B2	20060606		
PRAI	US 2001-292704P	P	20010522		
	WO 2002-US11878	W	20020509		

OS MARPAT 137:384759
GI



I

AB Title compds. (I; R1 = H, OH, alkoxy, PhO2C, alkoxycarbonyl, alkylsulfonyloxy; R2, R3 = H, OH, alkoxy, PhO2C, alkoxycarbonyl, alkylsulfonyloxy, halo; R4 = piperidinyl, pyrrolidinyl, methylpyrrolidinyl, dimethylpyrrolidinyl, morpholino, Me2N, Et2N, (Me2CH)2N, azepinyl; n = 1-3; X = CO, CH2; Y = O, S, NH, NMe, CH2), were prepared Thus, 6-methoxy-2-(4-methoxyphenyl)-1,2,3,4-tetrahydroquinoline (preparation given), 4-(2-piperidin-1-ylethoxy)benzoyl chloride hydrochloride, and Et3N were stirred in CH2Cl2 to give [6-methoxy-2-(4-methoxyphenyl)- 1,2,3,4-tetrahydroquinolin-1-yl]-[4-(2-piperidin-1-ylethoxy)phenyl]methanone. Tested I bound to ERα receptors with Ki = 0.6-87.8 μM. I, optionally in combination with estrogen or progestin, are useful for inhibiting a disease associated with estrogen deprivation and for inhibiting a disease associated with an aberrant physiol. response to endogenous estrogen.

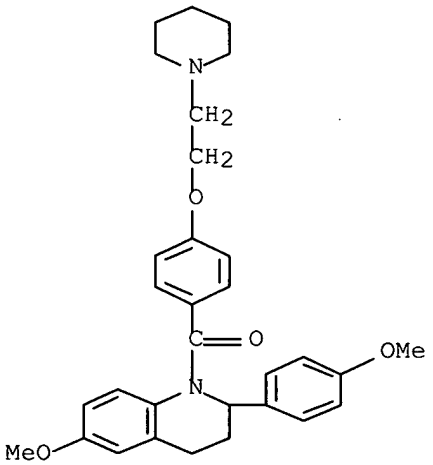
IT 476304-42-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydroquinolines as selective estrogen receptor modulators)

RN 476304-42-4 CAPLUS

CN Quinoline, 1,2,3,4-tetrahydro-6-methoxy-2-(4-methoxyphenyl)-1-[4-[2-(1-piperidinyl)ethoxy]benzoyl]- (9CI) (CA INDEX NAME)



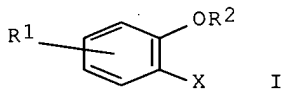
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:754333 CAPLUS Full-text
DN 137:279214

TI Preparation of benzoic acid derivatives as nuclear factor κB inhibitors
IN Suzuki, Kenji; Nunokawa, Youichi; Ogou, Naohisa
PA Suntory Limited, Japan; Suntory Biomedical Research Limited
SO PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076918	A1	20021003	WO 2002-JP3017	20020327
	W: BR, CA, CN, HU, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	CA 2410816	A1	20021003	CA 2002-2410816	20020327
	BR 2002004678	A	20030429	BR 2002-4678	20020327
	EP 1314712	A1	20030528	EP 2002-708696	20020327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	HU 2003002479	A2	20031128	HU 2003-2479	20020327
	US 2004122244	A1	20040624	US 2002-296810	20021127
	US 7064124	B2	20060620		
PRAI	JP 2001-91003	A	20010327		
	WO 2002-JP3017	W	20020327		

OS MARPAT 137:279214
GI

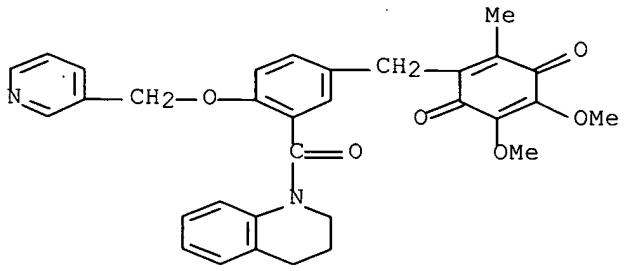


I

AB The title compds. I [R1 = (1,4-benzoquinon-2-yl)methyl (with substituents selected from H, alkyl, etc.) (generic structure given), etc.; R2 = H, (un)substituted alkyl, etc.; X = carboxyl (which may esterified or amidated)] are prepared In an in vitro test for nuclear factor κB inhibiting activity, N-[5-(5,6-dimethoxy-3-methyl-1,4-benzoquinon-2- yl)methyl-2-hydroxybenzoyl]-4-aminobenzoic acid Et ester showed IC50 value of 3 μg/mL.

IT 464214-84-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoic acid derivs. as nuclear factor κB inhibitors)

RN 464214-84-4 CAPLUS
CN Quinoline, 1-[5-[(4,5-dimethoxy-2-methyl-3,6-dioxo-1,4-cyclohexadien-1-yl)methyl]-2-(3-pyridinylmethoxy)benzoyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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STN INTERNATIONAL LOGOFF AT 15:28:45 ON 29 DEC 2007